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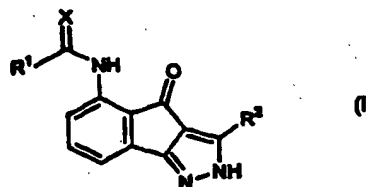
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(54) Title: **5-AMINOINDENO(1,2-C)PYRAZOL-4-ONES AS ANTI-CANCER AND ANTI-PROLIFERATIVE AGENTS**



(57) Abstract

The present invention relates to the synthesis of a new class of indeno [1,2-c]pyrazol-4-ones of formula (I), that are potent inhibitors of the class of enzymes known as cyclin dependent kinases, which relate to the catalytic subunits cdk1-7 and their regulatory subunits known as cyclins A-G. This invention also provides a novel method of treating cancer or other proliferative diseases by administering a therapeutically effective amount of one of these compounds or a pharmaceutically acceptable salt form thereof. Alternatively, one can treat cancer or other proliferative diseases by administering a therapeutically effective combination of one of the compounds of the present invention and one or more other known anti-cancer or anti-proliferative agents.

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5 5-AMINOINDENO(1,2-C)PYRAZOL-4-ONES AS ANTI-CANCER AND ANTI-PROLIFERATIVE AGENTS

FIELD OF THE INVENTION

10 This invention relates generally to novel 5-substituted-indeno[1,2-c]pyrazol-4-ones which are useful as cyclin dependent kinase (cdk) inhibitors, pharmaceutical compositions comprising the same, methods for using the same for treating proliferative diseases, and intermediates and
15 processes for making the same.

BACKGROUND OF THE INVENTION

One of the most important and fundamental processes in biology is the division of cells mediated by the cell cycle.
20 This process ensures the controlled production of subsequent generations of cells with defined biological function. It is a highly regulated phenomenon and responds to a diverse set of cellular signals both within the cell and from external sources. A complex network of tumor promoting and
25 suppressing gene products are key components of this cellular signaling process. Over expression of the tumor promoting components or the subsequent loss of the tumor suppressing products will lead to unregulated cellular proliferation and the generation of tumors (Pardee, Science
30 246:603-608, 1989).

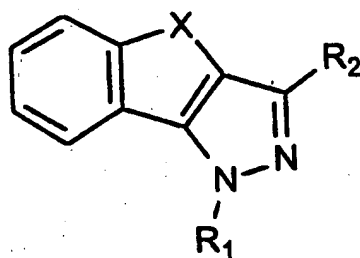
Cyclin dependent kinases (cdks) play a key role in regulating the cell cycle machinery. These complexes consist of two components: a catalytic subunit (the kinase) and a regulatory subunit (the cyclin). To date, six kinase
35 subunits (cdk 1-7) have been identified along with several regulatory subunits (cyclins A-H). Each kinase associates with a specific regulatory partner and together make up the active catalytic moiety. Each transition of the cell cycle is regulated by a particular cdk complex: G1/S by
40 cdk2/cyclin E, cdk4/cyclin D1 and cdk6/cyclinD2; S/G2 by cdk2/cyclin A and cdk1/cyclin A; G2/M by cdk1/B. The

5 coordinated activity of these kinases guides the individual cells through the replication process and ensures the vitality of each subsequent generation (Sherr, *Cell* 73:1059-1065, 1993; Draetta, *Trends Biochem. Sci.* 15:378-382, 1990)

10 An increasing body of evidence has shown a link between tumor development and cdk related malfunctions. Over expression of the cyclin regulatory proteins and subsequent kinase hyperactivity have been linked to several types of cancers (Jiang, *Proc. Natl. Acad. Sci. USA* 90:9026-9030, 1993; Wang, *Nature* 343:555-557, 1990). More recently, 15 endogenous, highly specific protein inhibitors of cdks were found to have a major affect on cellular proliferation (Kamb et al, *Science* 264:436-440, 1994; Beach, *Nature* 336:701-704, 1993). These inhibitors include p16^{INK4} (an inhibitor of cdk4/D1), p21^{CIP1} (a general cdk inhibitor), and p27^{KIP1} (a 20 specific cdk2/E inhibitor). A recent crystal structure of p27 bound to cdk2/A revealed how these proteins effectively inhibit the kinase activity through multiple interactions with the cdk complex (Pavletich, *Nature* 382:325-331, 1996). These proteins help to regulate the cell cycle through 25 specific interactions with their corresponding cdk complexes. Cells deficient in these inhibitors are prone to unregulated growth and tumor formation.

This body of evidence has led to an intense search for small molecule inhibitors of the cdk family as an approach 30 to cancer chemotherapy. There are no known examples of molecules related to the current invention which describe 5-substituted-indeno[1,2-c]pyrazoles as cdk inhibitors. There is one case describing indeno[1,2-c]pyrazoles having anticancer activity. There are two other examples which 35 describe indeno[1,2-c]pyrazoles having unrelated utilities and structures.

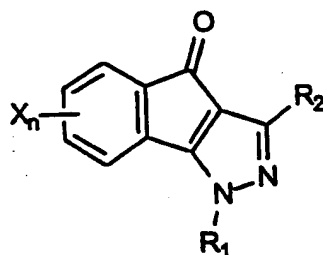
A series of indeno[1,2-c]pyrazoles having anticancer activity are described in JP 60130521 and JP 62099361 with the following generic structure:



5

No substitution is claimed on the indenophenyl portion of the molecule and the molecules are not indicated to be cdk inhibitors. In addition, we discovered that substitution at the 5-position was critical for cdk inhibitory activity.

A series of indeno[1,2-c]pyrazoles having herbicidal activity are described in GB 2223946 with the following generic structure:

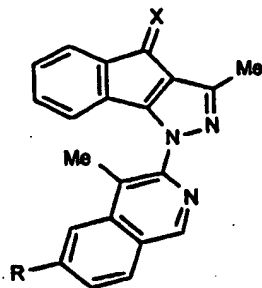


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The above compounds differ from the presently claimed invention in X_n is defined as halo, alkyl, haloalkyl, and haloalkoxy; $n = 0-2$. In addition, R_1 is defined as acyl and R_2 is defined as alkyl or cycloalkyl.

20

A series of 1-(6'-substituted-4'-methylquinol-2'-yl)-3-methylindeno[1,2-c]pyrazoles having CNS activity are described by Quraishi, *Farmaco* 44:753-8, 1989 with the following generic structure:



25

5

Compounds of this series are not considered to be part of the presently claimed invention.

10

SUMMARY OF THE INVENTION

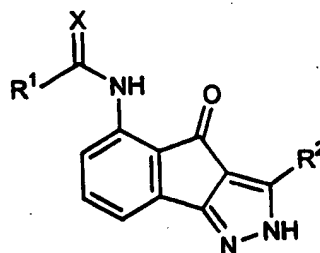
The present invention describes a novel class of indeno[1,2-c]pyrazol-4-ones or pharmaceutically acceptable salt forms thereof that are potent inhibitors of the class of enzymes known as cyclin dependent kinases, which relate to the catalytic subunits cdk 1-7 and their regulatory subunits known as cyclins A-H.

It is another object of this invention to provide a novel method of treating cancer or other proliferative diseases by administering a therapeutically effective amount of one of these compounds or a pharmaceutically acceptable salt form thereof.

It is another object of this invention to provide a novel method of treating cancer or other proliferative diseases, which comprises administering a therapeutically effective combination of one of the compounds of the present invention and one or more other known anti-cancer or anti-proliferative agents.

These and other objectives have been achieved by the inventors' discovery that compounds of formula (I):

30



(I)

wherein R₁, R₂ and X are defined below or pharmaceutically acceptable salts thereof are cyclin dependent kinase inhibitors.

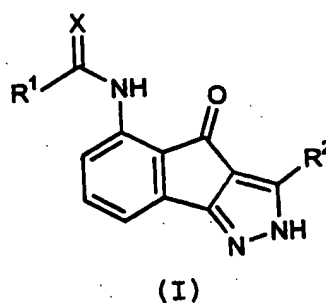
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DETAILED DESCRIPTION OF PREFERRED EMBODIMENTS

The invention pertains to novel cyclin dependent kinase inhibitors (cdks) and specifically, but not exclusively, as inhibitors of cdk/cyclin complexes. The inhibitors of this invention are indeno[1,2-c]pyrazol-4-one analogs. Certain analogs were selective for their activity against cdks and their cyclin bound complexes and were less active against other known serine/threonine kinases such as Protein Kinase A (PKA) and Protein Kinase C (PKC). In addition, these inhibitors were less active against tyrosine kinases such as c-Abl.

As described herein, the inhibitors of this invention are capable of inhibiting the cell-cycle machinery and consequently would be useful in modulating cell-cycle progression, which would ultimately control cell growth and differentiation. Such compounds would be useful for treating subjects having disorders associated with excessive cell proliferation, such as the treatment of cancer, psoriasis, immunological disorders involving unwanted leukocyte proliferation, in the treatment of restinosis and other smooth muscle cell disorders, and the like.

The present invention, in a first embodiment, describes a novel compound of formula (I):



30

or a stereoisomer or pharmaceutically acceptable salt form thereof, wherein:

35

X is selected from the group: O, S, and NR;

5 R is selected from the group: H, C₁₋₄ alkyl, and NR⁵R^{5a};

R¹ is selected from the group: H, C₁₋₁₀ alkyl substituted with 0-3 R^C, C₂₋₁₀ alkenyl substituted with 0-3 R^C, C₂₋₁₀ alkynyl substituted with 0-3 R^C, -NHR⁴, C₃₋₁₀ carbocycle substituted with 0-5 R^a, and 3-10 membered heterocycle containing from 1-4 heteroatoms selected from O, N, and S and substituted with 0-5 R^b;

15 R^a is independently at each occurrence selected from the group: halo, -CN, N₃, NO₂, C₁₋₄ alkyl, C₁₋₄ haloalkyl, NR³R^{3a}, =O, OR³, COR³, CO₂R³, CONR³R^{3a}, NHC(O)NR³R^{3a}, NHC(S)NR³R^{3a}, NR³C(O)OR³, NR³C(O)R³, SO₂NR³R^{3a}, SO₂R^{3b}, and 5-10 membered heterocycle containing from 1-4 heteroatoms selected from O, N, and S;

alternatively, when two R^a's are present on adjacent carbon atoms they combine to form -OCH₂O- or -OCH₂CH₂O-;

25 R^b is independently at each occurrence selected from the group: halo, -CN, NO₂, C₁₋₄ alkyl, C₁₋₄ haloalkyl, NR³R^{3a}, NR³C(O)OR³, NR³C(O)R³, OR³, COR³, CO₂R³, CONR³R^{3a}, NHC(O)NR³R^{3a}, NHC(S)NR³R^{3a}, SO₂NR³R^{3a}, and SO₂R^{3b};

30

R^C is independently at each occurrence selected from the group: halo, -CN, NO₂, C₁₋₄ alkyl, C₁₋₄ haloalkyl, NR³R^{3a}, NR⁵NR^{5a}, NR³C(O)OR³, NR³C(O)R³, =O, OR³, COR³, CO₂R³, CONR³R^{3a}, NHC(O)NR³R^{3a}, NHC(S)NR³R^{3a},

5 $\text{SO}_2\text{NR}^3\text{R}^{3a}$, SO_2R^{3b} , C₃₋₁₀ carbocycle substituted with 0-5 R^a , and 5-10 membered heterocycle containing from 1-4 heteroatoms selected from O, N, and S, substituted with 0-3 R^3 ;

10 R^2 is selected from the group: H, C₁₋₁₀ alkyl substituted with 0-3 R^c , C₂₋₁₀ alkenyl substituted with 0-3 R^c , C₂₋₁₀ alkynyl substituted with 0-3 R^c , $-(\text{CF}_2)_m\text{CF}_3$, C₃₋₁₀ carbocycle substituted with 0-5 R^a , and 3-10 membered heterocycle containing from 1-4 heteroatoms
 15 selected from O, N, and S and substituted with 0-5 R^b ;

R^3 is selected from the group: H, halo, -CN, NO_2 , C₁₋₄ haloalkyl, NR^5R^{5a} , $\text{NR}^5\text{NR}^5\text{R}^{5a}$, $\text{NR}^5\text{C}(\text{O})\text{OR}^5$, $\text{NR}^5\text{C}(\text{O})\text{R}^5$,
 20 $=\text{O}$, OR^5 , COR^5 , CO_2R^5 , $\text{CONR}^5\text{R}^{5a}$, $\text{NHC}(\text{O})\text{NR}^5\text{R}^{5a}$, $\text{NHC}(\text{S})\text{NR}^5\text{R}^{5a}$, $\text{SO}_2\text{NR}^5\text{R}^{5a}$, SO_2R^{5b} , C₁₋₄ alkyl, phenyl, and benzyl;

R^{3a} is selected from the group: H, C₁₋₄ alkyl, phenyl, and benzyl;

25 alternatively, R^3 and R^{3a} , together with the nitrogen atom to which they are attached, form a heterocycle having 4-8 atoms in the ring containing an additional 0-1 N, S, or O atom and substituted with 0-3 R^{3c} ;

30 R^{3b} is selected from the group: H, C₁₋₄ alkyl, phenyl, and benzyl;

R^{3c} is independently at each occurrence selected from the
 35 group: halo, -CN, N_3 , NO_2 , C₁₋₄ alkyl, C₁₋₄

5 haloalkyl, NR^3R^{3b} , $=\text{O}$, OR^3 , COR^3 , CO_2R^3 , $\text{CONR}^3\text{R}^{3b}$,
 $\text{NHC}(\text{O})\text{NR}^3\text{R}^{3b}$, $\text{NHC}(\text{S})\text{NR}^3\text{R}^{3b}$, $\text{NR}^3\text{C}(\text{O})\text{OR}^3$, $\text{NR}^3\text{C}(\text{O})\text{R}^3$,
 $\text{SO}_2\text{NR}^3\text{R}^{3b}$, SO_2R^{3b} , and 5-10 membered heterocycle
containing from 1-4 heteroatoms selected from O, N, and
S;

10

R^4 is independently at each occurrence selected from the
group: H, $-\text{CN}$, C1-4 alkyl, C1-4 haloalkyl, NR^3R^{3a} ,
 $\text{NR}^3\text{C}(\text{O})\text{OR}^3$, $\text{NR}^3\text{C}(\text{O})\text{R}^3$, OR^3 , COR^3 , CO_2R^3 , $\text{CONR}^3\text{R}^{3a}$,
15 $\text{NHC}(\text{O})\text{NR}^3\text{R}^{3a}$, $\text{NHC}(\text{S})\text{NR}^3\text{R}^{3a}$, $\text{SO}_2\text{NR}^3\text{R}^{3a}$, SO_2R^{3b} , C3-10
carbocycle substituted with 0-5 R^a , and 5-10 membered
heterocycle containing from 1-4 heteroatoms selected
from O, N, and S, substituted with 0-3 R^3 ;

20 R^5 is independently selected from the group: H, C1-4 alkyl,
phenyl and benzyl;

R^{5a} is independently selected from the group: H, C1-4
alkyl, phenyl and benzyl;

25 R^{5b} is independently selected from the group: H, C1-4
alkyl, phenyl and benzyl; and

m is selected from 0, 1, 2, and 3.

30

In a preferred embodiment, the present invention provides a
novel compound of formula (I), wherein:

X is selected from the group: O, S, and NR;

35

R is selected from the group: H, C1-4 alkyl, and NR^5R^{5a} ;

5 R^1 is selected from the group: H, C1-5 alkyl substituted d
 with 0-3 R^C , C2-5 alkenyl substituted with 0-3 R^C , C2-5
 alkynyl substituted with 0-3 R^C , $-NHR^4$, C3-6 carbocycle
 substituted with 0-5 R^a , and 3-6 membered heterocycle
 containing from 1-4 heteroatoms selected from O, N, and
 10 S and substituted with 0-5 R^b ;

R^a is independently at each occurrence selected from the
 group: halo, $-CN$, N_3 , NO_2 , C1-4 alkyl, C1-4 haloalkyl,
 NR^3R^{3a} , $NR^3C(O)OR^3$, $NR^3C(O)R^3$, $=O$, OR^3 , COR^3 , CO_2R^3 ,
 15 $CONR^3R^{3a}$, $NHC(O)NR^3R^{3a}$, $NHC(S)NR^3R^{3a}$, $SO_2NR^3R^{3a}$,
 SO_2R^{3b} , and 5-10 membered heterocycle containing from
 1-4 heteroatoms selected from O, N, and S;

alternatively, when two R^a 's are present on adjacent carbon
 20 atoms they combine to form $-OCH_2O-$ or $-OCH_2CH_2O-$;

R^b is independently at each occurrence selected from the
 group: halo, $-CN$, NO_2 , C1-4 alkyl, C1-4 haloalkyl,
 NR^3R^{3a} , $NR^3C(O)OR^3$, $NR^3C(O)R^3$, OR^3 , COR^3 , CO_2R^3 ,
 25 $CONR^3R^{3a}$, $NHC(O)NR^3R^{3a}$, $NHC(S)NR^3R^{3a}$, $SO_2NR^3R^{3a}$, and
 SO_2R^{3b} ;

R^C is independently at each occurrence selected from the
 group: halo, $-CN$, NO_2 , C1-4 alkyl, C1-4 haloalkyl,
 NR^3R^{3a} , $NR^3C(O)OR^3$, $NR^3C(O)R^3$, $NR^5NR^5R^{5a}$, $=O$, OR^3 ,
 30 COR^3 , CO_2R^3 , $CONR^3R^{3a}$, $NHC(O)NR^3R^{3a}$, $NHC(S)NR^3R^{3a}$,
 $SO_2NR^3R^{3a}$, SO_2R^{3b} , C3-10 carbocycle substituted with
 0-5 R^a , and 5-10 membered heterocycl containing from

5 1-4 heteroatoms selected from O, N, and S, substituted
with 0-3 R^3 ;

R^2 is selected from the group: H, C₁₋₅ alkyl substituted
with 0-3 R^C , C₂₋₅ alkenyl substituted with 0-3 R^C , C₂₋₅
10 alkynyl substituted with 0-3 R^C , $-(CF_2)_mCF_3$, C₃₋₆
carbocycle substituted with 0-5 R^a , and 3-10 membered
heterocycle containing from 1-4 heteroatoms selected
from O, N, and S and substituted with 0-5 R^b ;

15 R^3 is selected from the group: H, halo, -CN, NO₂, C₁₋₄
haloalkyl, NR^5R^{5a} , $NR^5NR^5R^{5a}$, $NR^5C(O)OR^5$, $NR^5C(O)R^5$,
=O, OR^5 , COR^5 , CO_2R^5 , $CONR^5R^{5a}$, $NHC(O)NR^5R^{5a}$,
 $NHC(S)NR^5R^{5a}$, $SO_2NR^5R^{5a}$, SO_2R^{5b} , C₁₋₄ alkyl, phenyl,
and benzyl;

20

R^{3a} is selected from the group: H, C₁₋₄ alkyl, phenyl, and
benzyl;

25

alternatively, R^3 and R^{3a} , together with the nitrogen atom
to which they are attached, form a heterocycle having
4-8 atoms in the ring containing an additional 0-1 N,
S, or O atom and substituted with 0-3 R^{3c} ;

30

R^{3b} is selected from the group: H, C₁₋₄ alkyl, phenyl, and
benzyl;

R^{3c} is independently at each occurrence selected from the
group: halo, -CN, N₃, NO₂, C₁₋₄ alkyl, C₁₋₄
haloalkyl, NR^3R^{3b} , =O, OR^3 , COR^3 , CO_2R^3 , $CONR^3R^{3b}$,

5 $\text{NHC(O)NR}^3\text{R}^{3b}$, $\text{NHC(S)NR}^3\text{R}^{3b}$, $\text{NR}^3\text{C(O)OR}^3$, $\text{NR}^3\text{C(O)R}^3$,
 $\text{SO}_2\text{NR}^3\text{R}^{3b}$, SO_2R^{3b} , and 5-10 membered heterocycle
 containing from 1-4 heteroatoms selected from O, N, and
 S;

10 R^4 is independently at each occurrence selected from the
 group: H, -CN, C₁₋₄ alkyl, C₁₋₄ haloalkyl, NR^3R^{3a} ,
 $\text{NR}^3\text{C(O)OR}^3$, $\text{NR}^3\text{C(O)R}^3$, OR^3 , COR^3 , CO_2R^3 , $\text{CONR}^3\text{R}^{3a}$,
 $\text{NHC(O)NR}^3\text{R}^{3a}$, $\text{NHC(S)NR}^3\text{R}^{3a}$, $\text{SO}_2\text{NR}^3\text{R}^{3a}$, SO_2R^{3b} , C₃₋₁₀
15 carbocycle substituted with 0-5 R^a , and 5-10 membered
 heterocycle containing from 1-4 heteroatoms selected
 from O, N, and S, substituted with 0-3 R^3 ;

R^5 is independently selected from the group: H, C₁₋₄ alkyl,
 phenyl, and benzyl;

20 R^{5a} is independently selected from the group: H, C₁₋₄
 alkyl, phenyl and benzyl;

R^{5b} is independently selected from the group: H, C₁₋₄
25 alkyl, phenyl, and benzyl; and

 m is selected from 0, 1, 2, and 3.

30 In a more preferred embodiment, the present invention
 provides a novel compound of formula (I), wherein:

 X is selected from the group: O and S;

R^1 is selected from the group: H, C₁₋₅ alkyl substituted
35 with 0-3 R^c , C₂₋₅ alkenyl substituted with 0-3 R^c ,
 -NHR⁴, C₃₋₆ carbocycl substituted with 0-5 R^a , and 3-6

5 membered heterocycle containing from 1-4 heteroatoms
selected from O, N, and S and substituted with 0-5 R^b ;

R^a is independently at each occurrence selected from the
group: halo, -CN, N_3 , C₁₋₄ alkyl, C₁₋₄ haloalkyl,
10 NR^3R^{3a} , $NR^3C(O)OR^3$, $NR^3C(O)R^3$, OR^3 , COR^3 , CO_2R^3 ,
 $CONR^3R^{3a}$, $NHC(O)NR^3R^{3a}$, $SO_2NR^3R^{3a}$, SO_2R^{3b} , and 5-10
membered heterocycle containing from 1-4 heteroatoms
selected from O, N, and S;

15 alternatively, when two R^a 's are present on adjacent carbon
atoms they combine to form -OCH₂O- or -OCH₂CH₂O-;

R^b is independently at each occurrence selected from the
group: halo, -CN, C₁₋₄ alkyl, C₁₋₄ haloalkyl, NR^3R^{3a} ,
20 $NR^3C(O)OR^3$, $NR^3C(O)R^3$, OR^3 , COR^3 , CO_2R^3 , $CONR^3R^{3a}$,
 $NHC(O)NR^3R^{3a}$, $SO_2NR^3R^{3a}$, and SO_2R^{3b} ;

R^c is independently at each occurrence selected from the
group: halo, -CN, C₁₋₄ alkyl, C₁₋₄ haloalkyl, NR^3R^{3a} ,
25 $NR^5NR^5R^{5a}$, $NR^3C(O)OR^3$, $NR^3C(O)R^3$, =O, OR^3 , COR^3 , CO_2R^3 ,
 $CONR^3R^{3a}$, $NHC(O)NR^3R^{3a}$, $SO_2NR^3R^{3a}$, SO_2R^{3b} , C₃₋₁₀
carbocycle substituted with 0-5 R^a , and 5-10 membered
heterocycle containing from 1-4 heteroatoms selected
from O, N, and S, substituted with 0-3 R^3 ;

30

R^2 is selected from the group: H, C₁₋₅ alkyl substituted
with 0-3 R^c , C₂₋₅ alkenyl substituted with 0-3 R^c ,
-(CF₂)_mCF₃, C₃₋₆ carbocycle substituted with 0-5 R^a ,
and 3-6 membered heterocycle containing from 1-4

5 heteroatoms selected from O, N, and S and substituted
with 0-5 R^b ;

R^3 is selected from the group: H, halo, -CN, NO_2 , C₁₋₄
haloalkyl, $NR^{5R^{5a}}$, $NR^5NR^{5R^{5a}}$, $NR^5C(O)OR^5$, $NR^5C(O)R^5$,
10 =O, OR^5 , COR^5 , CO_2R^5 , $CONR^5R^{5a}$, $NHC(O)NR^{5R^{5a}}$,
 $NHC(S)NR^{5R^{5a}}$, $SO_2NR^{5R^{5a}}$, SO_2R^{5b} , C₁₋₄ alkyl, phenyl,
and benzyl;

R^{3a} is selected from the group: H, C₁₋₄ alkyl, phenyl, and
15 benzyl;

alternatively, R^3 and R^{3a} , together with the nitrogen atom
to which they are attached, form a heterocycle having
5-6 atoms in the ring containing an additional 0-1 N,
20 S, or O atom and substituted with 0-3 R^{3c} ;

R^{3b} is selected from the group: H, C₁₋₄ alkyl, phenyl, and
benzyl;

25 R^{3c} is independently at each occurrence selected from the
group: halo, -CN, N_3 , NO_2 , C₁₋₄ alkyl, C₁₋₄
haloalkyl, NR^3R^{3b} , =O, OR^3 , COR^3 , CO_2R^3 , $CONR^3R^{3b}$,
 $NHC(O)NR^3R^{3b}$, $NHC(S)NR^3R^{3b}$, $NR^3C(O)OR^3$, $NR^3C(O)R^3$,
 $SO_2NR^3R^{3b}$, SO_2R^{3b} , and 5-10 membered heterocycle
30 containing from 1-4 heteroatoms selected from O, N, and
S;

R^4 is independently at each occurrence selected from the
group: H, -CN, C₁₋₄ alkyl, C₁₋₄ haloalkyl, NR^3R^{3a} ,
35 $NR^3C(O)OR^3$, $NR^3C(O)R^3$, OR^3 , COR^3 , CO_2R^3 , $CONR^3R^{3a}$,

- 5 $\text{NHC(O)NR}^3\text{R}^{3a}$, $\text{NHC(S)NR}^3\text{R}^{3a}$, $\text{SO}_2\text{NR}^3\text{R}^{3a}$, SO_2R^{3b} , C₃-10 carbocycle substituted with 0-5 R^a, and 5-10 membered heterocycle containing from 1-4 heteroatoms selected from O, N, and S, substituted with 0-3 R³;
- 10 R⁵ is independently selected from the group: H, C₁-4 alkyl, phenyl, and benzyl;
- R^{5a} is independently selected from the group: H, C₁-4 alkyl, phenyl and benzyl;
- 15 R^{5b} is independently selected from the group: H, C₁-4 alkyl, phenyl, and benzyl; and
- m is selected from 0, 1, 2, and 3.
- 20

In a even more preferred embodiment, the present invention provides a novel compound of formula (I), wherein:

- 25 X is selected from the group: O and S;
- R¹ is selected from the group: H, C₁-5 alkyl substituted with 0-2 R^C, -NHR⁴, C₃-6 carbocycle substituted with 0-5 R^a, and 5-6 membered heterocycle containing from 1-4 heteroatoms selected from O, N, and S and substituted with 0-5 R^b;
- 30
- R^a is independently at each occurrence selected from the group: halo, -CN, N₃, C₁-4 alkyl, C₁-4 haloalkyl, NR³R^{3a}, NR³C(O)OR³, NR³C(O)R³, OR³, COR³, CO₂R³, CONR³R^{3a}, NHC(O)NR³R^{3a}, SO₂NR³R^{3a}, SO₂R^{3b}, and 5-6
- 35

5 membered heterocycle containing from 1-4 heteroatoms
selected from O, N, and S;

alternatively, when two R^a's are present on adjacent carbon
atoms they combine to form -OCH₂O- or -OCH₂CH₂O-;

10

R^b is independently at each occurrence selected from the
group: halo, C₁₋₄ alkyl, C₁₋₄ haloalkyl, NR³R^{3a},
NR³C(O)OR³, NR³C(O)R³, OR³, COR³, CO₂R³, CONR³R^{3a},
NHC(O)NR³R^{3a}, SO₂NR³R^{3a}, and SO₂R^{3b};

15

R^c is independently at each occurrence selected from the
group: halo, C₁₋₄ alkyl, C₁₋₄ haloalkyl, NR³R^{3a},
NR⁵NR⁵R^{5a}, NR³C(O)OR³, NR³C(O)R³, OR³, COR³, CO₂R³,
CONR³R^{3a}, NHC(O)NR³R^{3a}, SO₂NR³R^{3a}, SO₂R^{3b}, C₃₋₁₀
20 carbocycle substituted with 0-5 R^a, and 5-6 membered
heterocycle containing from 1-4 heteroatoms selected
from O, N, and S, substituted with 0-3 R³;

R² is selected from the group: C₁₋₅ alkyl substituted with
25 0-3 R^c, -(CF₂)_mCF₃, C₃₋₆ carbocycle substituted with
0-5 R^a, and 5-6 membered heterocycle containing from
1-4 heteroatoms selected from O, N, and S and
substituted with 0-3 R^b;

30 R³ is selected from the group: H, halo, -CN, NO₂, C₁₋₄
haloalkyl, NR⁵R^{5a}, NR⁵NR⁵R^{5a}, NR⁵C(O)OR⁵, NR⁵C(O)R⁵,
=O, OR⁵, COR⁵, CO₂R⁵, CONR⁵R^{5a}, NHC(O)NR⁵R^{5a},
NHC(S)NR⁵R^{5a}, SO₂NR⁵R^{5a}, SO₂R^{5b}, C₁₋₄ alkyl, phenyl,
and benzyl;

35

5 R^{3a} is selected from the group: H, C1-4 alkyl, phenyl, and benzyl;

alternatively, R^3 and R^{3a} , together with the nitrogen atom to which they are attached, form a heterocycle having
10 5-6 atoms in the ring containing an additional 0-1 N, S, or O atom and substituted with 0-3 R^{3c} ;

R^{3b} is selected from the group: H, C1-4 alkyl, phenyl, and benzyl;

15

R^{3c} is independently at each occurrence selected from the group: halo, -CN, N_3 , NO_2 , C1-4 alkyl, C1-4

haloalkyl, NR^3R^{3b} , =O, OR^3 , COR^3 , CO_2R^3 , $CONR^3R^{3b}$,
NHC(O) NR^3R^{3b} , NHC(S) NR^3R^{3b} , $NR^3C(O)OR^3$, $NR^3C(O)R^3$,
20 $SO_2NR^3R^{3b}$, SO_2R^{3b} , and 5-10 membered heterocycle containing from 1-4 heteroatoms selected from O, N, and S;

R^4 is independently at each occurrence selected from the
25 group: H, -CN, C1-4 alkyl, C1-4 haloalkyl, NR^3R^{3a} , $NR^3C(O)OR^3$, $NR^3C(O)R^3$, OR^3 , COR^3 , CO_2R^3 , $CONR^3R^{3a}$,
 $NHC(O)NR^3R^{3a}$, $NHC(S)NR^3R^{3a}$, $SO_2NR^3R^{3a}$, SO_2R^{3b} , C3-10 carbocycle substituted with 0-5 R^a , and 5-10 membered heterocycle containing from 1-4 heteroatoms selected
30 from O, N, and S, substituted with 0-3 R^3 ;

R^5 is independently selected from the group: H and C1-4 alkyl;

35 R^{5a} is independently selected from the group: H, C1-4 alkyl, phenyl and benzyl;

5

R^{5b} is independently selected from the group: H and C₁₋₄ alkyl; and

m is selected from 0, 1, 2, and 3.

10

In a most preferred embodiment, the compounds of formula (I) are selected from:

15 3-(4-methoxyphenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one;

3-(phenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one;

20 3-(4-methylthiophenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one;

3-(4-methylsulfonylphenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one;

25 3-(4-N,N-dimethylphenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one;

3-(3-pyridyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one;

30 3-(4-methoxyphenyl)-5-(formamido)indeno[1,2-c]pyrazol-4-one;

3-(4-hydroxyphenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one;

35 3-(4-(1-piperidinyl)phenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one;

3-(4-morpholinylphenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one;

40 3-(4-ethoxyphenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one;

3-(4-butylphenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one;

5

3-(4-ethylphenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-on ;

3-(4-n-propylphenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one;

10

3-(4-methoxyphenyl)-5-((4-aminophenyl)acetamido)indeno[1,2-c]pyrazol-4-one;

3-(4-pyridyl)-5-(formamido)indeno[1,2-c]pyrazol-4-one;

15

3-(4-pyridyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one;

3-(4-methoxyphenyl)-5-((4-aminophenyl)acetamido)indeno[1,2-c]pyrazol-4-one;

20

3-(4-methoxyphenyl)-5-((4-azidophenyl)acetamido)indeno[1,2-c]pyrazol-4-one;

3-(4-methoxyphenyl)-5-((4-methoxycarbonylaminophenyl)acetamido)indeno[1,2-c]pyrazol-4-one;

25

3-(4-methoxyphenyl)-5-((4-aminomethylcarbonylaminophenyl)acetamido)indeno[1,2-c]pyrazol-4-one;

3-(4-methoxyphenyl)-5-((4-dimethylaminomethylcarbonylaminophenyl)acetamido)indeno[1,2-c]pyrazol-4-one;

35

3-(4-methoxyphenyl)-5-((4-acetamidophenyl)acetamido)indeno[1,2-c]pyrazol-4-one;

3-(4-methoxyphenyl)-5-(pyrrolidinylacetamido)indeno[1,2-c]pyrazol-4-one;

40

3-(4-methoxyphenyl)-5-(morpholinylacetamido)indeno[1,2-c]pyrazol-4-one;

- 5 3-(4-methoxyphenyl)-5-(thiomorpholinylacetamido) indeno [1,2-c]pyrazol-4-one;
- 3-(4-methoxyphenyl)-5-(ethylaminoacetamido) indeno [1,2-c]pyrazol-4-one;
- 10 3-(4-methoxyphenyl)-5-(piperidinylacetamido) indeno [1,2-c]pyrazol-4-one;
- 15 3-(4-methoxyphenyl)-5-(4-aminomethylpiperidinylacetamido) indeno [1,2-c]pyrazol-4-one;
- 3-(4-methoxyphenyl)-5-(piperazinylacetamido) indeno [1,2-c]pyrazol-4-one;
- 20 3-(4-methoxyphenyl)-5-(4-methylpiperazinylacetamido) indeno [1,2-c]pyrazol-4-one;
- 3-(4-methoxyphenyl)-5-(4-(2-hydroxyethyl)piperazinylacetamido) indeno [1,2-c]pyrazol-4-one;
- 25 3-(4-methoxyphenyl)-5-(N,N-dimethylaminoacetamido) indeno [1,2-c]pyrazol-4-one;
- 30 3-(4-methoxyphenyl)-5-((2-hydroxyethyl) aminoacetamido) indeno [1,2-c]pyrazol-4-one;
- 3-(4-methoxyphenyl)-5-(aminoacetamido) indeno [1,2-c]pyrazol-4-one;
- 35 3-(4-methoxyphenyl)-5-((2-chlorophenyl) acetamido) indeno [1,2-c]pyrazol-4-one;
- 40 3-(4-methoxyphenyl)-5-((2,4-dichlorophenyl) acetamido) indeno [1,2-c]pyrazol-4-one;

- 5 3-(4-methoxyphenyl)-5-((3,4-dichlorophenyl)acetamido)
indeno[1,2-c]pyrazol-4-one;
- 3-(4-methoxyphenyl)-5-((2-methoxyphenyl)acetamido)
indeno[1,2-c]pyrazol-4-one;
- 10 3-(4-dimethoxyphenyl)-5-((3-thiophene)acetamido)indeno[1,2-
c]pyrazol-4-one;
- 3-(4-methoxyphenyl)-5-((3,4-ethylenedioxyphenyl)acetamido)
15 indeno[1,2-c]pyrazol-4-one;
- 3-(3,4-dimethoxyphenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-
one;
- 20 3-(2-methoxyphenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one;
- 3-(4-methoxyphenyl)-5-((2,5-dimethoxyphenyl)acetamido)
indeno[1,2-c]pyrazol-4-one;
- 25 3-(4-methoxyphenyl)-5-((3,4-dimethoxyphenyl)acetamido)
indeno[1,2-c]pyrazol-4-one;
- 3-(4-methoxyphenyl)-5-((4-methoxyphenyl)acetamido)
indeno[1,2-c]pyrazol-4-one;
- 30 3-(4-methoxyphenyl)-5-((3-
methoxyphenyl)acetamido)indeno[1,2-c]pyrazol-4-one;
- 3-(4-methoxyphenyl)-5-((4-chlorophenyl)acetamido)indeno[1,2-
35 c]pyrazol-4-one;
- 3-(4-methoxyphenyl)-5-(butylcarbamoyl)aminoindeno[1,2-
c]pyrazol-4-one;
- 40 3-(4-methoxyphenyl)-5-(4-aminobenzylcarbamoyl)aminoindeno
[1,2-c]pyrazol-4-one;

- 5 3-(4-methoxyphenyl)-5-(4-pyridylcarbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- 3-(4-methoxyphenyl)-5-(phenylcarbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- 10 3-(4-methoxyphenyl)-5-(cyclobutylamido)indeno[1,2-c]pyrazol-4-one;
- 3-(4-methoxyphenyl)-5-(cyclopentylamido)indeno[1,2-c]pyrazol-4-one;
- 15 3-(4-methoxyphenyl)-5-(propylamido)indeno[1,2-c]pyrazol-4-one;
- 3-(4-methoxyphenyl)-5-(ethylamido)indeno[1,2-c]pyrazol-4-one;
- 20 3-(4-methoxyphenyl)-5-(benzylamido)indeno[1,2-c]pyrazol-4-one;
- 3-(4-methoxyphenyl)-5-(isopropylamido)indeno[1,2-c]pyrazol-4-one;
- 25 3-(4-methoxyphenyl)-5-(cyclopropylamido)indeno[1,2-c]pyrazol-4-one;
- 30 3-(4-methoxyphenyl)-5-(chloroacetamido)indeno[1,2-c]pyrazol-4-one;
- 3-(4-methoxyphenyl)-5-(4-pyridinylaminomethylacetamido)indeno[1,2-c]pyrazol-4-one;
- 35 3-(4-N,N-dimethylaminophenyl)-5-(morpholinylacetamido)indeno[1,2-c]pyrazol-4-one;
- 40 3-(4-N,N-dimethylaminophenyl)-5-(dimethylaminoacetamido)indeno[1,2-c]pyrazol-4-one;

- 5 3-(4-(trifluoromethyl)phenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one;
- 10 3-(4-(dimethylamino)phenyl)-5-((4-methyl-1-piperazinyl)acetamido)indeno[1,2-c]pyrazol-4-one;
- 3-(4-(dimethylamino)phenyl)-5-((4-aminomethyl-1-piperidinyl)acetamido)indeno[1,2-c]pyrazol-4-one;
- 15 3-(4-(dimethylamino)phenyl)-5-((4-hydroxy-1-piperidinyl)acetamido)indeno[1,2-c]pyrazol-4-one;
- 3-(4-(4-morpholinyl)phenyl)-5-((4-morpholinyl)acetamido)indeno[1,2-c]pyrazol-4-one;
- 20 3-(4-(4-morpholinyl)phenyl)-5-((4-methyl-1-piperazinyl)acetamido)indeno[1,2-c]pyrazol-4-one;
- 25 3-(4-(4-morpholinyl)phenyl)-5-((4-hydroxy-1-piperidinyl)acetamido)indeno[1,2-c]pyrazol-4-one;
- 3-(4-(4-morpholinyl)phenyl)-5-((4-aminomethyl-1-piperidinyl)acetamido)indeno[1,2-c]pyrazol-4-one;
- 30 3-(4-(1-piperazinyl)phenyl)-5-((4-morpholinyl)acetamido)indeno[1,2-c]pyrazol-4-one;
- 3-(4-(1-piperazinyl)phenyl)-5-((dimethylamino)acetamido)indeno[1,2-c]pyrazol-4-one;
- 35 3-(4-(1-piperazinyl)phenyl)-5-((4-methyl-1-piperazinyl)acetamido)indeno[1,2-c]pyrazol-4-one;
- 40 3-(4-(1-piperazinyl)phenyl)-5-((4-aminomethyl-1-piperidinyl)acetamido)indeno[1,2-c]pyrazol-4-one;

- 5 3-(4-(1-piperazinyl)phenyl)-5-
((aminocarbonyl) amino) indeno[1,2-c]pyrazol-4-one;
- 3-(4-(1-piperazinyl)phenyl)-5-
((hydrazinocarbonyl) amino) indeno[1,2-c]pyrazol-4-one;
- 10 3-(4-(1-piperazinyl)phenyl)-5-(((4-
morpholinylamino) carbonyl) amino) indeno[1,2-c]pyrazol-4-
one;
- 15 3-(4-(4-methyl-1-piperazinyl)phenyl)-5-(((4-
morpholinylamino) carbonyl) amino) indeno[1,2-c]pyrazol-4-
one;
- 20 3-(4-(4-ethyl-1-piperazinyl)phenyl)-5-(((4-
morpholinylamino) carbonyl) amino) indeno[1,2-c]pyrazol-4-
one;
- 25 3-(4-(4-isopropyl-1-piperazinyl)phenyl)-5-(((4-
morpholinylamino) carbonyl) amino) indeno[1,2-c]pyrazol-4-
one;
- 30 3-(4-(4-t-butoxycarbonyl-1-piperazinyl)phenyl)-5-(((4-
morpholinylamino) carbonyl) amino) indeno[1,2-c]pyrazol-4-
one;
- 35 3-(4-(dimethylamino)phenyl)-5-(((4-methyl-1-
piperazinyl) amino) carbonyl) amino) indeno[1,2-c]pyrazol-
4-one;
- 40 3-(i-propyl)-5-(acetamido) indeno[1,2-c]pyrazol-4-one;
- 3-(c-propyl)-5-(acetamido) indeno[1,2-c]pyrazol-4-one;
- 3-(t-butyl)-5-(acetamido) indeno[1,2-c]pyrazol-4-one;
- 3-(2-thienyl)-5-(acetamido) indeno[1,2-c]pyrazol-4-one;

- 5 3-(3-methyl-2-thienyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one;
- 3-(ethyl)-5-(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- 10 3-(n-propyl)-5-(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- 3-(i-propyl)-5-(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- 3-(c-propyl)-5-(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- 15 3-(c-hexyl)-5-(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- 3-(2-thienyl)-5-(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- 20 3-(3-methyl-2-thienyl)-5-(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- 3-(5-methyl-2-thienyl)-5-(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- 25 3-(5-ethylcarboxyl-2-thienyl)-5-(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- 3-(3-thienyl)-5-(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- 30 3-(1-methyl-3-pyrrolyl)-5-(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- 3-(2,5-dimethyl-3-thienyl)-5-(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- 35 3-(2-furanyl)-5-(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- 3-(i-propyl)-5-(N,N-dimethylaminocarbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- 40

- 5 3-(c-propyl)-5-(N,N-dimethylaminocarbamoyl) aminoindeno [1,2-c]pyrazol-4-one;
- 3-(c-hexyl)-5-(N,N-dimethylaminocarbamoyl) aminoindeno [1,2-c]pyrazol-4-one;
- 10 3-(2-thienyl)-5-(N,N-dimethylaminocarbamoyl) aminoindeno [1,2-c]pyrazol-4-one;
- 15 3-(5-methoxy-2-thienyl)-5-(N,N-dimethylaminocarbamoyl) aminoindeno [1,2-c]pyrazol-4-one;
- 3-(5-methyl-2-thienyl)-5-(N,N-dimethylaminocarbamoyl) aminoindeno [1,2-c]pyrazol-4-one;
- 20 3-(5-ethylcarboxyl-2-thienyl)-5-(N,N-dimethylaminocarbamoyl) aminoindeno [1,2-c]pyrazol-4-one;
- 3-(3-thienyl)-5-(N,N-dimethylaminocarbamoyl) aminoindeno [1,2-c]pyrazol-4-one;
- 25 3-(5-chloro-3-thienyl)-5-(N,N-dimethylaminocarbamoyl) aminoindeno [1,2-c]pyrazol-4-one;
- 3-(2,5-dimethyl-3-thienyl)-5-(N,N-dimethylaminocarbamoyl) aminoindeno [1,2-c]pyrazol-4-one;
- 30 3-(2-furanyl)-5-(N,N-dimethylaminocarbamoyl) aminoindeno [1,2-c]pyrazol-4-one;
- 35 3-(i-propyl)-5-(4-carbamoylpiperidinylacetamido) indeno [1,2-c]pyrazol-4-one;
- 3-(c-hexyl)-5-(4-carbamoylpiperidinylacetamido) indeno [1,2-c]pyrazol-4-one;
- 40 3-(ethyl)-5-(4-aminomethylpiperidinylacetamido) indeno [1,2-c]pyrazol-4-on ;

5

3-(i-propyl)-5-(4-aminomethylpiperidinylacetamido)
indeno[1,2-c]pyrazol-4-one;

10

3-(c-propyl)-5-(4-aminomethylpiperidinylacetamido)
indeno[1,2-c]pyrazol-4-one;

3-(c-hexyl)-5-(4-aminomethylpiperidinylacetamido) indeno[1,2-
c]pyrazol-4-one;

15

3-(i-propyl)-5-(4-methylpiperazinylcarbamoyl) aminoindeno
[1,2-c]pyrazol-4-one;

20

3-(5-ethylcarboxyl-2-thienyl)-5-(4-
methylpiperazinylcarbamoyl) aminoindeno[1,2-c]pyrazol-4-
one;

25

3-(5-carboxyl-2-thienyl)-5-(4-
methylpiperazinylcarbamoyl) aminoindeno[1,2-c]pyrazol-4-
one;

3-(2,5-dimethyl-3-thienyl)-5-(4-
methylpiperazinylcarbamoyl) aminoindeno[1,2-c]pyrazol-4-
one;

30

3-(i-propyl)-5-(morpholinylcarbamoyl) aminoindeno[1,2-
c]pyrazol-4-one;

35

3-(N-methylcarbamoyl-4-piperidinyl)-5-
(morpholinylcarbamoyl) aminoindeno[1,2-c]pyrazol-4-one;

3-(5-methyl-2-thienyl)-5-
(morpholinylcarbamoyl) aminoindeno[1,2-c]pyrazol-4-one;

40

3-(5-chloro-3-thienyl)-5-
(morpholinylcarbamoyl) aminoindeno[1,2-c]pyrazol-4-one;

- 5 3-(2,5-dimethyl-3-thienyl)-5-
(morpholinylcarbamoyl) aminoindeno [1,2-c] pyrazol-4-one;
- 3-(5-ethylcarboxyl-2-thienyl)-5-
(morpholinylcarbamoyl) aminoindeno [1,2-c] pyrazol-4-one;
- 10 3-(5-carboxyl-2-thienyl)-5-
(morpholinylcarbamoyl) aminoindeno [1,2-c] pyrazol-4-one;
- 3-(5-benzylcarboxamido-2-thienyl)-5-
15 (morpholinylcarbamoyl) aminoindeno [1,2-c] pyrazol-4-one;
- 3-(5-(4-methylpiperazinyl) carboxamido-2-thienyl)-5-
(morpholinylcarbamoyl) aminoindeno [1,2-c] pyrazol-4-one;
- 20 3-(5-(2-(1-methylpyrrolidinyl) ethyl) carboxamido-2-thienyl)-
5-(morpholinylcarbamoyl) aminoindeno [1,2-c] pyrazol-4-
one;
- 3-(5-(N,N-dimethylamino) carboxamido-2-thienyl)-5-
25 (morpholinylcarbamoyl) aminoindeno [1,2-c] pyrazol-4-one;
- 3-(5-(2-(N,N-dimethylamino) ethyl) carboxamido-2-thienyl)-5-
(morpholinylcarbamoyl) aminoindeno [1,2-c] pyrazol-4-one;
- 30 3-(5-(2-(pyrrolidinyl) ethyl) carboxamido-2-thienyl)-5-
(morpholinylcarbamoyl) aminoindeno [1,2-c] pyrazol-4-one;
- 3-(5-(2-(morpholinyl) ethyl) carboxamido-2-thienyl)-5-
(morpholinylcarbamoyl) aminoindeno [1,2-c] pyrazol-4-one;
- 35 3-(5-morpholinylcarboxamido-2-thienyl)-5-
(morpholinylcarbamoyl) aminoindeno [1,2-c] pyrazol-4-one;
- 3-(5-(3-(pyrrolidonyl) propyl) carboxamido-2-thienyl)-5-
40 (morpholinylcarbamoyl) aminoindeno [1,2-c] pyrazol-4-one;

5

3-(5-(3-(imidazolyl)propyl)carboxamido-2-thienyl)-5-(morpholinylcarbamoyl)aminoindeno[1,2-c]pyrazol-4-one;

10

3-(5-(2-(2-pyridyl)ethyl)carboxamido-2-thienyl)-5-(morpholinylcarbamoyl)aminoindeno[1,2-c]pyrazol-4-one;

3-(5-((2-pyridyl)methyl)carboxamido-2-thienyl)-5-(morpholinylcarbamoyl)aminoindeno[1,2-c]pyrazol-4-one;

and

15

3-(5-(2-(piperidinyl)ethyl)carboxamido-2-thienyl)-5-(morpholinylcarbamoyl)aminoindeno[1,2-c]pyrazol-4-one;

or pharmaceutically acceptable salt form thereof.

20

Another embodiment of the present invention is a pharmaceutical composition comprising: a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of formula (I).

25

Another embodiment of the present invention is a method of treating cancer and proliferative diseases comprising: administering to a host in need of such treatment a therapeutically effective amount of a compound of formula (I), or a pharmaceutically effective salt form thereof.

30

DEFINITIONS

As used herein, the following terms and expressions have the indicated meanings. The compounds of the present invention may contain an asymmetrically substituted carbon atom, and may be isolated in optically active or racemic forms. It is well known in the art how to prepare optically active forms, such as by resolution of racemic forms or by synthesis from optically active starting materials. All chiral, diastereomeric, racemic forms and all geometric isomeric forms of a structure are intended, unless the

35

40

- 5 specific stereochemistry or isomer form is specifically indicated.

The term "alkyl" is intended to include both branched and straight-chain saturated aliphatic hydrocarbon groups having the specified number of carbon atoms. Examples of
10 alkyl include, but are not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, n-pentyl, and s-pentyl. In addition, the term is intended to include both unsubstituted and substituted alkyl groups, the latter referring to alkyl moieties having one or more hydrogen
15 substituents replaced by, but not limited to halogen, hydroxyl, carbonyl, alkoxy, ester, ether, cyano, phosphoryl, amino, imino, amido, sulfhydryl, alkythio, thioester, sulfonyl, nitro, heterocyclo, aryl or heteroaryl. It will also be understood by those skilled in the art that the
20 substituted moieties themselves can be substituted as well when appropriate.

The terms "halo" or "halogen" as used herein refer to fluoro, chloro, bromo and iodo. The term "aryl" is intended to mean an aromatic moiety containing the specified number
25 of carbon atoms, such as, but not limited to phenyl, indanyl or naphthyl. The terms "cycloalkyl" and "bicycloalkyl" are intended to mean any stable ring system, which may be saturated or partially unsaturated. Examples of such include, but are not limited to, cyclopropyl, cyclopentyl,
30 cyclohexyl, norbornyl, bicyclo[2.2.2]nonane, adamantyl, or tetrahydronaphthyl (tetralin).

As used herein, "carbocycle" or "carbocyclic residue" is intended to mean any stable 3- to 7-membered monocyclic or bicyclic or 7- to 13-membered bicyclic or tricyclic, any
35 of which may be saturated, partially unsaturated, or aromatic. Examples of such carbocycles include, but are not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, adamantyl, cyclooctyl,;
[3.3.0]bicyclooctane, [4.3.0]bicyclononane,
40 [4.4.0]bicyclodecane (decalin), [2.2.2]bicyclooctane, fluorenyl, phenyl, naphthyl, indanyl, adamantyl, or tetrahydronaphthyl (tetralin).

5 As used herein, the term "heterocycle" or "heterocyclic system" is intended to mean a stable 5- to 7- membered monocyclic or bicyclic or 7- to 10-membered bicyclic heterocyclic ring which is saturated partially unsaturated or unsaturated (aromatic), and which consists of carbon
10 atoms and from 1 to 4 heteroatoms independently selected from the group consisting of N, O and S and including any bicyclic group in which any of the above-defined heterocyclic rings is fused to a benzene ring. The nitrogen and sulfur heteroatoms may optionally be oxidized. The
15 heterocyclic ring may be attached to its pendant group at any heteroatom or carbon atom which results in a stable structure. The heterocyclic rings described herein may be substituted on carbon or on a nitrogen atom if the resulting compound is stable. If specifically noted, a nitrogen in
20 the heterocycle may optionally be quaternized. It is preferred that when the total number of S and O atoms in the heterocycle exceeds 1, then these heteroatoms are not adjacent to one another. It is preferred that the total number of S and O atoms in the heterocycle is not more than
25 1. As used herein, the term "aromatic heterocyclic system" is intended to mean a stable 5- to 7- membered monocyclic or bicyclic or 7- to 10-membered bicyclic heterocyclic aromatic ring which consists of carbon atoms and from 1 to 4 heterotams independently selected from the group consisting
30 of N, O and S. It is preferred that the total number of S and O atoms in the aromatic heterocycle is not more than 1.

Examples of heterocycles include, but are not limited to, 1H-indazole, 2-pyrrolidonyl, 2H,6H-1,5,2-dithiazinyl, 2H-pyrrolyl, 3H-indolyl, 4-piperidonyl, 4aH-carbazole, 4H-
35 quinoliziny, 6H-1,2,5-thiadiazinyl, acridinyl, azocinyl, benzimidazolyl, benzofuranyl, benzothiofuranyl, benzothiophenyl, benzoxazolyl, benzthiazolyl, benztriazolyl, benztetrazolyl, benzisoxazolyl, benzisothiazolyl, benzimidazalonyl, carbazolyl, 4aH-carbazolyl, b-carbolinyl,
40 chromanyl, chromenyl, cinnolinyl, decahydroquinolinyl, 2H,6H-1,5,2-dithiazinyl, dihydrofuro[2,3-b]tetrahydrofuran,

5 furanyl, furazanyl, imidazolidinyl, imidazoliny, imidazolyl, 1H-indazolyl, indolenyl, indoliny, indoliziny, indolyl, isobenzofuranyl, isochromanyl, isoindazolyl, isoindoliny, isoindolyl, isoquinoliny, isothiazolyl, isoxazolyl, morpholiny, naphthyridiny, 10 octahydroisoquinoliny, oxadiazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, oxazolidiny, oxazolyl, oxazolidiny, phenanthridiny, phenanthroliny, phenarsaziny, phenaziny, phenothiaziny, phenoxathiiny, phenoxaziny, phthalaziny, 15 piperaziny, piperidiny, pteridiny, piperidony, 4-piperidony, pteridiny, puriny, pyranyl, pyraziny, pyrazolidiny, pyrazoliny, pyrazolyl, pyridaziny, pyridooxazole, pyridoimidazole, pyridothiazole, pyridiny, pyridyl, pyrimidiny, pyrrolidiny, pyrroliny, pyrroly, 20 quinazoliny, quinoliny, 4H-quinoliziny, quinoxaliny, quinuclidiny, carboliny, tetrahydrofuranyl, tetrahydroisoquinoliny, tetrahydroquinoliny, 6H-1,2,5-thiadiaziny, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, thianthrenyl, thiazolyl, 25 thienyl, thienothiazolyl, thienooxazolyl, thienoimidazolyl, thiophenyl, triaziny, 1,2,3-triazolyl, 1,2,4-triazolyl, 1,2,5-triazolyl, 1,3,4-triazolyl, xanthenyl. Preferred heterocycles include, but are not limited to, pyridiny, furanyl, thienyl, pyrroly, pyrazolyl, imidazolyl, indolyl, 30 benzimidazolyl, 1H-indazolyl, oxazolidiny, benzotriazolyl, benzisoxazolyl, oxindolyl, benzoxazoliny, or isatinoyl. Also included are fused ring and spiro compounds containing, for example, the above heterocycles.

As used herein, "pharmaceutically acceptable salts" 35 refer to derivatives of the disclosed compounds wherein the parent compound is modified by making acid or base salts thereof. Examples of pharmaceutically acceptable salts include, but are not limited to, mineral or organic acid salts of basic residues such as amines; alkali or organic 40 salts of acidic residues such as carboxylic acids; and the like. The pharmaceutically acceptable salts include the

5 conventional non-toxic salts or the quaternary ammonium salts of the parent compound formed, for example, from non-toxic inorganic or organic acids. For example, such conventional non-toxic salts include those derived from inorganic acids such as hydrochloric, hydrobromic, sulfuric, 10 sulfamic, phosphoric, nitric and the like; and the salts prepared from organic acids such as acetic, propionic, succinic, glycolic, stearic, lactic, malic, tartaric, citric, ascorbic, pantoic, maleic, hydroxymaleic, 15 acetoxybenzoic, fumaric, toluenesulfonic, methanesulfonic, ethane disulfonic, oxalic, isethionic, and the like.

The pharmaceutically acceptable salts of the present invention can be synthesized from the parent compound which contains a basic or acidic moiety by conventional chemical 20 methods. Generally, such salts can be prepared by reacting the free acid or base forms of these compounds with a stoichiometric amount of the appropriate base or acid in water or in an organic solvent, or in a mixture of the two; generally, nonaqueous media like ether, ethyl acetate, 25 ethanol, isopropanol, or acetonitrile are preferred. Lists of suitable salts are found in Remington's Pharmaceutical Sciences, 18th ed., Mack Publishing Company, Easton, PA, 1990, p. 1445, the disclosure of which is hereby incorporated by reference.

30 The phrase "pharmaceutically acceptable" is employed herein to refer to those compounds, materials, compositions, and/or dosage forms which are, within the scope of sound medical judgment, suitable for use in contact with the tissues of human beings and animals without excessive 35 toxicity, irritation, allergic response, or other problem or complication commensurate with a reasonable benefit/risk ratio.

"Prodrugs", as the term is used herein, are intended to include any covalently bonded carriers which 40 release an active parent drug of the present invention in vivo when such prodrug is administered to a mammalian

5 vivo when such prodrug is administered to a mammalian
subject. Since prodrugs are known to enhance numerous
desirable qualities of pharmaceuticals (i.e., solubility,
bioavailability, manufacturing, etc.) the compounds of the
present invention may be delivered in prodrug form. Thus,
10 the present invention is intended to cover prodrugs of the
presently claimed compounds, methods of delivering the same,
and compositions containing the same. Prodrugs of the
present invention are prepared by modifying functional
groups present in the compound in such a way that the
15 modifications are cleaved, either in routine manipulation or
in vivo, to the parent compound. Prodrugs include compounds
of the present invention wherein a hydroxy, amino, or
sulfhydryl group is bonded to any group that, when the
prodrug of the present invention is administered to a
20 mammalian subject, it cleaves to form a free hydroxyl, free
amino, or free sulfhydryl group, respectively. Examples of
prodrugs include, but are not limited to, acetate, formate,
and benzoate derivatives of alcohol and amine functional
groups in the compounds of the present invention.

25 "Substituted" is intended to indicate that one or more
hydrogens on the atom indicated in the expression using
"substituted" is replaced with a selection from the
indicated group(s), provided that the indicated atom's
normal valency is not exceeded, and that the substitution
30 results in a stable compound. When a substituent is keto
(i.e., =O) group, then 2 hydrogens on the atom are replaced.

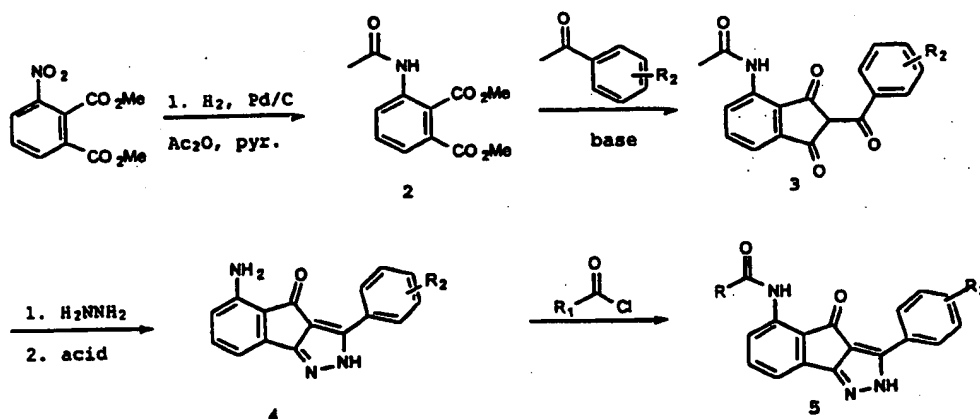
As used herein, the term "anti cancer" or "anti-
proliferative" agent includes, but is not limited to,
altretamine, busulfan, chlorambucil, cyclophosphamide,
35 ifosfamide, mechlorethamine, melphalan, thiotepa,
cladribine, fluorouracil, floxuridine, gemcitabine,
thioguanine, pentostatin, methotrexate, 6-mercaptopurine,
cytarabine, carmustine, lomustine, streptozotocin,
carboplatin, cisplatin, oxaliplatin, iproplatin,
40 tetraplatin, lobaplatin, JM216, JM335, fludarabine,
aminogluthetamide, flutamide, goserelin, 1 uprolide,

- 5 diethylstilbestrol, prednisone, bleomycin, dactinomycin, daunorubicin, doxorubicin, idarubicin, mitoxantrone, losoxantrone, mitomycin-c, plicamycin, paclitaxel, docetaxel, topotecan, irinotecan, 9-amino camptothecin, 9-nitro camptothecin, GS-211, etoposide, teniposide,
- 10 vinblastine, vincristine, vinorelbine, procarbazine, asparaginase, pegaspargase, octreotide, estramustine, hydroxyurea.

SYNTHESIS

- 15 The compounds of the present invention can be synthesized using the methods described below, together with synthetic methods known in the art of synthetic organic chemistry, or variations thereon as appreciated by those skilled in the art. Preferred methods include, but are not
- 20 limited to, those methods described below. Each of the references cited below are hereby incorporated herein by reference.

SCHEME 1

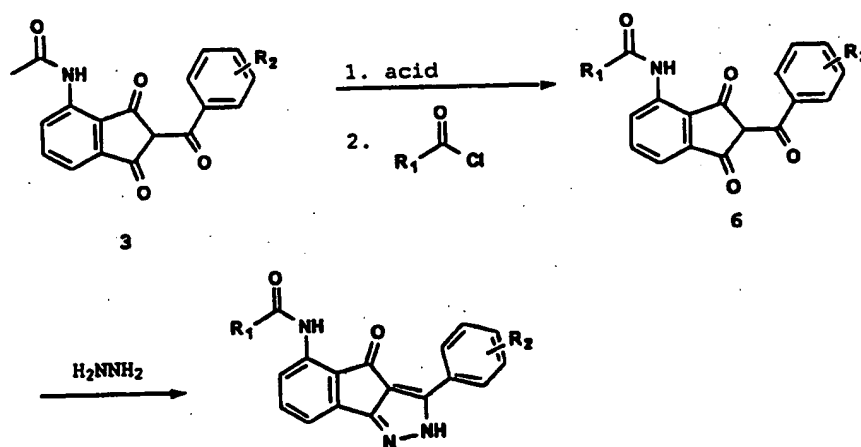


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- An approach to preparing indeno[1,2-c]pyrazol-4-ones is presented in Scheme 1 and can be used to prepare compounds of the present invention. The nitro group of dimethyl 3-nitrophthalate was reduced to the amine using catalytic
- 30 hydrogenation. The aniline was acylated using acetic anhydride and pyridine as a base. A mixture of the resulting acetamide 2 and an acetophenone was treated with a strong

5 base in an appropriate solvent at elevated temperature to give the desired triketone 3. Additional means of preparing triketones are known to one skilled in the art as described in Kilgore et al, *Industrial and Engineering Chemistry* 34:494-497, 1946, the contents of which are hereby
10 incorporated herein by reference. The triketone was treated with hydrazine at elevated temperature in an appropriate solvent to give the indeno[1,2-c]pyrazol-4-one ring system. Additional means of preparing indeno[1,2-c]pyrazol-4-ones are known to one skilled in the art as described in Lemke et
15 al., *J. Heterocyclic Chem.* 19:1335-1340, 1982; Mosher and Soeder, *J. Heterocyclic Chem.* 8:855-59, 1971; Hrncliar and Svanygova *Collect. Czech. Chem. Commun.* 59:2734-40, 1994 the contents of which are hereby incorporated herein by reference. The amide was deacylated by heating with a strong
20 acid in an appropriate solvent to give aniline 4. This aniline was acylated under standard conditions using an acid chloride in an appropriate solvent to give the desired product 5.

SCHEME 2



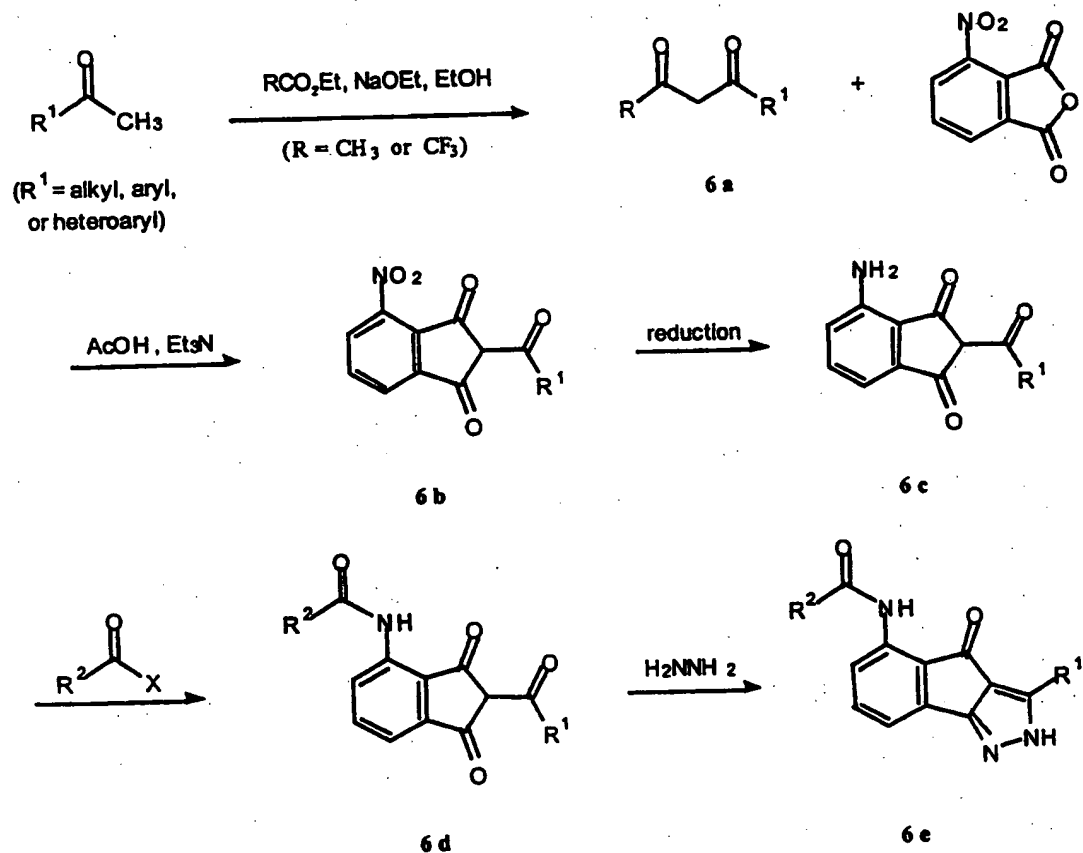
25

An alternative method for making compounds of the present invention is shown in Scheme 2. The intermediate triketone 3 can be deacylated with strong acid and
30 reacylated with an appropriate acid chloride using methods

5 known to those skilled in the art. Subsequently, triketone 6 can be converted to the indeno[1,2-c]pyrazol-4-one ring system using the same conditions described previously in Scheme 1.

10

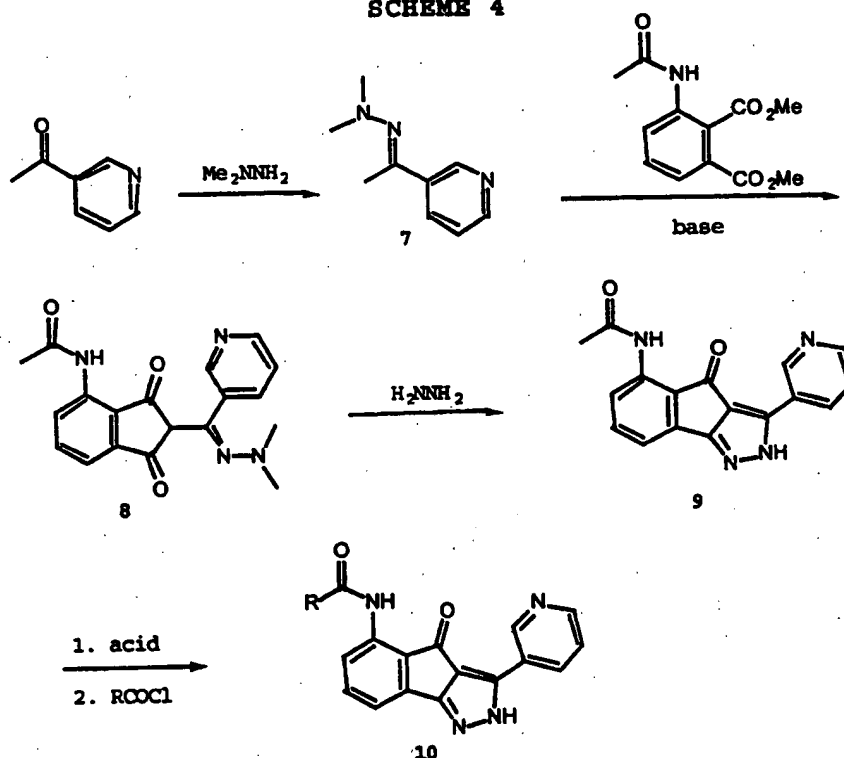
SCHEME 3



Another method for preparing the triketones 6 of Scheme 2 employs the condensation of a 1,3-diketone 6a with 3-nitrophthalic anhydride as described in Rotberg and Oshkaya, Zh. Organ. Khim. 8:84-87, 1972; Zh. Organ. Khim. 9:2548-2550, 1973, the contents of which are hereby incorporated her in by reference. The 1,3-diketones, when not commercially available can be readily prepared by on skilled in the art by the acetylation or trifluoroacetylation of the requisite methyl ketone, R^1COCH_3 .

- 5 Reduction of the nitro derivative 6b to the aniline 6c can be accomplished in a variety of ways including catalytic hydrogenation, treatment with zinc or iron under acidic conditions, or treatment with other reducing agents such as sodium dithionite or stannous chloride. Subsequently the
- 10 aniline 6c can be converted to the indeno[1,2-c]pyrazol-4-ones of this invention by acylation followed by treatment with hydrazine as described previously in Scheme 2.

SCHEME 4



15

- Another method for making the indeno[1,2-c]pyrazol-4-one ring system is shown in Scheme 4. Dimethyl hydrazine was reacted with 3-acetylpyridine with no solvent to give the hydrazone 7. This was treated in a similar fashion as
- 20 described in Scheme 1 to give the desired intermediate 8. Additional means of preparing similar intermediates are known to one skilled in the art as described in Rappoport, *J. Org. Chem.* 49:2948-2953, 1984, the contents of which are hereby incorporated herein by reference. This intermediate

5 was carried through the sequence in a similar fashion as described in Scheme 1.

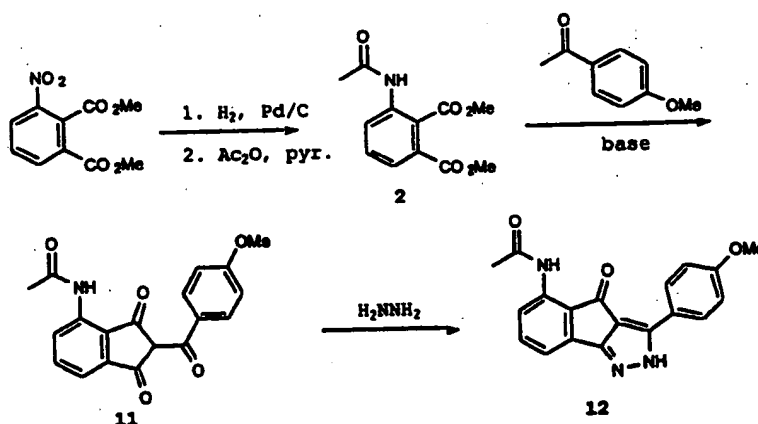
Other features of the invention will become apparent during the following descriptions of exemplary embodiments which are given for illustration of the invention and are
10 not intended to be limiting thereof.

Examples

Abbreviations used in the Examples are defined as follows: "°C" for degrees Celsius, "CIMS" for chemical
15 ionization mass spectroscopy, "eq" for equivalent or equivalents, "g" for gram or grams, "h" for hour or hours, "mg" for milligram or milligrams, "mL" for milliliter or milliliters, "mmol" for millimolar, "M" for molar, "min" for minute or minutes, "p-TsOH" for para-toluenesulphonic acid,
20 "DMF" for dimethylformamide, and "TFA" for trifluoroacetic acid.

Example I

Preparation of 3-(4-methoxyphenyl)-5-(acetamido)indeno[1,2-
25 c]pyrazol-4-one



Step 1. Synthesis of 2 from dimethyl 3-nitrophthalate.

30

A solution of dimethyl 3-nitrophthalate (25 g, 105 mmol) in methanol (100 mL) was treated with 5% Pd/C (2.5 g)

5 and hydrogenated on a Parr Shaker at 50 psi for 2 h. The solution was filtered (Celite), the filtrate collected and the solvent removed at reduced pressure. The residue was dissolved in acetic anhydride (20 mL) treated with pyridine (0.05 mL) and heated to 80 °C for 1 min. The reaction was
10 cooled and stirred at 25 °C for 2 h. The solvent was removed at reduced pressure and the residue recrystallized from ethanol to give the product as a white solid (21 g, 79%). mp 104-105 °C; CIMS m/e calc'd for C₁₂H₁₄NO₅: 252.0872, found 252.0888; Analysis calc'd for C₁₂H₁₃NO₅: C, 57.37; H, 5.22;
15 N, 5.58; found: C, 57.67; H, 5.29; N, 5.77.

Step 2. Synthesis of triketone 11 from 2.

A solution of 2 (1 g, 4.0 mmol) in dry DMF (2 mL)
20 was treated with sodium hydride (0.15 g, 60% suspension in oil, 0.4 mmol) in one portion. After 1 h, 4-methoxyacetophenone (0.6 g, 4.0 mmol) was added in one portion and the reaction heated to 90 °C. A second portion of sodium hydride (0.15 g, 60% suspension in oil, 0.4 mmol)
25 was added and the exothermic reaction turns deep red. After 20 min, the reaction was cooled to 25 °C, diluted with water (20 mL), extracted with EtOAc (10 mL) and the aqueous phase separated. The aqueous phase was acidified with 2 N HCl to pH 2 and the crude product collected. Recrystallization with
30 ethanol gave the desired product as a yellow solid (0.4 g, 30%). mp 174-175 °C; CIMS m/e calc'd for C₁₉H₁₆NO₅: 338.1028, found 338.1022; Analysis calc'd for C₁₉H₁₅NO₅: C, 67.65; H, 4.48; N, 4.15; found: C, 67.87; H, 4.29; N, 3.99.

35 Step 3. Synthesis of 12 from 11.

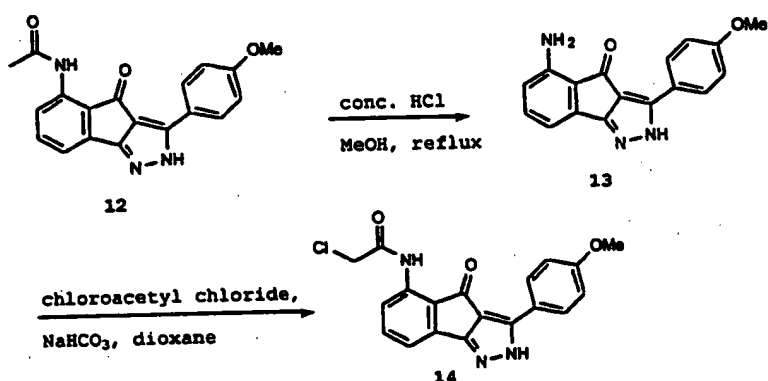
A solution of 11 (0.2 g, 0.6 mmol) in EtOH (5 mL) was treated with hydrazine hydrate (0.1 mL, 1.8 mmol) and p-TsOH (3 mg). The reaction was heated to reflux and stirred for 2
40 h. The reaction was cooled to 25 °C and the product

- 5 collected as a yellow solid (0.1 g, 50%). mp 268 °C; CIMS
m/e calc'd for C₁₉H₁₆N₃O₃: 334.1192, found: 334.1168;
Analysis calc'd for C₁₉H₁₅N₃O₃: C, 68.46; H, 4.54; N, 12.61;
found: C, 68.81; H, 4.39; N, 12.45.

10

Example II

Preparation of 3-(4-methoxyphenyl)-5-
(chloroacetamido)indeno[1,2-c]pyrazol-4-one



15

Step 1. Synthesis of 13 from 12.

- A suspension of 12 (1.0 g, 3.0 mmol) in MeOH (10 mL) was treated with conc. HCl (1 mL) and heated to reflux.
- 20 After 2 h, the reaction was cooled and the product was collected as a greenish solid (0.7 g, 81%). mp 273 °C; CIMS
m/e calc'd for C₁₇H₁₄N₃O₂: 292.1086, found: 292.1080;
Analysis calc'd for C₁₇H₁₃N₃O₂: C, 69.85; H, 4.83; N, 14.37;
found: C, 69.99; H, 4.59; N, 14.44.

25

Step 2. Synthesis of 14 from 13.

- A suspension of 13 (20 mg, 0.07 mmol) in dioxane (2 mL) was treated with aqueous sat. NaHCO₃ (1 mL) and chloroacetyl
30 chloride (30 mL, 0.21 mmol). The reaction was heated to 50
°C and stirred for 2 h. The reaction was cooled, poured into

5 water (2 mL), extracted with EtOAc (10 mL), the organic layer separated, dried (MgSO₄) and the solvent removed at reduced pressure. The solid residue was recrystallized from EtOH to give the product as a yellow solid (9 mg, 35%). mp 274 °C; CIMS m/e calc'd for C₁₉H₁₅N₃O₃Cl: 368.0802, found:
10 368.0818.

Example III

Preparation of 3-(4-methoxyphenyl)-5-(cyclopropylamido)indeno[1,2-c]pyrazol-4-one

15 Prepared in a similar fashion as described for example II using cyclopropylacetyl chloride as the starting material. mp 289 °C; CIMS m/e calc'd for C₂₁H₁₈N₃O₃: 360.1348, found: 360.1330.

20

Example IV

Preparation of 3-(4-methoxyphenyl)-5-(isopropylamido)indeno[1,2-c]pyrazol-4-one

25 Prepared in a similar fashion as described for example II using isopropylacetyl chloride as the starting material. mp 288 °C; CIMS m/e calc'd for C₂₁H₂₀N₃O₃: 362.1505, found: 362.1535.

30

Example V

Preparation of 3-(4-methoxyphenyl)-5-(ethylamido)indeno[1,2-c]pyrazol-4-one

35 Prepared in a similar fashion as described for example II using propionyl chloride as the starting material. mp 287 °C; CIMS m/e calc'd for C₂₀H₁₈N₃O₃: 348.1348, found: 348.1313.

Examp1 VI

5 Preparation of 3-(4-methoxyphenyl)-5-
 (cyclopentylamid)inden [1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example
II using cyclopentylacetyl chloride as the starting
10 material. mp 267 °C; CIMS m/e calc'd for C₂₃H₂₂N₃O₃:
388.1661, found: 388.1626.

Example VII

15 Preparation of 3-(4-methoxyphenyl)-5-
 (cyclobutylamido)indeno[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example
II using cyclobutylacetyl chloride as the starting material.
mp 297 °C; CIMS m/e calc'd for C₂₂H₂₀N₃O₃: 374.1505, found:
20 374.1530.

Example VIII

25 Preparation of 3-(4-methoxyphenyl)-5-
 (phenylacetamido)indeno[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example
II using phenylacetyl chloride as the starting material. mp
280 °C; CIMS m/e calc'd for C₂₅H₂₀N₃O₃: 410.1505, found:
410.1533.

30

Example IX

Preparation of 3-(4-methoxyphenyl)-5-(butylamido)indeno[1,2-
c]pyrazol-4-one.

35 Prepared in a similar fashion as described for example
II using butyryl chloride as the starting material. mp 282
°C; CIMS m/e calc'd for C₂₁H₂₀N₃O₃: 362.1505, found:
362.1500.

5

Example X

Preparation of 3-(4-methoxyphenyl)-5-((4-chlorophenyl)acetamido)indeno[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example II using 4-chlorophenylacetyl chloride as the starting material. mp 238 °C; CIMS m/e calc'd for C₂₅H₁₉N₃O₃Cl: 444.1115, found: 444.1110.

Example XI

15

Preparation of 3-(4-methoxyphenyl)-5-((3-methoxyphenyl)acetamido)indeno[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example II using 3-methoxyphenylacetyl chloride as the starting material. mp >300 °C; CIMS m/e calc'd for C₂₆H₂₂N₃O₄: 440.1610, found: 440.1620.

Example XII

25

Preparation of 3-(4-methoxyphenyl)-5-((4-methoxyphenyl)acetamido)indeno[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example II using 4-methoxyphenylacetyl chloride as the starting material. mp 280 °C; CIMS m/e calc'd for C₂₆H₂₂N₃O₄: 440.1610, found: 440.1630.

Example XIII

35

Preparation of 3-(4-methoxyphenyl)-5-((3,4-dimethoxyphenyl)acetamido)indeno[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example II using 3,4-dimethoxyphenylacetyl chloride as the starting material. mp >300 °C; CIMS m/e calc'd for C₂₇H₂₄N₃O₅: 470.1716, found: 470.1731.

5

Examp1 XIV

Preparation of 3-(4-methoxyphenyl)-5-((2,5-dimethoxyphenyl)acetamido)indeno[1,2-c]pyrazol-4-one

10 Prepared in a similar fashion as described for example II using 2,5-dimethoxyphenylacetyl chloride as the starting material. mp 226 °C; CIMS m/e calc'd for C₂₇H₂₄N₃O₅: 470.1716, found: 470.1739.

15

Example XV

Preparation of 3-(2-methoxyphenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one

20 Prepared in a similar fashion as described for example I using 2-methoxyacetophenone as the starting material. mp 276 °C; CIMS m/e calc'd for C₁₉H₁₆N₃O₃: 334.1192, found: 334.1169.

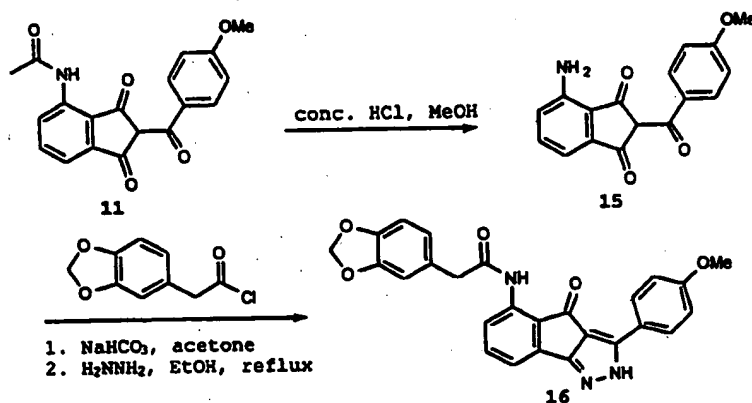
Example XVI

25 Preparation of 3-(3,4-dimethoxyphenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example I using 3,4-dimethoxyacetophenone as the starting material.
30 mp >300 °C; CIMS m/e calc'd for C₂₀H₁₈N₃O₄: 364.1297, found: 364.1288.

Example XVII

35 Preparation of 3-(4-methoxyphenyl)-5-((3,4-ethylenedioxyphenyl)acetamido)indeno[1,2-c]pyrazol-4-one



5

Step 1. Synthesis of 15 from 11.

A suspension of 11 (5 g, 14.8 mmol) in MeOH (50 mL) was treated with conc. HCl (3 mL) and heated to reflux. After stirring for 2 h, the reaction was cooled to 0 °C and the product collected as a yellow solid (4.2 g, 96%). mp 173 °C; CIMS m/e calc'd for C₁₇H₁₄NO₄: 296.0923, Found: 296.0901.

Step 2. Synthesis of 16 from 15.

A suspension of 15 (20 mg, 0.07 mmol) in acetone (2 mL) was treated with NaHCO₃ (10 mg) and the acid chloride of (3,4-methylenedioxyphenyl)acetic acid (prepared by heating the acid in a benzene:thionyl chloride 4:1 mixture at 50 °C for 2 h, removing the volatile components at reduced pressure, and using the crude acid chloride without further purification). The reaction was heated to 50 °C and stirred for 2 h. The reaction was cooled, poured into water (4 mL), extracted with EtOAc (10 mL), dried (MgSO₄), filtered and concentrated. The crude triketone was suspended in EtOH (2 mL), treated with hydrazine hydrate (0.05 mL) and p-TsOH (1 mg) and heated to reflux for 2 h. The reaction was cooled to 0 °C and the product filtered to give a yellow solid (6.5 mg, 20%). mp 297 °C; CIMS m/e calc'd for C₂₆H₂₀N₃O₅: 454.1403, Found: 454.1398.

5

Example XVIII

Preparation of 3-(4-dimethoxyphenyl)-5-((3-thiophene)ac tamido)indeno[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example XVII using the acid chloride of 3-thiopheneacetic acid as the starting material. mp 293 °C; CIMS m/e calc'd for C₂₃H₁₈N₃O₃S: 416.1069, found: 416.1088.

Example XIX

15 Preparation of 3-(4-methoxyphenyl)-5-((2-methoxyphenyl)acetamido)indeno[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example XVII using the acid chloride of 2-methoxyphenylacetic acid as the starting material. mp 255 °C; CIMS m/e calc'd for C₂₆H₂₂N₃O₄: 440.1610, found: 440.1622.

Example XX

25 Preparation of 3-(4-methoxyphenyl)-5-((3,4-dichlorophenyl)acetamido)indeno[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example XVII using the acid chloride of 3,4-dichlorophenylacetic acid as the starting material. mp 299 °C; CIMS m/e calc'd for C₂₅H₁₈N₃O₃Cl₂: 478.0725, found: 478.0744.

Example XXI

35 Preparation of 3-(4-methoxyphenyl)-5-((2,4-dichlorophenyl)acetamido)indeno[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example XVII using the acid chloride of 2,4-dichlorophenylacetic

- 5 acid as the starting material. mp 286 °C; CIMS *m/e* calc'd
for C₂₅H₁₈N₃O₃Cl₂: 478.0725, found: 478.0734.

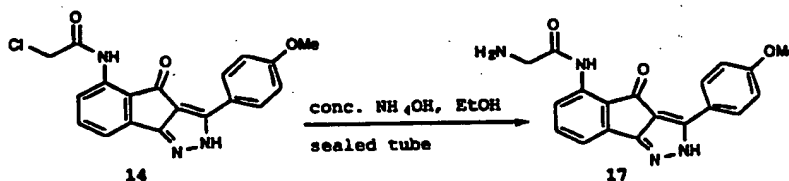
Example XXII

- Preparation of 3-(4-methoxyphenyl)-5-((2-
10 chlorophenyl)acetamido)indeno[1,2-*c*]pyrazol-4-one

- Prepared in a similar fashion as described for example
XVII using the acid chloride of 2-chlorophenylacetic acid as
the starting material. mp 300 °C; CIMS *m/e* calc'd for
15 C₂₅H₁₉N₃O₃Cl: 444.1115, found: 444.1111.

Example XXIII

- Preparation of 3-(4-methoxyphenyl)-5-
(aminoacetamido)indeno[1,2-*c*]pyrazol-4-one
20



- A suspension of 14 (15 mg, 0.04 mmol) in EtOH (1 mL)
was treated with conc. NH₄OH (1 mL), placed in a sealed tube
25 and heated to 80 °C for 3 h. The reaction was cooled and the
solvent removed at reduced pressure. The residue was
recrystallized from EtOH to give the product as a yellow
solid (9 mg, 62%). mp >300 °C; CIMS *m/e* calc'd for
C₂₀H₁₉N₄O₃: 363.1457, Found: 363.1431.

30

Example XXIV

- Preparation of 3-(4-methoxyphenyl)-5-((2-
hydroxyethyl)aminoacetamido)indeno[1,2-*c*]pyrazol-4-one

- 5 Prepared in a similar fashion as described for example XXIII using hydroxylamine as the starting material. mp 243 °C; CIMS m/e calc'd for C₂₁H₂₁N₄O₄: 393.1563, found: 393.1539.

10 Example XXV

Preparation of 3-(4-methoxyphenyl)-5-(N,N-dimethylaminoacetamido)indeno[1,2-c]pyrazol-4-one

- 15 Prepared in a similar fashion as described for example XXIII using dimethylamine as the starting material. mp 279 °C; CIMS m/e calc'd for C₂₁H₂₁N₄O₃: 377.1614, found: 377.1640.

Example XXVI

- 20 Preparation of 3-(4-methoxyphenyl)-5-(piperazinylacetamido)indeno[1,2-c]pyrazol-4-one

- Prepared in a similar fashion as described for example XXIII using piperazine as the starting material. mp 277 °C;
25 CIMS m/e calc'd for C₂₃H₂₄N₅O₃: 418.1879, found: 418.1899.

Example XXVII

Preparation of 3-(4-methoxyphenyl)-5-(4-methylpiperazinylacetamido)indeno[1,2-c]pyrazol-4-one

- 30 Prepared in a similar fashion as described for example XXIII using 4-methylpiperazine as the starting material. mp >300 °C; CIMS m/e calc'd for C₂₄H₂₆N₅O₃: 432.2036, found: 432.2030.

35

Example XXVIII

Preparation of 3-(4-methoxyphenyl)-5-(4-(2-hydroxy thyl)piperazinylacetamido)indeno[1,2-c]pyrazol-4-one

5 Prepared in a similar fashion as described for example
XXIII using 4-hydroxyethylpiperizine as the starting
material. mp >300 °C; CIMS m/e calc'd for C₂₅H₂₈N₅O₄:
462.2141, found: 462.2128.

10

Example XXIX

Preparation of 3-(4-methoxyphenyl)-5-
(piperidinylacetamido)indeno[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example
15 XXIII using piperidine as the starting material. mp 291 °C;
CIMS m/e calc'd for C₂₄H₂₅N₄O₃: 417.1927, found: 417.1955.

Example XXX

Preparation of 3-(4-methoxyphenyl)-5-(4-
20 aminomethylpiperidinylacetamido)indeno[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example
XXIII using 4-aminomethylpiperidine as the starting
material. mp >300 °C; CIMS m/e calc'd for C₂₅H₂₈N₅O₃:
25 446.2192, found: 446.2166.

Example XXXI

Preparation of 3-(4-methoxyphenyl)-5-
(ethylaminoacetamido)indeno[1,2-c]pyrazol-4-one

30

Prepared in a similar fashion as described for example
XXIII using ethylamine as the starting material. mp 250 °C;
CIMS m/e calc'd for C₂₁H₂₁N₄O₃: 377.1614, found: 377.1644.

35

Example XXXII

Preparation of 3-(4-methoxyphenyl)-5-
(thiomorpholinylacetamido)indeno[1,2-c]pyrazol-4-one

- 5 Prepared in a similar fashion as described for example XXIII using thiomorpholine as the starting material. mp 298 °C; CIMS m/e calc'd for C₂₃H₂₃N₄O₃S: 435.1491, found: 435.1477.

10

Example XXXIII

Preparation of 3-(4-methoxyphenyl)-5-(morpholinylacetamido)indeno[1,2-c]pyrazol-4-one

- 15 Prepared in a similar fashion as described for example XXIII using morpholine as the starting material. mp 295 °C; CIMS m/e calc'd for C₂₃H₂₃N₄O₄: 419.1719, found: 419.1744.

Example XXXIV

- 20 Preparation of 3-(4-methoxyphenyl)-5-(pyrrolidinylacetamido)indeno[1,2-c]pyrazol-4-one

- Prepared in a similar fashion as described for example XXIII using pyrrolidine as the starting material. mp 279 °C; CIMS m/e calc'd for C₂₃H₂₃N₄O₃: 403.1770, found: 403.1761.

25

Example XXXV

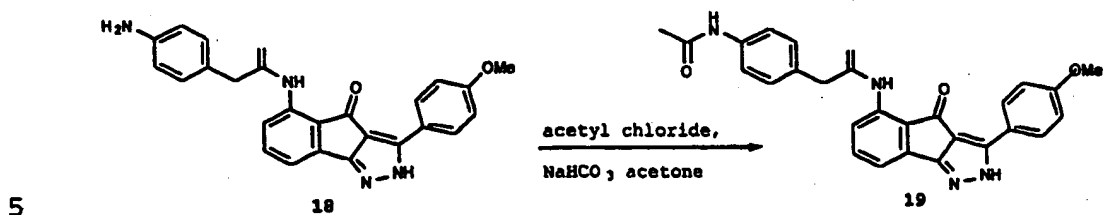
Preparation of 3-(4-methoxyphenyl)-5-(4-pyridinylaminomethylacetamido)indeno[1,2-c]pyrazol-4-one

- 30 Prepared in a similar fashion as described for example XXIII using 4-aminomethylpyridine as the starting material. mp >300 °C; CIMS m/e calc'd for C₂₅H₂₂N₅O₃: 440.1723, found: 440.1762.

35

Example XXXVI

Preparation of 3-(4-methoxyphenyl)-5-((4-acetamidophenyl)acetamido)inden [1,2-c]pyrazol-4-on



A suspension of 18 (10 mg, 0.02 mmol) in dioxane (1 mL) was treated with aqueous sat. NaHCO_3 (0.5 mL) and acetyl chloride (0.01 mL) and heated at 50 °C for 1 h. The reaction was cooled, poured into water (5 mL), extracted with EtOAc (10 mL), the organic layer separated, dried (MgSO_4) and the solvent removed at reduced pressure. The residue was recrystallized from EtOH to give the product as a yellow solid (5.6 mg, 61%). mp 268 °C; CIMS m/e calc'd for $\text{C}_{27}\text{H}_{23}\text{N}_4\text{O}_4$: 467.1719, Found: 467.1730.

Example XXXVII

Preparation of 3-(4-methoxyphenyl)-5-((4-methoxycarbonylamino)phenyl)acetamido)indeno[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example XXXII using methylchloroformate as the starting material. mp 257 °C; CIMS m/e calc'd for $\text{C}_{27}\text{H}_{23}\text{N}_4\text{O}_5$: 483.1668, found: 483.1633.

Example XXXVIII

Preparation of 3-(4-methoxyphenyl)-5-((4-aminomethylcarbonylamino)phenyl)acetamido)indeno[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example XXIII and XXXII using chloroacetyl chloride and conc. NH_4OH as the starting materias. mp 228 °C; CIMS m/e calc'd for $\text{C}_{27}\text{H}_{24}\text{N}_5\text{O}_4$: 482.1828, found: 482.1844.

5

Example XXXIX

Preparation of 3-(4-methoxyphenyl)-5-((4-N,N-dimethylaminomethylcarbonylaminophenyl)acetamido)indeno[1,2-c]pyrazol-4-one

10

Prepared in a similar fashion as described for example XXIII and XXXII using chloroacetyl chloride and dimethylamine as the starting materials. mp >300 °C; CIMS m/e calc'd for C₂₉H₂₈N₅O₄: 510.2141, found: 510.2121.

15

Example XL

Preparation of 3-(4-methoxyphenyl)-5-((4-azidophenyl)acetamido)indeno[1,2-c]pyrazol-4-one

20

A solution of example XXXVI (20 mg, 0.04 mmol) in DMF (2 mL) was treated with 5% palladium on carbon (5 mg) and hydrogenated at atmospheric pressure using a hydrogen balloon. After 2 h, the solution was filtered (Celite), and the solvent removed at reduced pressure. The residue was recrystallized from EtOH to give the product as a yellow solid (15 mg, 78%). mp >300 °C; CIMS m/e calc'd for C₂₅H₁₉N₆O₃: 451.1519, found: 451.1544.

25

Example XLI

Preparation of 3-(4-methoxyphenyl)-5-((4-aminophenyl)acetamido)indeno[1,2-c]pyrazol-4-one

30

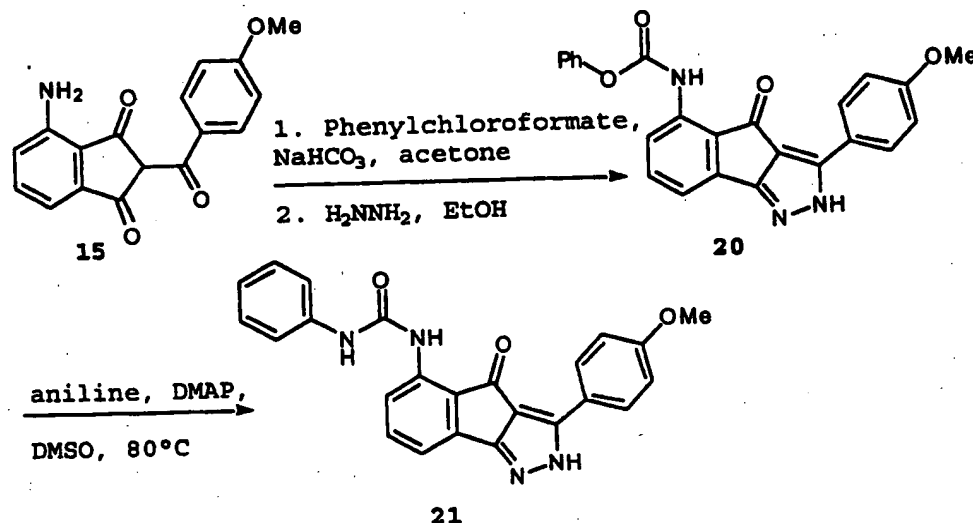
Prepared in a similar fashion as described for example XXVII using the acid chloride of 4-azidophenylacetic acid as the starting material. mp 283°C; CIMS m/e calc'd for C₂₅H₂₁N₄O₃: 425.1614, found: 425.1643.

35

5

Example XLII

Preparation of 3-(4-methoxyphenyl)-5-(phenylcarbamoyl)aminoindeno
[1,2-c]pyrazol-4-one



10

Step 1. Synthesis of 20 from 15.

A suspension of 15 (0.5 g, 1.7 mmol) in acetone (10 mL) was treated with NaHCO₃ (0.5 g) and phenyl chloroformate. The mixture was heated to 50 °C for 2 h. The reaction was cooled, poured into water (20 mL), extracted with EtOAc (40 mL), the organic layer separated, dried (MgSO₄) and the solvent removed at reduced pressure. The residue was suspended in EtOH (10 mL) and treated with hydrazine hydrate (0.16 mL, 5.1 mmol) and p-TsOH (10 mg). The mixture was heated to reflux and stirred for 3 h. The reaction was cooled to 0 °C and the product collected as a yellow solid (0.25 g, 36%). mp 195 °C; CIMS m/e calc'd for C₂₄H₁₈N₃O₄: 412.1297, Found: 412.1308.

Step 2. Synthesis of 21 from 20.

5 A solution of 20 (20 mg, 0.05 mmol) in DMSO (2 mL) was
treated with aniline (20 mL, mmol) and dimethylaminopyridine
(1 mg). The mixture was heated to 80 °C for 2 h. The
reaction was cooled, poured into water (4 mL), extracted
with EtOAc (15 mL), the organic layer separated, dried
10 (MgSO₄) and the solvent removed at reduced pressure. The
residue was recrystallized from EtOH to give the product as
a yellow solid (9 mg, 44%). mp >300 °C; CIMS m/e calc'd for
C₂₄H₁₉N₄O₃: 411.1457, Found: 411.1432.

15

Example XLIII

Preparation of 3-(4-methoxyphenyl)-5-
(butylcarbamoyl)aminoindeno[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example
20 XLII using butyl amine as the starting material. mp 252 °C;
CIMS m/e calc'd for C₂₁H₂₁N₄O₃: 377.1614, found: 377.1633.

Example XLIV

Preparation of 3-(4-methoxyphenyl)-5-(4-
25 aminobenzylcarbamoyl)aminoindeno[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example
XLII using 4-aminobenzyl amine as the starting material. mp
>300 °C; CIMS m/e calc'd for C₂₅H₂₂N₅O₃: 440.1723, found:
30 440.1700.

Example XLV

Preparation of 3-(4-methoxyphenyl)-5-(4-
pyridylcarbamoyl)aminoindeno[1,2-c]pyrazol-4-one

35

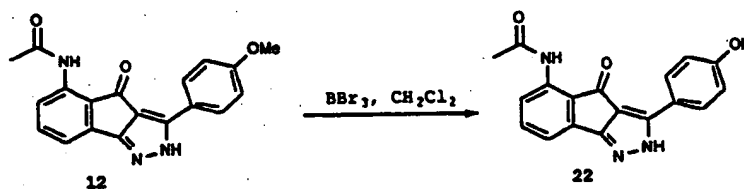
Prepared in a similar fashion as described for example
XLII using 4-aminomethylpyridine as the starting material.
mp >300 °C; CIMS m/e calc'd for C₂₄H₂₀N₅O₃: 426.1566, found:
426.1533.

5

Exempl XLVI

Preparation of 3-(4-hydroxyphenyl)-5-(ac tamido)inden [1,2-c]pyrazol-4-one

10

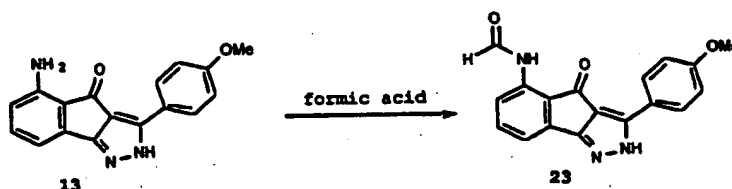


A suspension of 12 (20 mg, 0.07 mmol) in CH_2Cl_2 (2 mL) was treated with excess BBr_3 (1.0 mL, 1.0 M in CH_2Cl_2) and stirred for 20 h. The reaction was slowly poured into aqueous sat. NaHCO_3 (5 mL), extracted with EtOAc (10 mL), dried (MgSO_4) and concentrated. The residue was recrystallized from EtOH to give the desired product as a yellow solid (7.5 mg, 33%). mp $>300^\circ\text{C}$; CIMS m/e calc'd for $\text{C}_{18}\text{H}_{14}\text{N}_3\text{O}_3$: 320.1035, Found: 320.1050.

Example XLVII

Preparation of 3-(4-methoxyphenyl)-5-(formamido)indeno[1,2-c]pyrazol-4-one

25

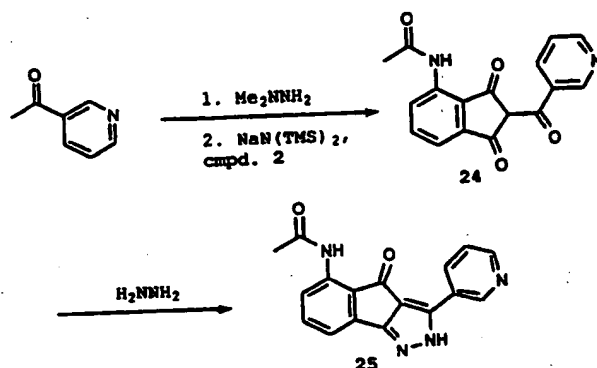


A suspension of 13 (20 mg, 0.06 mmol) in formic acid (2 mL) was heated to 100°C for 2 h. The reaction mixture was cooled and the solvent removed at reduced pressure. The residue was recrystallized from EtOH to give the desired product.

- 5 product as a yellow solid (12 mg, 63%). mp 280 °C; CIMS m/e calc'd for $C_{18}H_{14}N_3O_3$: 320.1035, Found: 320.1040.

Example XLVIII

- 10 Preparation of 3-(3-pyridyl)-5-(acetamido)indeno
[1,2-c]pyrazol-4-one



- 15 Step 1. Synthesis of 24 from 3-acetylpyridine.

A solution of 3-acetylpyridine (1.0 g, 8.3 mmol) in benzene (3 mL) was treated with 1,1-dimethylhydrazine (0.62 mL, 8.3 mmol) and p-TsOH (5 mg). The mixture was heated to 85 °C and
20 stirred for 3 h. The reaction was cooled and the solvent removed at reduced pressure. This crude hydrazone was treated with 1.0 M $NaN(TMS)_2$ in THF (16.6 mL, 16.6 mmol) at 25 °C over 5 min. After 30 min dimethyl 3-acetamidophthalate (2.1 g, 8.3 mmol) was added in one portion and the reaction
25 heated to reflux. Stirring was continued for 6 h. The reaction was cooled and quenched by the slow addition of TFA. The solvent was removed at reduced pressure and the residue chromatographed (silica, 2.5-5 % $MeOH/CH_2Cl_2$) to give the product as a yellow solid (0.35 g, 14%). mp 265 °C;
30 CIMS m/e calc'd for $C_{17}H_{13}N_2O_4$: 309.0875, Found: 309.0888.

Step 2. Synthesis of 25 from 24.

5

A suspension of 24 (30 mg, 0.09 mmol) in EtOH (2 mL) was treated with hydrazine hydrate (0.05 mL) and p-TsOH (1 mg) and heated to reflux. After stirring for 2 h. the reaction was cooled and the product filtered to give a yellow solid (12 mg, 44%). mp >300 °C; CIMS m/e calc'd for $C_{17}H_{13}N_4O_2$: 305.1039, Found: 305.1048.

10

Example XLIX

Preparation of 3-(4-pyridyl)-5-(acetamido)indeno
[1,2-c]pyrazol-4-one

15

Prepared in a similar fashion as described for example XLVIII using 4-acetylpyridine as the starting material. mp >300 °C; CIMS m/e calc'd for $C_{17}H_{13}N_4O_2$: 305.1039, found: 305.1046.

20

Example L

Preparation of 3-(4-pyridyl)-5-(formamido)indeno
[1,2-c]pyrazol-4-one

25

Prepared in a similar fashion as described for example XLVII using 4-acetylpyridine as the starting material. mp >300 °C; CIMS m/e calc'd for $C_{16}H_{11}N_4O_2$: 291.0882, found: 291.0882.

30

Example LI

Preparation of 3-phenyl-5-(acetamido)indeno
[1,2-c]pyrazol-4-one

35

Prepared in a similar fashion as described for example I using acetophenone as the starting material. mp >300 °C; CIMS m/e calc'd for $C_{18}H_{13}N_3O_2$: 304.1065, found: 304.1086.

5

Example LII

Preparation of 3-(4-methylthiophenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example I using 4'-methylthioacetophenone as the starting material. mp 283 °C; CIMS m/e calc'd for C₁₉H₁₅N₃O₂S: 350.0956, found: 350.0963.

15

Example LIII

Preparation of 3-(4-methylsulphonylphenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one

Prepared by oxidation of the product of example LII. mp >300 °C; CIMS m/e calc'd for C₁₉H₁₅N₃O₄S: 382.0860, found: 382.0862.

20

Example LIV

Preparation of 3-(4-N,N-dimethylaminophenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one

25

Prepared in a similar fashion as described for example I using 4'-N,N,-dimethylaminoacetophenone as the starting material. mp >300 °C; CIMS m/e calc'd for C₂₀H₁₈N₄O₂: 347.1496, found: 347.1508.

30

Example LV

Preparation of 3-(4-N,N-dimethylaminophenyl)-5-(morpholinylacetamido)indeno[1,2-c]pyrazol-4-one

35

Prepared in a similar fashion as described for examples II and XXIII employing the product of example LIV and morpholine as the starting materials. mp >300 °C; CIMS m/e calc'd for C₂₄H₂₆N₅O₃: 432.2036, found: 432.2020.

5

Example LVI

Preparation of 3-(4-N,N-dimethylaminophenyl)-5-(dimethylaminoacetamido)indeno[1,2-c]pyrazol-4-one

10 Prepared in a similar fashion as described for examples II and XXIII employing the product of example LIV and dimethylamine as the starting materials. mp >300 °C; CIMS m/e calc'd for C₂₂H₂₄N₅O₂: 390.1930, found: 390.1948.

15

Example LVII

Preparation of 3-(4-(1-piperidinyl)phenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one

20 Prepared in a similar fashion as described for example I using 4'-(1-piperidinyl)acetophenone as the starting material. mp 291 °C; CIMS m/e calc'd for C₂₃H₂₂N₄O₂: 387.1801, found: 387.1821.

Example LVIII

25 Preparation of 3-(4-morpholinyl)phenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one

30 Prepared in a similar fashion as described for example I using 4'-morpholinylacetophenone as the starting material. mp >300 °C; CIMS m/e calc'd for C₂₂H₂₀N₄O₃: 388.1528, found: 388.1535.

Example LIX

35 Preparation of 3-(4-ethoxyphenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example I using 4'-et

5 288 °C; CIMS m/e calc'd for C₂₀H₁₇N₃O₃: 348.1325, found:
348.1348.

Example LX

Preparation of 3-(4-butylphenyl)-5-(acetamido)indeno[1,2-
10 c]pyrazol-4-one

Prepared in a similar fashion as described for example
I using 4'-butylacetophenone as the starting material. mp
259 °C; CIMS m/e calc'd for C₂₂H₂₁N₃O₂: 360.1701, found:
15 360.1712.

Example LXI

Preparation of 3-(4-ethylphenyl)-5-(acetamido)indeno[1,2-
20 c]pyrazol-4-one

Prepared in a similar fashion as described for example
I using 4'-ethylacetophenone as the starting material. mp
294 °C; CIMS m/e calc'd for C₂₀H₁₇N₃O₂: 331.1310, found:
331.1321.

25

Example LXII

Preparation of 3-(4-n-propylphenyl)-5-(acetamido)indeno[1,2-
30 c]pyrazol-4-one

Prepared in a similar fashion as described for example
I using 4'-n-propylacetophenone as the starting material. mp
269 °C; CIMS m/e calc'd for C₂₁H₁₉N₃O₂: 346.1555, found:
346.1554.

35

Example LXIII

Preparation of 3-(4-methoxyphenyl)-5-
carbamoylaminoindeno[1,2-c]pyrazol-4-one

- 5 Prepared in a similar fashion as described for example XLII using concentrated ammonium hydroxide as the starting material. mp >300 °C; CIMS m/e calc'd for C₁₈H₁₅N₄O₃: 335.1144, found: 335.1113.

10 Example LXIV

Preparation of 3-(4-methoxyphenyl)-5-(dimethylaminocarbamoyl)aminoindeno[1,2-c]pyrazol-4-one

- 15 Prepared in a similar fashion as described for example XLII using dimethylamino hydrazine as the starting material. mp >300 °C; CIMS m/e calc'd for C₂₀H₂₀N₅O₃: 378.1566, found: 378.1555.

20 Example LXV

Preparation of 3-(4-methoxyphenyl)-5-(methylcarbamoyl)aminoindeno[1,2-c]pyrazol-4-one

- 25 Prepared in a similar fashion as described for example XLII using methylamine as the starting material. mp >300 °C; CIMS m/e calc'd for C₁₉H₁₇N₄O₃: 349.1300, found: 349.1311.

Example LXVI

- 30 Preparation of 3-(4-methoxyphenyl)-5-(morpholinocarbamoyl)aminoindeno[1,2-c]pyrazol-4-one

- Prepared in a similar fashion as described for example XLII using N-aminomorpholine as the starting material. mp >300 °C; CIMS m/e calc'd for C₂₂H₂₂N₅O₄: 420.1671, found: 420.1655.

Example LXVII

Preparation of 3-(4-methoxyphenyl)-5-(cis-2-aminocyclohexanecarbamoyl)aminoindeno[1,2-c]pyrazol-4-one

5

Prepared in a similar fashion as described for example XLII using cis-1,2-diaminocyclohexane as the starting material. mp >300 °C; CIMS m/e calc'd for C₂₄H₂₆N₅O₃: 432.2035, found: 432.2020.

10

Example LXVIII

Preparation of 3-(4-methoxyphenyl)-5-(4-methylpiperazinylcarbamoyl)aminoindeno[1,2-c]pyrazol-4-one

15

Prepared in a similar fashion as described for example XLII using (4-amino)methylpiperazine as the starting material. mp >300 °C; CIMS m/e calc'd for C₂₃H₂₅N₆O₃: 433.1987, found: 433.1999.

20

Example LXIX

Preparation of 3-(4-methoxyphenyl)-5-(4-uridomethylpiperadinyllacetamido)indeno[1,2-c]pyrazol-4-one

25

Prepared in a similar fashion as described for example XXIII using example XXX as the starting material. mp >300 °C; CIMS m/e calc'd for C₂₆H₂₉N₆O₄: 489.2250, found: 489.2209.

30

Example LXX

Preparation of 3-(4-methoxyphenyl)-5-(4-(2-pyridyl)piperazinylacetamido)indeno[1,2-c]pyrazol-4-one

35

Prepared in a similar fashion as described for example XXIII using 4-(2-pyridyl)piperazine as the starting material. mp >300 °C; CIMS m/e calc'd for C₂₈H₂₇N₆O₃: 495.2144, found: 495.2111.

Example LXXI

5 Preparation of 3-(4-methoxyphenyl)-5-(4-
(aminoethyl)piperazinylacetamido)indeno[1,2-c]pyrazol-4-on

Prepared in a similar fashion as described for example
XXIII using 4-(aminoethyl)piperazine as the starting
10 material. mp >300 °C; CIMS m/e calc'd for C₂₅H₂₉N₆O₃:
461.2300, found: 461.2333.

Example LXXII

15 Preparation of 3-(4-methoxyphenyl)-5-(4-
amidopiperadinyllacetamido)indeno[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example
XXIII using isonipecotamide as the starting material. mp
20 >300 °C; CIMS m/e calc'd for C₂₅H₂₆N₅O₄: 460.1984, found:
460.1998.

Example LXXIII

25 Preparation of 3-(4-methoxyphenyl)-5-(4-
hydroxypiperadinyllacetamido)indeno[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example
XXIII using 4-hydroxypiperadine as the starting material. mp
30 >300 °C; CIMS m/e calc'd for C₂₄H₂₅N₄O₄: 433.1875, found:
433.1844.

Example LXXIV

35 Preparation of 3-(4-methoxyphenyl)-5-(4-
hydroxymethylpiperadinyllacetamido)indeno[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example
XXIII using 4-hydroxymethylpiperadine as the starting

- 5 material. mp >300 °C; CIMS m/e calc'd for C₂₅H₂₇N₄O₄:
447.2032, found:447.2002.

Example LXXV

- Preparation of 3-(4-methoxyphenyl)-5-(4-
10 amidopiperazinylacetamido)indeno[1,2-c]pyrazol-4-one

- Prepared in a similar fashion as described for example
XXIII using 4-amidopiperazine as the starting material. mp
>300 °C; CIMS m/e calc'd for C₂₄H₂₅N₆O₆: 493.1835,
15 found:493.1802.

Example LXXVI

- Preparation of 3-(4-methoxyphenyl)-5-(4-
20 dimethylaminopiperadinylacetamido)indeno[1,2-c]pyrazol-4-one

- Prepared in a similar fashion as described for example
XXIII using 4-dimethylaminopiperadine as the starting
material. mp >300 °C; CIMS m/e calc'd for C₂₆H₃₀N₅O₅:
492.2246, found:492.2220.

25

Example LXXVII

- Preparation of 3-(4-methoxyphenyl)-5-(4-
aminopiperadinylacetamido)indeno[1,2-c]pyrazol-4-one

- 30 Prepared in a similar fashion as described for example
XXIII using 4-aminopiperadine as the starting material. mp
>300 °C; CIMS m/e calc'd for C₂₄H₂₆N₅O₅: 464.1933,
found:464.1975.

35

Example LXXVIII

- Preparation of 3-(4-(dimethylamino)phenyl)-5-((4-methyl-1-
piperaziny)acetamido)indeno[1,2-c]pyrazol-4-one

5 Prepared in a similar fashion as described for examples II and XXIII employing the product of example LIV and 1-methylpiperazine as the starting materials. mp >300 °C; ESI-MS m/e calc'd for C₂₅H₂₉N₆O₂: 445.2352, found: 445.2359.

Example LXXIX

Preparation of 3-(4-(dimethylamino)phenyl)-5-((4-aminomethyl-1-piperidiny)acetamido)indeno[1,2-c]pyrazol-4-one

15 Prepared in a similar fashion as described for examples II and XXIII employing the product of example LIV and 4-(aminomethyl)piperidine as the starting materials. ESI-MS m/e calc'd for $C_{26}H_{31}N_6O_2$: 459.2508, found: 459.2508.

Example LXXX

Preparation of 3-(4-(dimethylamino)phenyl)-5-((4-hydroxy-1-piperidinyl)acetamido)indeno[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for examples
25 II and XXIII employing the product of example LIV and 4-
hydroxypiperidine as the starting materials. mp 267 °C; ESI-
MS *m/e* calc'd for C₂₅H₂₈N₅O₃: 446.2192, found: 446.2206.

Example LXXXI

30 Preparation of 3-(4-(4-morpholinyl)phenyl)-5-(4-morpholinyl)acetamido)indeno[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for examples II and XXIII employing the product of example LVIII and morpholine as the starting materials. mp 258 °C; ESI-MS m/e 35 calc'd for C₂₆H₂₈N₅O₄: 474.2141, found: 474.2151.

Example LXXII

- 5 Preparation of 3-(4-(4-morpholinyl)phenyl)-5-((4-methyl-1-piperazinyl)acetamido)indeno[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for examples II and XXIII employing the product of example LVIII and 1-methylpiperazine as the starting materials. mp 258 °C; ESI-MS m/e calc'd for C₂₇H₃₁N₆O₃: 487.2457, found: 487.2447.

Example LXXXIII

- 15 Preparation of 3-(4-(4-morpholinyl)phenyl)-5-((4-hydroxy-1-piperidinyl)acetamido)indeno[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for examples II and XXIII employing the product of example LVIII and 4-hydroxypiperidine as the starting materials. mp 245 °C; ESI-MS m/e calc'd for C₂₇H₃₀N₅O₄: 488.2298, found: 488.2290.

Example LXXXIV

- 25 Preparation of 3-(4-(4-morpholinyl)phenyl)-5-((4-aminomethyl-1-piperidinyl)acetamido)indeno[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for examples II and XXIII employing the product of example LVIII and 4-(aminomethyl)piperidine as the starting materials. mp 240 °C; ESI-MS m/e calc'd for C₂₈H₃₃N₆O₃: 501.2614, found: 501.2619.

Example LXXXV

- 35 Preparation of 3-(4-(dimethylamino)phenyl)-5-(((4-methyl-1-piperazinyl)amino)carbonyl)amino)indeno[1,2-c]pyrazol-4-one

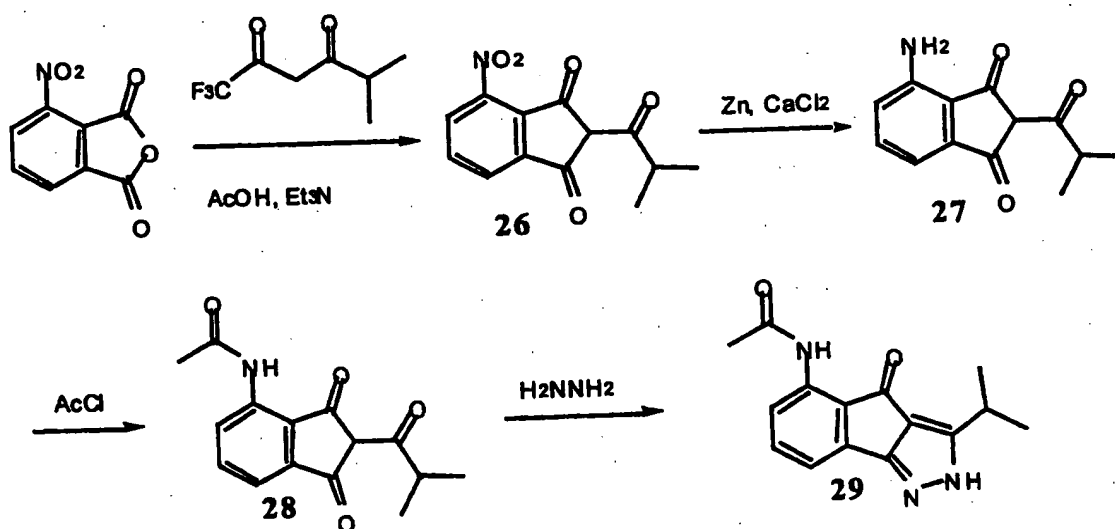
Prepared in a similar fashion as described for examples I, XXVII, and XLII employing the 4-(dimethylamino)acetophenone and 1-amino-4-methylpiperazine as the starting

- 5 materials. mp >300 °C; ESI-MS m/e calc'd for C₂₄H₂₈N₇O₂:
446.2304, found: 446.2310.

Example LXXXVI

Preparation of 3-(1-propyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one

10



- 15 Step 1. Synthesis of 26 from 3-nitrophthalic anhydride.

A solution of 3-nitrophthalic anhydride (9.7 g, 50 mmol) and 1,1,1-trifluoro-5-methyl-2,4-hexanedione (9.1 g, 50 mmol) in acetic anhydride (28.3 mL, 300 mmol) was treated
20 with triethylamine (13.95 mL, 100 mmol) and stirred at 25 °C for 4 h. The solution was diluted with 1 N HCl (200 mL) and the precipitate collected and washed with water (200 mL) and hexane (400 mL) to give the product as a yellow solid (11.1 g, 85%). mp 127-129 °C; CIMS (M+H) calc'd for C₁₃H₁₂NO₅:
25 262.0715, found: 262.0694.

Step 2. Synthesis of triketone 27 from 26.

5

A solution of 26 (11 g, 42 mmol) in EtOH (224 mL) and water (56 mL) was treated with zinc (90 g, 1.4 mol) and calcium chloride (3 g, 27 mmol) and heated to reflux for 16 h. The reaction was filtered (Celite) and the filtrate was concentrated at reduced pressure to give an aqueous residue which was extracted with EtOAc (100 mL). The organic layer was separated and washed with sat. EDTA (100 mL) and brine (100 mL), dried (MgSO₄), filtered, and concentrated at reduced pressure to give a yellow solid. Trituration with hexane gave the product as a yellow solid (7.1 g, 73%). mp 241-243 °C; CIMS (M+H) calc'd for C₁₃H₁₄NO₃: 232.0974, found: 232.0962.

Step 3. Synthesis of 28 from 27.

20

A solution of 27 (500 mg, 2.16 mmol) in CH₂Cl₂ (5 mL) was treated with Et₃N (0.36 mL, 2.59 mmol) and stirred at 25 °C for 15 min. The reaction mixture was treated with acetyl chloride (0.18 mL, 2.38 mmol) and stirred at 25 °C for 1 h. The reaction mixture was quenched with 1 N HCl (20 mL) and extracted with EtOAc (20 mL). The organic layer was separated, dried (MgSO₄), filtered, and concentrated at reduced pressure to give a brown residue. Trituration with hexane gave the product as a tan solid (484 mg, 82%). mp 241-243 °C; CIMS (M+H) calc'd for C₁₅H₁₆NO₄: 274.1079, found: 274.1093.

Step 4. Synthesis of 29 from 28.

A solution of 28 (240 mg, 0.88 mmol) in BuOH (5 mL) was treated with hydrazine hydrate (0.055 mL, 1.76 mmol) and p-TsOH (8.4 mg, 0.044 mmol). The reaction was heated to reflux and stirred for 4 h. The reaction was cooled to 25 °C and the solvent removed at reduced pressure. Recrystallization with i-propyl alcohol gave the product collected as an off-

- 5 white solid (173 mg, 73%). mp >250 °C; ESIMS (M+H) calc'd for C₁₅H₁₆N₃O₂: 270.1242, found: 270.1258.

Example LXXXVII

- 10 Preparation of 3-(c-propyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one

- Prepared in a similar fashion as described for example LXXXVI using the c-propyl analog of 26 as the starting material. mp 220-221 °C; CIMS (M+H) calc'd for C₁₅H₁₄N₃O₂:
15 268.1086, found: 268.1078.

Example LXXXVIII

- 20 Preparation of 3-(t-butyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example LXXXVI using the t-butyl analog of 26 as the starting material. mp >250 °C; CIMS (M+H) calc'd for C₁₆H₁₈N₃O₂:
25 284.1399, found: 284.1395.

Example LXXXIX

- 25 Preparation of 3-(2-thienyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one

- 30 Prepared in a similar fashion as described for example LXXXVI using the 2-thienyl analog of 26 as the starting material. mp 269 °C; CIMS (M+H) calc'd for C₁₆H₁₂N₃O₂S: 310.0650, found: 310.0635.

Example XC

- 35 Preparation of 3-(3-methyl-2-thienyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one

- 5 Prepared in a similar fashion as described for example LXXXVI using the 3-m thyl-2-thienyl analog of 26 as the starting material. mp 275 °C; ESIMS (M+H) calc'd for $C_{17}H_{14}N_3O_2S$: 324.0811, found: 324.0807.

10

Example XCI

Preparation of 3-(ethyl)-5-(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one

- 15 Prepared in a similar fashion as described for example LXXXVI using ammonia and the ethyl analog of 15 as the starting materials. mp >250 °C; CIMS (M+H) calc'd for $C_{13}H_{13}N_4O_2$: 257.1039, found: 257.1033.

Example XCII

20

Preparation of 3-(n-propyl)-5-(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one

- 25 Prepared in a similar fashion as described for example LXXXVI using ammonia and the n-propyl analog of 15 as the starting materials. mp 187-189 °C; CIMS (M+H) calc'd for $C_{14}H_{15}N_4O_2$: 271.1195, found: 271.1187.

Example XCIII

- 30 Preparation of 3-(i-propyl)-5-(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one

- 35 Prepared in a similar fashion as described for example LXXXVI using ammonia and the i-propyl analog of 15 as the starting materials. mp >250 °C; CIMS (M+H) calc'd for $C_{14}H_{15}N_4O_2$: 271.1195, found: 271.1196.

Examp1 XCIV

5

Preparation of 3-(c-propyl)-5-
(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example
LXXXVI using ammonia and the c-propyl analog of 15 as the
10 starting materials. mp 252-253 °C; ESIMS (M-H) calc'd for
C₁₄H₁₁N₄O₂: 267.0881, found: 267.0884.

Example XCV

Preparation of 3-(c-hexyl)-5-
15 (carbamoyl)aminoindeno[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example
LXXXVI using ammonia and the c-hexyl analog of 15 as the
starting materials. mp 178-179 °C; ESIMS (M+H) calc'd for
20 C₁₇H₁₉N₄O₂: 311.1507, found: 311.1500.

Example XCVI

Preparation of 3-(2-thienyl)-5-
25 (carbamoyl)aminoindeno[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example
LXXXVI using ammonia and the 2-thienyl analog of 15 as the
starting materials. mp 214 °C; CIMS m+ calc'd for
30 C₁₅H₁₀N₄O₂S: 310.0517, found: 310.0524.

30

Example XCVII

Preparation of 3-(3-methyl-2-thienyl)-5-
(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one

35

Prepared in a similar fashion as described for example
LXXXVI using ammonia and the 3-methyl-2-thienyl analog of 15

5 as the starting materials. mp 270 °C; ESIMS (M+H) calc'd for
C₁₆H₁₃N₄O₂S: 325.0759, found: 325.0744.

Example XCVIII

10 Preparation of 3-(5-methyl-2-thienyl)-5-
(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example
LXXXVI using ammonia and the 5-methyl-2-thienyl analog of 15
as the starting materials. mp >280 °C; ESIMS (M+H) calc'd
15 for C₁₆H₁₃N₄O₂S: 325.0759, found: 325.0761.

Example XCIX

20 Preparation of 3-(5-ethylcarboxyl-2-thienyl)-5-
(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example
LXXXVI using ammonia and the 5-ethylcarboxyl-2-thienyl
analog of 15 as the starting materials. mp >280 °C; ESIMS
(M+H) calc'd for C₁₈H₁₅N₄O₄S: 383.0813, found: 383.0788.

25

Example C

Preparation of 3-(3-thienyl)-5-
(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one

30 Prepared in a similar fashion as described for example
LXXXVI using ammonia and the 3-thienyl analog of 15 as the
starting materials. mp >280 °C; ESIMS (M+H) calc'd for
C₁₅H₁₁N₄O₂S: 311.0603, found: 311.0594.

35

Example CI

Preparation of 3-(5-chloro-3-thienyl)-5-
(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one

5

Prepared in a similar fashion as described for example LXXXVI using ammonia and the 5-chloro-3-thienyl analog of 15 as the starting materials. mp >300 °C; ESIMS (M+H) calc'd for C₁₅H₁₀N₄O₂SCl: 345.0209, found: 345.0213.

10

Example CII

Preparation of 3-(2,5-dimethyl-3-thienyl)-5-(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one

15

Prepared in a similar fashion as described for example LXXXVI using ammonia and the 2,5-dimethyl-3-thienyl analog of 15 as the starting materials. mp >280 °C; ESIMS (M+H) calc'd for C₁₇H₁₅N₄O₂S: 339.0916, found: 339.0905.

20

Example CIII

Preparation of 3-(2-furanyl)-5-(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one

25

Prepared in a similar fashion as described for example LXXXVI using ammonia and the 2-furanyl analog of 15 as the starting materials. mp 278 °C; ESIMS (M+H) calc'd for C₁₅H₁₁N₄O₃: 295.0831, found: 295.0838.

30

Example CIV

Preparation of 3-(i-propyl)-5-(N,N-dimethylaminocarbamoyl)aminoindeno[1,2-c]pyrazol-4-one

35

Prepared in a similar fashion as described for example LXXXVI using 1,1-dimethylhydrazine and the i-propyl analog of 15 as the starting materials. mp 231-233 °C; ESIMS (M+H) calc'd for C₁₆H₂₀N₅O₂: 314.1616, found: 314.1599.

5

Example CV

**Preparation of 3-(c-propyl)-5-
(N,N-dimethylaminocarbamoyl)aminoindeno
[1,2-c]pyrazol-4-one**

10

Prepared in a similar fashion as described for example LXXXVI using 1,1-dimethylhydrazine and the c-propyl analog of 15 as the starting materials. mp XXX °C; ESIMS (M+H) calc'd for C₁₆H₁₈N₅O₂: 312.1460, found: 312.1487.

15

Example CVI

**Preparation of 3-(c-hexyl)-5-
(N,N-dimethylaminocarbamoyl)aminoindeno
[1,2-c]pyrazol-4-one**

20

Prepared in a similar fashion as described for example LXXXVI using 1,1-dimethylhydrazine and the c-hexyl analog of 15 as the starting materials. mp 229-231 °C; ESIMS (M+H) calc'd for C₁₉H₂₄N₅O₂: 354.1929, found: 354.1932.

25

Example CVII

**Preparation of 3-(2-thienyl)-5-
(N,N-dimethylaminocarbamoyl)aminoindeno
[1,2-c]pyrazol-4-one**

30

Prepared in a similar fashion as described for example LXXXVI using 1,1-dimethylhydrazine and the 2-thienyl analog of 15 as the starting materials. mp 279 °C; ESIMS (M+H) calc'd for C₁₇H₁₆N₅O₂S: 354.1024, found: 354.1025.

35

Example CVIII

Preparation of 3-(5-methoxy-2-thienyl)-5-

5 (N,N-dimethylaminocarbamoyl)amin indeno
[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example
LXXXVI using 1,1-dimethylhydrazine and the 5-methoxy-2-
10 thienyl analog of 15 as the starting materials. mp 280 °C;
ESIMS (M+H) calc'd for C₁₈H₁₈N₅O₃S: 384.1130, found:
384.1119.

Example CIX

15 Preparation of 3-(5-methyl-2-thienyl)-5-
(N,N-dimethylaminocarbamoyl)aminoindeno
[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example
20 LXXXVI using 1,1-dimethylhydrazine and the 5-methyl-2-
thienyl analog of 15 as the starting materials. mp >280 °C;
ESIMS (M+H) calc'd for C₁₈H₁₈N₅O₂S: 368.1181, found:
368.1171.

Example CX

25 Preparation of 3-(5-ethylcarboxyl-2-thienyl)-5-
(N,N-dimethylaminocarbamoyl)aminoindeno
[1,2-c]pyrazol-4-one

30 Prepared in a similar fashion as described for example
LXXXVI using 1,1-dimethylhydrazine and the 5-ethylcarboxyl-
2-thienyl analog of 15 as the starting materials. mp 252 °C;
ESIMS (M+H) calc'd for C₂₀H₂₀N₅O₄S: 426.1236, found:
426.1251.

35

Example CXI

Pr paration of 3-(3-thienyl)-5-

5

(N,N-dimethylamin carbamoyl)aminoinden
[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example
LXXXVI using 1,1-dimethylhydrazine and the 3-thienyl analog
10 of 15 as the starting materials. mp 202 °C; ESIMS (M+H)
calc'd for C₁₇H₁₆N₅O₂S: 354.1025, found: 354.1031.

Example CXII

Preparation of 3-(1-methyl-3-pyrrolyl)-5-
15 (carbamoyl)aminoindeno[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example
LXXXVI using ammonia and the 1-methyl-3-pyrrolyl analog of
15 as the starting materials. mp >300 °C; ESIMS (M+H) calc'd
20 for C₁₆H₁₄N₅O₂: 308.1147, found: 308.1166.

Example CXIII

Preparation of 3-(2,5-dimethyl-3-thienyl)-5-
(N,N-dimethylaminocarbamoyl)aminoindeno
25 [1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example
LXXXVI using 1,1-dimethylhydrazine and the 2,5-dimethyl-3-
thienyl analog of 15 as the starting materials. mp 252 °C;
30 ESIMS (M+H) calc'd for C₁₉H₂₀N₅O₂S: 382.1338, found:
382.1357.

Example CXIV

Preparation of 3-(2-furanyl)-5-
35 (N,N-dimethylaminocarbamoyl)aminoindeno
[1,2-c]pyrazol-4-on

- 5 Prepared in a similar fashion as described for example LXXXVI using 1,1-dimethylhydrazine and the 2-furanyl analog of 15 as the starting materials. mp 202 °C; ESIMS (M+H) calc'd for C₁₇H₁₆N₅O₃: 338.1253, found: 338.1248.

10

Example CXV

Preparation of 3-(i-propyl)-5-
(4-carbamoylpiperidinylacetamido)indeno
[1,2-c]pyrazol-4-one

- 15 Prepared in a similar fashion as described for example XXIII using isonipecotamide and the i-propyl analog of 14 as the starting materials. mp 224-225 °C; ESIMS (M+H) calc'd for C₂₁H₂₆N₅O₃: 396.2035, found: 396.2036.

20

Example CXVI

Preparation of 3-(c-hexyl)-5-
(4-carbamoylpiperidinylacetamido)indeno
[1,2-c]pyrazol-4-one

- 25 Prepared in a similar fashion as described for example XXIII using isonipecotamide and the c-hexyl analog of 14 as the starting materials. mp 228-229 °C; ESIMS (M+H) calc'd for C₂₄H₃₀N₅O₃: 436.2348, found: 436.2345.

30

Example CXVII

Preparation of 3-(ethyl)-5-
(4-aminomethylpiperidinylacetamido)indeno
[1,2-c]pyrazol-4-one

- 35 Prepared in a similar fashion as described for example XXIII using 4-(aminomethyl)piperidine and the ethyl analog of 14 as the starting materials. mp 174-176 °C; ESIMS (M+H) calc'd for C₂₀H₂₆N₅O₂: 368.2086, found: 368.2078.

5

Example CXVIII

**Preparation of 3-(i-propyl)-5-(4-aminomethylpiperidinylacetamido)indeno
[1,2-c]pyrazol-4-one**

10

Prepared in a similar fashion as described for example XXIII using 4-(aminomethyl)piperidine and the i-propyl analog of 14 as the starting materials. mp 218-220 °C; ESIMS (M+H) calc'd for C₂₁H₂₈N₅O₂: 382.2242, found: 382.2227.

15

Example CXIX

**Preparation of 3-(c-propyl)-5-(4-aminomethylpiperidinylacetamido)indeno
[1,2-c]pyrazol-4-one**

20

Prepared in a similar fashion as described for example XXIII using 4-(aminomethyl)piperidine and the c-propyl analog of 14 as the starting materials. mp 138-140 °C; ESIMS (M+H) calc'd for C₂₁H₂₆N₅O₂: 380.2086, found: 380.2079.

25

Example CXX

**Preparation of 3-(c-hexyl)-5-(4-aminomethylpiperidinylacetamido)indeno
[1,2-c]pyrazol-4-one**

30

Prepared in a similar fashion as described for example XXIII using 4-(aminomethyl)piperidine and the c-hexyl analog of 14 as the starting materials. mp 196-198 °C; ESIMS (M+H) calc'd for C₂₄H₃₂N₅O₂: 422.2555, found: 422.2540.

35

Example CXXI

Preparation of 3-(i-propyl)-5-(4-methylpiperazinylcarbamoyl)amin indeno

5

[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example LXXXVI using 1-amino-4-methylpiperazine and the i-propyl analog of 15 as the starting materials. mp 231-233 °C; ESIMS (M+H) calc'd for C₁₉H₂₅N₆O₂: 369.2038, found: 369.2039.

Example CXXII

Preparation of 3-(5-ethylcarboxyl-2-thienyl)-5-(4-methylpiperazinylcarbamoyl)aminoindeno

15

[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example LXXXVI using 1-amino-4-methylpiperazine and the 5-ethylcarboxyl-2-thienyl analog of 15 as the starting materials. mp 249 °C; ESIMS (M+H) calc'd for C₂₃H₂₅N₆O₄S: 481.1657, found: 481.1642.

Example CXXIII

Preparation of 3-(5-carboxyl-2-thienyl)-5-(4-methylpiperazinylcarbamoyl)aminoindeno

25

[1,2-c]pyrazol-4-one

A solution of CXXII (30 mg, 0.05 mmol) in 3:1 THF/water (2 mL) was treated with LiOH (23 mg, 0.5 mmol) and the reaction was stirred at 25 °C for 12 h and then heated to reflux for 1 h. The organic solvent was removed at reduced pressure and the residue was partitioned between EtOAc (5 mL) and water (5 mL). The organic layer was separated and the aqueous phase was adjusted to pH = 2 with 1 M HCl and re-extracted with EtOAc (5 mL). The combined organic layers were dried (Na₂SO₄), filtered and concentrated at reduced pressure to give a crude residue. Purification by reverse phase HPLC gave the product as a yellow solid (10.4 mg,

- 5 46%). mp 270 °C; ESIMS (M+H) calc'd for C₂₁H₂₁N₆O₄S:
453.1344, found: 453.1353.

Example CXXIV

- Preparation of 3-(2,5-dimethyl-3-thienyl)-5-
10 (4-methylpiperazinylcarbamoyl)aminoindeno
[1,2-c]pyrazol-4-one

- Prepared in a similar fashion as described for example
LXXXVI using 1-amino-4-methylpiperazine and the 2,5-
15 dimethyl-3-thienyl analog of 15 as the starting materials.
mp 250 °C; ESIMS (M+H) calc'd for C₂₂H₂₅N₆O₂S: 437.1760,
found: 437.1771.

Example CXXV

- 20 Preparation of 3-(i-propyl)-5-
(morpholinylcarbamoyl)aminoindeno
[1,2-c]pyrazol-4-one

- Prepared in a similar fashion as described for example
25 LXXXVI using 4-aminomorpholine and the i-propyl analog of 15
as the starting materials. mp 256-258 °C; ESIMS (M-H) calc'd
for C₁₈H₂₀N₅O₃: 354.1566, found: 354.1543.

Example CXXVI

- 30 Preparation of 3-(N-methylcarbamoyl-4-piperidinyl)-5-
(morpholinylcarbamoyl)aminoindeno
[1,2-c]pyrazol-4-one

- Prepared in a similar fashion as described for example
35 LXXXVI using 4-aminomorpholine and the N-methylcarbamoyl-4-
piperidinyl analog of 15 as the starting materials. mp 216-
218 °C; ESIMS (M+H) calc'd for C₂₂H₂₇N₆O₅: 455.2042, found:
455.2036.

5

Example CXXVII

Preparation of 3-(5-methyl-2-thienyl)-5-(morpholinylcarbamoyl)aminoindeno
[1,2-c]pyrazol-4-one

10

Prepared in a similar fashion as described for example LXXXVI using 4-aminomorpholine and the 5-methyl-2-thienyl analog of 15 as the starting materials. mp 261 °C; ESIMS (M+H) calc'd for C₂₀H₂₀N₅O₃S: 410.1287, found: 410.1308.

15

Example CXXVIII

Preparation of 3-(5-chloro-3-thienyl)-5-(morpholinylcarbamoyl)aminoindeno
[1,2-c]pyrazol-4-one

20

Prepared in a similar fashion as described for example LXXXVI using 4-aminomorpholine and the 5-chloro-3-thienyl analog of 15 as the starting materials. mp 259 °C; ESIMS (M+H) calc'd for C₁₉H₁₇N₅O₃SCl: 430.0741, found: 430.0757.

25

Example CXXIX

Preparation of 3-(2,5-dimethyl-3-thienyl)-5-(morpholinylcarbamoyl)aminoindeno
[1,2-c]pyrazol-4-one

30

Prepared in a similar fashion as described for example LXXXVI using 4-aminomorpholine and the 2,5-dimethyl-3-thienyl analog of 15 as the starting materials. mp >280 °C; ESIMS (M+H) calc'd for C₂₁H₂₂N₅O₃S: 424.1443, found:

35 424.1431.

Example CXXX

Preparation of 3-(5-ethylcarb xyl-2-thienyl)-5-

5 (morpholinylcarbamoyl) amin indeno
[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example
LXXXVI using 4-aminomorpholine and the 5-ethylcarboxyl-2-
10 thienyl analog of 15 as the starting materials. mp 258 °C;
ESIMS (M+H) calc'd for C₂₂H₂₂N₅O₅S: 468.1341, found:
468.1331.

Example CXXXI

15 Preparation of 3-(5-carboxyl-2-thienyl)-5-
(morpholinylcarbamoyl) aminoindeno
[1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example
20 LXXXVI (HYDROLYSIS OF PREVIOUS ESTER). mp 273 °C; ESIMS
(M+H) calc'd for C₂₀H₁₈N₅O₅S: 440.1028, found: 440.1026.

Example CXXXII

25 Preparation of 3-(5-benzylcarboxamido-2-thienyl)-5-
(morpholinylcarbamoyl) aminoindeno
[1,2-c]pyrazol-4-one

A solution of benzylamine (0.01 mL, 0.09 mmol) in DMF
(1 mL) was treated with acid CXXXI (40 mg, 0.09 mmol) and
30 stirred at 25 °C. The reaction was treated with TBTU (29 mg,
0.09 mmol) and stirred at 25 °C for 30 min. Triethylamine
(0.01 mL, 0.09 mmol) was added and the reaction stirred at
25 °C for 12 h. After adding more TBTU (15 mg, 0.045 mmol)
and triethylamine (0.01 mL, 0.09 mmol) the reaction was
35 stirred at 25 °C for an additional 4 h. The reaction was
diluted with EtOAc (10 mL) and water (10 mL) and the aqueous
layer was extracted with EtOAc (5 x 10 mL). The combined
organic layers were dried (Na₂SO₄), filtered, and the
solvent removed at reduced pressure. Purification of the

- 5 residue using reverse phase HPLC gave the product as a yellow solid (21 mg, 42%). mp 275 °C; ESIMS (M+H) calc'd for C₂₇H₂₅N₅O₄S: 529.1659, found: 529.1682.

Example CXXXIII

- 10 Preparation of 3-(5-(4-methylpiperazinyl)
carboxamido-2-thienyl)-5-
(morpholinylcarbamoyl)aminoindeno
[1,2-c]pyrazol-4-one

- 15 Prepared in a similar fashion as described for example CXXXII using 1-amino-4-methylpiperazine as the starting material. mp 190 °C; ESIMS (M+H) calc'd for C₂₅H₂₉N₈O₄S: 537.2032, found: 537.2055.

Example CXXXIV

- 20 Preparation of 3-(5-(2-(1-methylpyrrolidinyl)ethyl)
carboxamido-2-thienyl)-5-
(morpholinylcarbamoyl)aminoindeno
[1,2-c]pyrazol-4-one

- 25 Prepared in a similar fashion as described for example CXXXII using 2-(2-aminoethyl)-1-methylpyrrolidine as the starting material. mp 235 °C; ESIMS (M+H) calc'd for C₂₇H₃₂N₇O₄S: 550.2236, found: 550.2229.

30

Example CXXXV

- Preparation of 3-(5-(N,N-dimethylamino)
carboxamido-2-thienyl)-5-
(morpholinylcarbamoyl)aminoindeno
[1,2-c]pyrazol-4-one

35

Prepared in a similar fashion as described for example CXXXII using 1,1-dimethylhydrazine as the starting material.

5 mp 201 °C; ESIMS (M+H) calc'd for C₂₂H₂₄N₇O₄S: 482.1610,
found: 482.1588.

Example CXXXVI

10 Preparation of 3-(5-(2-(N,N-dimethylamino)ethyl)
carboxamido-2-thienyl)-5-
(morpholinylcarbamoyl)aminoindeno
[1,2-c]pyrazol-4-one

15 Prepared in a similar fashion as described for example
CXXXII using N,N-dimethylethylenediamine as the starting
material. mp 190 °C; ESIMS (M+H) calc'd for C₂₄H₂₈N₇O₄S:
510.1923, found: 510.1922.

Example CXXXVII

20 Preparation of 3-(5-(2-(pyrrolidinyl)ethyl)
carboxamido-2-thienyl)-5-
(morpholinylcarbamoyl)aminoindeno
[1,2-c]pyrazol-4-one

25 Prepared in a similar fashion as described for example
CXXXII using 1-(2-aminoethyl)pyrrolidine as the starting
material. mp 224 °C; ESIMS (M+H) calc'd for C₂₆H₃₀N₇O₄S:
536.2080, found: 536.2091.

30 Example CXXXVIII

Preparation of 3-(5-(2-(morpholinyl)ethyl)
carboxamido-2-thienyl)-5-
(morpholinylcarbamoyl)aminoindeno
[1,2-c]pyrazol-4-one

35 Prepared in a similar fashion as described for example
CXXXII using 4-(2-aminoethyl)morpholine as the starting

- 5 material. mp 241 °C; ESIMS (M+H) calc'd for C₂₆H₃₀N₇O₅S:
552.2029, found: 552.2043.

Example CXXXIX

- Preparation of 3-(5-morpholinylcarboxamido-2-thienyl)-5-
10 (morpholinylcarbamoyl)aminoindeno
[1,2-c]pyrazol-4-one

- Prepared in a similar fashion as described for example
CXXXII using 4-aminomorpholine as the starting material. mp
15 271 °C; ESIMS (M+H) calc'd for C₂₄H₂₆N₇O₅S: 524.1716, found:
524.1719.

Example CXL

- Preparation of 3-(5-(3-(pyrrolidonyl)propyl)
20 carboxamido-2-thienyl)-5-(morpholinylcarbamoyl)aminoindeno
[1,2-c]pyrazol-4-one

- Prepared in a similar fashion as described for example
CXXXII using 1-(3-aminopropyl)-2-pyrrolidinone as the
25 starting material. mp 260 °C; ESIMS (M+H) calc'd for
C₂₇H₃₀N₇O₅S: 564.2029, found: 564.2031.

Example CXLI

- Preparation of 3-(5-(2-(3-pyridyl)ethyl)
30 carboxamido-2-thienyl)-5-(morpholinylcarbamoyl)aminoindeno
[1,2-c]pyrazol-4-one

- Prepared in a similar fashion as described for example
CXXXII using 3-(2-aminoethyl)pyridine as the starting
35 material. mp 203 °C; ESIMS (M+H) calc'd for C₂₇H₂₆N₇O₄S:
544.1766, found: 544.1760.

Exempl CXLII

- Preparation of 3-(5-(3-(imidazolyl)propyl)

- 5 carboxamido-2-thienyl)-5-(morpholinylcarbamoyl)amin indeno
 [1,2-c]pyraz 1-4-one

Prepared in a similar fashion as described for example
CXXXII using 1-(3-aminopropyl)imidazole as the starting
10 material. mp 263 °C; ESIMS (M+H) calc'd for C₂₆H₂₇N₈O₄S:
547.1875, found: 547.1872.

Example CXLI

- Preparation of 3-(5-(2-(2-pyridyl)ethyl)
15 carboxamido-2-thienyl)-5-(morpholinylcarbamoyl)aminoindeno
 [1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example
CXXXII using 2-(2-aminoethyl)pyridine as the starting
20 material. mp >280 °C; ESIMS (M+H) calc'd for C₂₇H₂₆N₇O₄S:
544.1767, found: 544.1778.

Example CXLIV

- Preparation of 3-(5-((2-pyridyl)methyl)
25 carboxamido-2-thienyl)-5-(morpholinylcarbamoyl)aminoindeno
 [1,2-c]pyrazol-4-one

Prepared in a similar fashion as described for example
CXXXII using 2-(aminomethyl)pyridine as the starting
30 material. mp 239 °C; ESIMS (M+H) calc'd for C₂₆H₂₄N₇O₄S:
530.1610, found: 530.1603.

Example CXLV

- Preparation of 3-(5-(2-(piperidinyl)ethyl)
35 carboxamido-2-thienyl)-5-
 (morpholinylcarbamoyl)aminoindeno
 [1,2-c]pyrazol-4-one

- 5 Prepared in a similar fashion as described for example CXXXII using 1-(2-aminoethyl)piperidine as the starting material. mp 228 °C; ESIMS (M+H) calc'd for C₂₇H₃₂N₇O₄S: 550.2236, found: 550.2236.

10

Example CXLVI

Preparation of 3-(4-(trifluoromethyl)phenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one

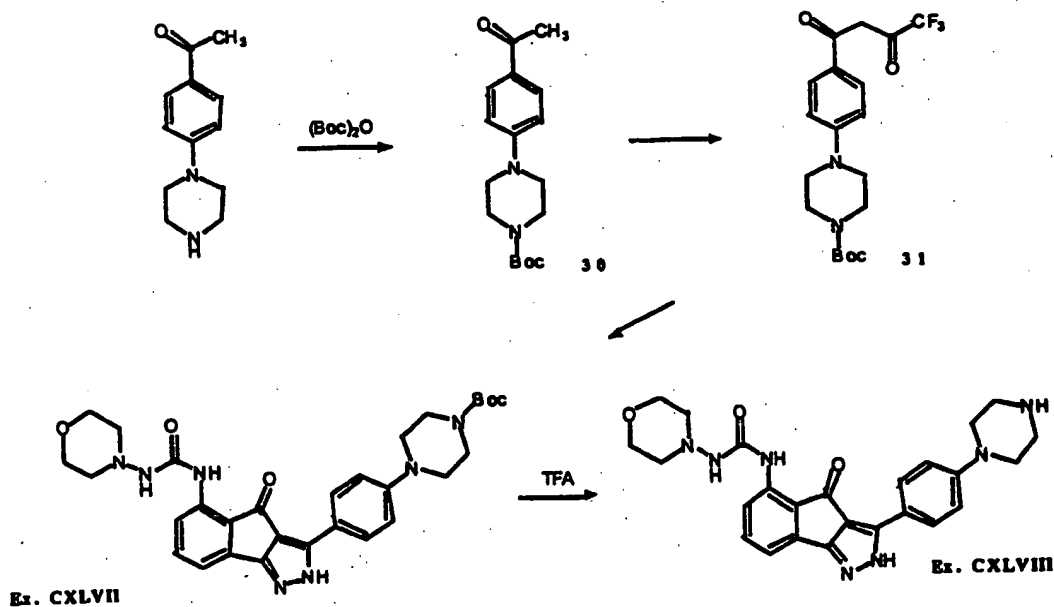
- 15 Prepared in a similar fashion as described for example LXXXVI employing 1-(4-(trifluoromethyl)phenyl)-4,4,4-trifluoro-1,3-butanedione as the starting material. mp >300 °C; ESI⁻-MS m/e calc'd for C₁₉H₁₁N₃O₂: 370.0804, found: 370.0809.

20

Example CXLVII

Preparation of 3-(4-(4-t-butoxycarbonyl-1-piperazinyl)phenyl)-5-(((4-morpholinylamino)carbonyl)amino)indeno[1,2-c]pyrazol-4-one

25



5 Step 1. Synthesis of 30.

A solution of 4-piperazinoacetophenone (24.8 g, 121 mmol) and di-tert-butyl dicarbonate (27.8 g, 128 mmol) in 480 mL of tetrahydrofuran was refluxed for 16 h. After
10 cooling to room temperature the solution was concentrated under vacuum. The resulting solids were washed with hexane and dried under vacuum to afford 29.4 g (80%) of the product as an off-white solid. NMR (CDCl₃) δ 7.89 (d, 2 H, J = 9 Hz), 6.87 (d, 2 H, J = 9 Hz), 3.59 (m, 4 H), 3.33 (m, 4 H),
15 2.53 (s, 3 H), 1.49 (s, 9 H).

Step 2. Synthesis of 31 from 30.

To a solution of 30 (11.35 g, 37 mmol) and ethyl
20 trifluoroacetate (5.40 mL, 45 mmol) in 50 mL of tetrahydrofuran at 25 °C was added dropwise over 15 min. 21% sodium ethoxide in ethanol (16.8 mL, 45 mmol), and the resulting solution then was stirred at 25 °C for 14 h. The
25 reaction mixture was diluted with water, adjusted to pH 5 with conc. hydrochloric acid, and extracted with ethyl acetate. The combined extracts were washed with water and brine, dried over anhydrous sodium sulfate, filtered, and concentrated under vacuum. The resulting solid was washed
30 with diethyl ether and dried to furnish 12.1 g (81%) of the product as an orange solid. NMR (CDCl₃) δ 7.87 (d, 2 H, J = 9 Hz), 6.87 (d, 2 H, J = 9 Hz), 6.45 (s, 1 H), 3.60 (m, 4 H), 3.41 (m, 4 H), 1.48 (s, 9 H).

Step 3. Synthesis of CXLVII from 31.

35 Prepared in a similar fashion as described for examples LXXVI and XLII employing 31 and 4-aminomorpholine as starting materials. mp 242 °C; ESI-MS m/e calc'd for C₃₀H₃₆N₇O₅ 574.2778, found: 574.2762.

5

Exempl CXLVIII

Preparation of 3-(4-(1-piperazinyl)phenyl)-5-(((4-morpholinylamino)carbonyl)amino)indeno[1,2-c]pyrazol-4-one

10 A solution of CXLVII (0.58 g, 1.0 mmol) in 20 mL of trifluoroacetic acid was stirred at 25 °C for 2 h. The reaction mixture was concentrated under vacuum, and the residue was recrystallized from ethanol to provide 0.53 g (89%) of the yellow product as its TFA-salt. mp 263 °C; ESI-MS m/e calc'd for C₂₅H₂₈N₇O₃: 474.2254, found: 474.2280.

15

Example CXLIX

Preparation of 3-(4-(1-piperazinyl)phenyl)-5-((aminocarbonyl)amino)indeno[1,2-c]pyrazol-4-one

20 Prepared in a similar fashion as described for examples XLII and CXLVIII employing 2-(4-(4-t-butoxycarbonyl-1-piperazinyl)benzoyl)-4-amino-1,3-indanedione obtained in example CXLVII and ammonia as the starting materials. mp 257 °C; ESI-MS m/e calc'd for C₂₁H₂₁N₆O₂: 389.1726, found:
25 389.1724.

Example CL

Preparation of 3-(4-(1-piperazinyl)phenyl)-5-((hydrazinocarbonyl)amino)indeno[1,2-c]pyrazol-4-one

30

Prepared in a similar fashion as described for examples XLII and CXLVIII employing 2-(4-(4-t-butoxycarbonyl-1-piperazinyl)benzoyl)-4-amino-1,3-indanedione obtained in example CXLVII and hydrazine as the starting materials. mp
35 257 °C; ESI-MS m/e calc'd for C₂₁H₂₂N₇O₂: 404.1835, found: 404.1834.

5

Example CLI

Preparation of 3-(4-(1-piperazinyl)phenyl)-5-
((dimethylamino)acetamido)indeno[1,2-c]pyraz 1-4-one

Prepared employing 2-(4-(4-t-butoxycarbonyl-1-
10 piperazinyl)benzoyl)-4-amino-1,3-indanedione obtained in
example CXLVII as the starting material. Chloroacetylation
and treatment with dimethylamine in a similar fashion as
described for examples II and XXIII, followed by treatment
with hydrazine and removal of the t-butoxycarbonyl group in
15 a similar fashion as described for examples I and CXLVIII,
afforded the example compound. mp 243 °C; ESI-MS m/e calc'd
for C₂₄H₂₇N₆O₂: 431.2196, found: 431.2198.

Example CLII

20 Preparation of 3-(4-(1-piperazinyl)phenyl)-5-((4-
morpholinyl)acetamido)indeno[1,2-c]pyrazol-4-one

Prepared employing 2-(4-(4-t-butoxycarbonyl-1-
piperazinyl)benzoyl)-4-amino-1,3-indanedione obtained in
25 example CXLVII as the starting material. Chloroacetylation
and treatment with morpholine in a similar fashion as
described for examples II and XXIII, followed by treatment
with hydrazine and removal of the t-butoxycarbonyl group in
a similar fashion as described for examples I and CXLVIII,
30 afforded the example compound. mp 259 °C; ESI-MS m/e calc'd
for C₂₆H₂₉N₆O₃: 473.2301, found: 473.2302.

Example CLIII

Preparation of 3-(4-(1-piperazinyl)phenyl)-5-((4-methyl-1-
35 piperazinyl)acetamido)indeno[1,2-c]pyrazol-4-one

Prepared employing 2-(4-(4-t-butoxycarbonyl-1-
piperazinyl)benzoyl)-4-amino-1,3-indanedione obtained in
example CXLVII as the starting material. Chloroacetylation

5 and treatment with 1-methylpiperazine in a similar fashion as described for examples II and XXIII, followed by treatment with hydrazine and removal of the t-butoxycarbonyl group in a similar fashion as described for examples I and CXLVIII, afforded the example compound. ESI-MS m/e calc'd
 10 for $C_{27}H_{32}N_7O_2$: 486.2618, found: 486.2608.

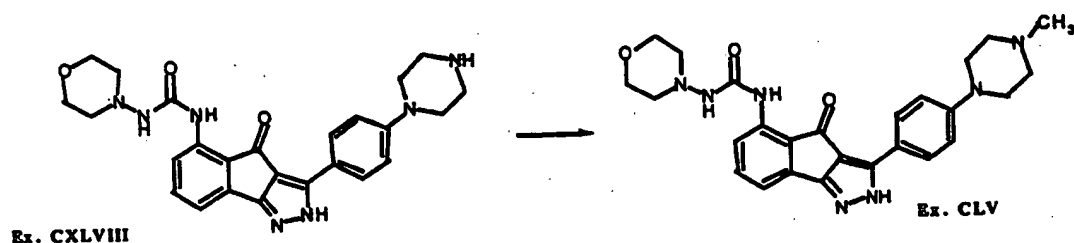
Example CLIV

Preparation of 3-(4-(1-piperazinyl)phenyl)-5-((4-aminomethyl-1-piperidinyl)acetamido)indeno[1,2-c]pyrazol-4-one
 15 one

Prepared employing 2-(4-(4-t-butoxycarbonyl-1-piperazinyl)benzoyl)-4-amino-1,3-indanedione obtained in example CXLVII as the starting material. Chloroacetylation
 20 and treatment with 4-(aminomethyl)piperidine in a similar fashion as described for examples II and XXIII, followed by treatment with hydrazine and removal of the t-butoxycarbonyl group in a similar fashion as described for examples I and CXLVIII, afforded the example compound. mp 239 °C; ESI-MS
 25 m/e calc'd for $C_{28}H_{34}N_7O_2$: 500.2774, found: 500.2772.

Example CLV

Preparation of 3-(4-(4-methyl-1-piperazinyl)phenyl)-5-(((4-morpholinylamino)carbonyl)amino)indeno[1,2-c]pyrazol-4-one
 30



To a solution of CXLVIII (0.17 g, 0.29 mmol) in 10 mL of methanol and 2 mL of water at 25 °C was added
 35 sequentially 37% aqueous formaldehyde (0.45 g, 5.8 mmol),

5 sodium cyanoborohydride (0.18 g, 2.9 mmol), and 4 drops of
acetic acid. The resulting solution was stirred at 25 °C for
16 h. The mixture was diluted with water. It then was made
acidic (~pH 1) with conc. hydrochloric acid and stirred for
10 min. The solution next was made basic (~pH 13) with 50%
10 aqueous sodium hydroxide and finally adjusted to pH 10 with
1 N hydrochloric acid. The mixture was extracted with 4:1
chloroform/isopropanol. The combined extracts were washed
with water and brine, dried over anhydrous sodium sulfate,
and filtered. To the filtrate was added excess
15 trifluoroacetic acid, and the solution was concentrated
under vacuum. The residue was recrystallized from
isopropanol to furnish 0.16 g (92%) of the yellow product as
its TFA-salt. mp 245 °C; ESI-MS m/e calc'd for C₂₆H₃₀N₇O₃:
488.2410, found: 488.2420.

20

Example CLVI

Preparation of 3-(4-(4-ethyl-1-piperazinyl)phenyl)-5-(((4-
morpholinylamino)carbonyl)amino)indeno[1,2-c]pyrazol-4-one

25 Prepared in a similar fashion as described for example
CLV employing CXLVIII and acetaldehyde as the starting
materials. mp 245 °C; ESI-MS m/e calc'd for C₂₇H₃₂N₇O₃:
502.2567, found: 502.2555.

30

Example CLVII

Preparation of 3-(4-(4-isopropyl-1-piperazinyl)phenyl)-5-
(((4-morpholinylamino)carbonyl)amino)indeno[1,2-c]pyrazol-4-
one

35 Prepared in a similar fashion as described for example
CLV employing CXLVIII and acetone as the starting materials.
mp 253 °C; ESI-MS m/e calc'd for C₂₈H₃₄N₇O₃: 516.2723,
found: 516.2726.

40

5

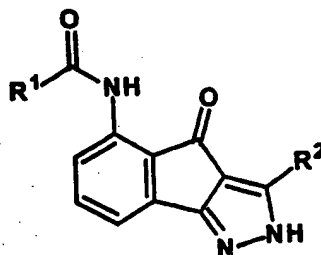
UTILITYInhibition of Kinase/Cyclin Complex Enzymatic Activity

Several of the compounds disclosed in this invention were assayed for their inhibitory activity against cdk4/D1 and cdk2/E kinase complexes. Briefly, the *in vitro* assays employ cell lysates from insect cells expressing either of the kinases and subsequently their corresponding regulatory units. The cdk2/cyclinE is purified from insect cells expressing His-tagged cdk2 and cyclin E. The cdk/cyclin lysate is combined in a microtitre-type plate along with a kinase compatible buffer, ³²p-labeled ATP at a concentration of 50 mM, a GST-Rb fusion protein and the test compound at varying concentrations. The kinase reaction is allowed to proceed with the radiolabeled ATP, then effectively stopped by the addition of a large excess of EDTA and unlabeled ATP. The GST-Rb labeled protein is sequestered on a GSH-Sepharose bead suspension, washed, resuspended in scintillant, and the ³²p activity detected in a scintillation counter. The compound concentration which inhibits 50% of the kinase activity was calculated for each compound. A compound was considered active if its IC₅₀ was found to be less than 1 μ M.

Inhibition of HCT 116 Cancer Cell Proliferation

To test the cellular activity of several compounds disclosed in this invention, we examined the effect of these compounds on cultured HCT116 cells and determined their effect on cell-cycle progression by the colorimetric cytotoxicity test using sulforhodamine B (Skehan et al. J. Natl. Cancer Inst. 82:1107-12, 1990). Briefly, HCT116 cells are cultured in the presence of test compounds at increasing concentrations. At selected time points, groups of cells are fixed with trichloroacetic acid and stained with sulforhodamine B (SRB). Unbound dye was removed by washing and protein-bound dye was extracted for determination of optical density. A compound was considered active if its IC₅₀ was found to be less than 10 μ M.

5

Table 1

Example #	R ¹	R ²	mass (M ⁺ H)	mp (°C)
I	Methyl	4-MeOC ₆ H ₄	334	268
II	ClCH ₂	4-MeOC ₆ H ₄	382	274
III	cyclopropyl	4-MeOC ₆ H ₄	360	289
IV	isopropyl	4-MeOC ₆ H ₄	362	288
V	ethyl	4-MeOC ₆ H ₄	348	287
VI	cyclopentyl	4-MeOC ₆ H ₄	388	267
VII	cyclobutyl	4-MeOC ₆ H ₄	374	297
VIII	benzyl	4-MeOC ₆ H ₄	410	280
IX	n-propyl	4-MeOC ₆ H ₄	362	282
X	4-ClC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄	444	238
XI	3-MeOC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄	440	>300
XII	4-MeOC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄	440	280
XIII	3,4-diMeOC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄	470	>300
XIV	2,5-diMeOC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄	470	226
XV	Methyl	2-MeOC ₆ H ₄	334	276
XVI	Methyl	3,4-diMeOC ₆ H ₄	364	>300
XVII	3,4-(OCH ₂ O)C ₆ H ₄ CH ₂	4-MeOC ₆ H ₄	454	297
XVIII	3-thiophenylCH ₂	4-MeOC ₆ H ₄	416	293
XIX	2-MeOC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄	440	255
XX	3,4-diClOC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄	479	299
XXI	2,4-diClOC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄	479	286
XXII	2-ClC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄	444	300

XXIII	H ₂ NCH ₂	4-MeOC ₆ H ₄	349	>300
XXIV	HOCH ₂ CH ₂ NHCH ₂	4-MeOC ₆ H ₄	393	243
XXV	Me ₂ NCH ₂	4-MeOC ₆ H ₄	377	279
XXVI	piperazinylCH ₂	4-MeOC ₆ H ₄	418	277
XXVII	4-Me-piperazinylCH ₂	4-MeOC ₆ H ₄	432	>300
XXVIII	4-HOCH ₂ CH ₂ -	4-MeOC ₆ H ₄	462	>300
	piperazinylCH ₂			
XXIX	piperidinylCH ₂	4-MeOC ₆ H ₄	417	291
XXX	4-NH ₂ CH ₂ -	4-MeOC ₆ H ₄	446	>300
	piperidinylCH ₂			
XXXI	CH ₃ CH ₂ NHCH ₂	4-MeOC ₆ H ₄	377	250
XXXII	thiomorpholinylCH ₂	4-MeOC ₆ H ₄	435	298
XXXIII	morpholinylCH ₂	4-MeOC ₆ H ₄	419	295
XXXIV	pyrrolidinylCH ₂	4-MeOC ₆ H ₄	403	279
XXXV	4-pyridylCH ₂ NHCH ₂	4-MeOC ₆ H ₄	440	>300
XXXVI	4-CH ₃ CONHC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄	467	268
XXXVII	4-CH ₃ OCNHC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄	483	257
XXXVIII	4-NH ₂ CH ₂ CONHC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄	482	228
XXXIX	4-Me ₂ NCH ₂ CONHC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄	510	>300
XL	4-N ₃ C ₆ H ₄ CH ₂	4-MeOC ₆ H ₄	451	>300
XLI	4-NH ₂ C ₆ H ₄ CH ₂	4-MeOC ₆ H ₄	425	283
XLII	C ₆ H ₅ NH	4-MeOC ₆ H ₄	411	>300
XLIII	CH ₃ CH ₂ CH ₂ NH	4-MeOC ₆ H ₄	377	252
XLIV	4-NH ₂ C ₆ H ₄ CH ₂ NH	4-MeOC ₆ H ₄	440	>300
XLV	4-pyridylCH ₂ NH	4-MeOC ₆ H ₄	426	>300
XLVI	Methyl	4-HOC ₆ H ₄	320	>300
XLVII	H	4-MeOC ₆ H ₄	320	280
XLVIII	Methyl	3-pyridyl	305	>300
XLIX	Methyl	4-pyridyl	305	>300
L	H	4-pyridyl	291	>300
LI	Methyl	C ₆ H ₅	305	>300

LII	Methyl	4-MeSC ₆ H ₄	351	283
LIII	Methyl	4-MeSO ₂ C ₆ H ₄	383	>300
LVI	Methyl	4-Me ₂ NC ₆ H ₄	348	>300
LV	morpholinylCH ₂	4-Me ₂ NC ₆ H ₄	432	>300
LVI	Me ₂ NCH ₂	4-Me ₂ NC ₆ H ₄	390	>300
LVII	Methyl	4-(piperdiny)C ₆ H ₄	388	291
LVIII	Methyl	4-(morpholinyl)C ₆ H ₄	389	>300
LIX	Methyl	4-CH ₃ CH ₂ OC ₆ H ₄	349	288
LX	Methyl	4-CH ₃ CH ₂ CH ₂ CH ₂ C ₆ H ₄	361	259
LXI	Methyl	4-CH ₃ CH ₂ C ₆ H ₄	332	294
LXII	Methyl	4-CH ₃ CH ₂ CH ₂ C ₆ H ₄	347	269
LXIII	NH ₂	4-MeOC ₆ H ₄	335	>300
LXIV	Me ₂ NNH	4-MeOC ₆ H ₄	378	>300
LXV	MeNH	4-MeOC ₆ H ₄	349	>300
LXVI	morpholinylNH	4-MeOC ₆ H ₄	420	>300
LXVII	cis-1,2-diaminocyclohexanyl	4-MeOC ₆ H ₄	432	>300
LXVIII	4-methylpiperazinylNH	4-MeOC ₆ H ₄	433	>300
LXVIX	4-uridomethylpiperadinylCH ₂	4-MeOC ₆ H ₄	489	>300
LXX	4-(2-pyridyl)piperazinylCH ₂	4-MeOC ₆ H ₄	495	>300
LXXI	4-(aminoethyl)piperazinylCH ₂	4-MeOC ₆ H ₄	461	>300
LXXII	4-amidopiperidiny)CH ₂	4-MeOC ₆ H ₄	460	>300
LXXIII	4-hydroxypiperidiny)CH ₂	4-MeOC ₆ H ₄	433	>300

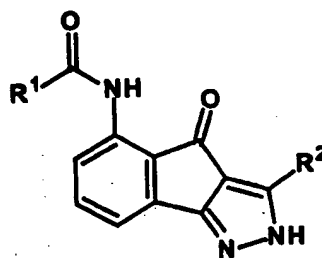
LXXIV	4- hydroxymethylpiperid inylCH ₂	4-MeOC ₆ H ₄	447	>300
LXXV	4-amidopiperaziny1CH ₂	4-MeOC ₆ H ₄	493	>300
LXXVI	4- dimethylaminopiperad inylCH ₂	4-MeOC ₆ H ₄	492	>300
LXXVII	4-aminopiperadinylCH ₂	4-MeOC ₆ H ₄	464	>300
LXXVIII	4-Me-piperaziny1CH ₂	4-Me ₂ NC ₆ H ₄	445	>300
LXXIX	4-NH ₂ CH ₂ - piperidinylCH ₂	4-Me ₂ NC ₆ H ₄	459	NA
LXXX	4-OH-piperidinylCH ₂	4-Me ₂ NC ₆ H ₄	446	267
LXXXI	morpholinylCH ₂	4- (morpholinyl) C ₆ H ₄	474	258
LXXXII	4-Me-piperaziny1CH ₂	4- (morpholinyl) C ₆ H ₄	487	258
LXXXIII	4-OH-piperidinylCH ₂	4- (morpholinyl) C ₆ H ₄	488	245
LXXXIV	4-NH ₂ CH ₂ - piperidinylCH ₂	4- (morpholinyl) C ₆ H ₄	501	240
LXXXV	4-Me-piperaziny1NH	4-Me ₂ NC ₆ H ₄	446	>300
LXXXVI	Methyl	i-propyl	270	>250
LXXXVII	Methyl	c-propyl	268	220
LXXXVIII	Methyl	t-butyl	284	>250
LXXXIX	Methyl	2-thienyl	310	269
XC	Methyl	3-Me-2-thienyl	324	275
XCI	NH ₂	Ethyl	257	>250
XCII	NH ₂	n-propyl	271	187
XCIII	NH ₂	i-propyl	271	>250
XCIV	NH ₂	c-propyl	267	252
		(M-H)		
XCV	NH ₂	c-hexyl	311	178
XCVI	NH ₂	2-thienyl	310	214
		(M+)		

XCVII	NH ₂	3-Me-2-thienyl	325	270
XCVIII	NH ₂	5-Me-2-thienyl	325	>280
XCIX	NH ₂	5-CO ₂ Et-2-thienyl	383	>280
C	NH ₂	3-thienyl	311	>280
CI	NH ₂	5-Cl-3-thienyl	345	>300
CII	NH ₂	2,5-diMe-3-thienyl	339	>280
CIII	NH ₂	2-furanyl	295	278
CIV	Me ₂ NNH	i-propyl	314	231
CV	Me ₂ NNH	c-propyl	312	
CVI	Me ₂ NNH	c-hexyl	354	229
CVII	Me ₂ NNH	2-thienyl	354	279
CVIII	Me ₂ NNH	5-MeO-2-thienyl	384	280
CIX	Me ₂ NNH	5-Me-2-thienyl	368	>280
CX	Me ₂ NNH	5-CO ₂ Et-2-thienyl	426	252
CXI	Me ₂ NNH	3-thienyl	354	202
CXII	NH ₂	1-methyl-3-pyrrolyl	308	>300
CXIII	Me ₂ NNH	2,5-diMe-3-thienyl	382	252
CXIV	Me ₂ NNH	2-furanyl	338	202
CXV	4-NH ₂ CO-piperidinylCH ₂	i-propyl	396	224
CXVI	4-NH ₂ CO-piperidinylCH ₂	c-hexyl	436	228
CXVII	4-NH ₂ CH ₂ -piperidinylCH ₂	ethyl	368	174
CXVIII	4-NH ₂ CH ₂ -piperidinylCH ₂	i-propyl	382	218
CXVIX	4-NH ₂ CH ₂ -piperidinylCH ₂	c-propyl	380	138
CXX	4-NH ₂ CH ₂ -piperidinylCH ₂	c-hexyl	422	196
CXXI	4-CH ₃ -piperazinylNH	i-propyl	369	231
CXXII	4-CH ₃ -piperazinylNH	5-CO ₂ Et-2-thienyl	481	249
CXXIII	4-CH ₃ -piperazinylNH	5-CO ₂ H-2-thienyl	453	270

CXXIV	4-CH ₃ -piperazinylNH	2,5-diMe-3-thienyl	437	250
CXXV	morpholinylNH	i-propyl	354	256
		(M-H)		
CXXVI	morpholinylNH	4-CO ₂ Me-	455	216
		piperidinyl		
CXXVII	morpholinylNH	5-Me-2-thienyl	410	261
CXXVIII	morpholinylNH	5-Cl-3-thienyl	430	259
CXXIX	morpholinylNH	2,5-diMe-3-thienyl	424	>280
CXXX	morpholinylNH	5-CO ₂ Et-2-thienyl	468	258
CXXXI	morpholinylNH	5-CO ₂ H-2-thienyl	440	273
CXXXII	morpholinylNH	5-CONHBn-2-thienyl	529	275
CXXXIII	morpholinylNH	5-CONH(4-Me-	537	190
		piperazinyl)-2-		
		thienyl		
CXXXIV	morpholinylNH	5-CONHCH ₂ CH ₂ (1-Me-	550	235
		2-pyrrolidinyl)-2-		
		thienyl		
CXXXV	morpholinylNH	5-CONHNMe ₂ -2-	482	201
		thienyl		
CXXXVI	morpholinylNH	5-CONHCH ₂ CH ₂ NMe ₂ -	510	190
		2-thienyl		
CXXXVII	morpholinylNH	5-CONHCH ₂ CH ₂ (1-	536	224
		pyrrolidinyl)-2-		
		thienyl		
CXXXVIII	morpholinylNH	5-CONHCH ₂ CH ₂ (1-	552	241
		morpholinyl)-2-		
		thienyl		
CXXXIX	morpholinylNH	5-CONHmorpholinyl-	524	271
		2-thienyl		
CXL	morpholinylNH	5-CONHCH ₂ CH ₂ CH ₂ (1-	564	260
		pyrrolidonyl)-2-		
		thienyl		
CXLI	morpholinylNH	5-CONHCH ₂ CH ₂ (3-	544	203
		pyridyl)-2-thienyl		

CXLII	morpholinylNH	5-CONHCH ₂ CH ₂ CH ₂ (1-imidazolyl)-2-thienyl	547	263
CXLIII	morpholinylNH	5-CONHCH ₂ CH ₂ (2-pyridyl)-2-thienyl	544	>280
CXLIV	morpholinylNH	5-CONHCH ₂ (3-pyridyl)-2-thienyl	530	239
CXLV	morpholinylNH	5-CONHCH ₂ CH ₂ (1-piperidinyl)-2-thienyl	550	228
CXLVI	Methyl	4-CF ₃ C ₆ H ₄	370 (M-H)	>300
CXLVII	morpholinylNH	4-(4-Boc-piperazinyl)C ₆ H ₄	574	242
CXLVIII	morpholinylNH	4-(piperazinyl)C ₆ H ₄	474	263
CXLIX	NH ₂	4-(piperazinyl)C ₆ H ₄	389	257
CL	NH ₂ NH	4-(piperazinyl)C ₆ H ₄	404	257
CLI	Me ₂ NCH ₂	4-(piperazinyl)C ₆ H ₄	431	243
CLII	morpholinylCH ₂	4-(piperazinyl)C ₆ H ₄	473	259
CLIII	4-Me-piperazinylCH ₂	4-(piperazinyl)C ₆ H ₄	486	NA
CLIV	4-NH ₂ CH ₂ -	4-(piperazinyl)C ₆ H ₄	500	239
CLV	piperidinylCH ₂ morpholinylNH	4-(4-Me-piperazinyl)C ₆ H ₄	488	245
CLVI	morpholinylNH	4-(4-Et-piperazinyl)C ₆ H ₄	502	245
CLVII	morpholinylNH	4-(4-i-Pr-piperazinyl)C ₆ H ₄	516	253

5

Table 2

Example		R ¹	R ²
10	Number		
	100	2-pyridylmethyl	4-MeOC ₆ H ₄
	101	2-pyridylmethyl	3-MeOC ₆ H ₄
	102	2-pyridylmethyl	4-NH ₂ C ₆ H ₄
15	103	2-pyridylmethyl	3-NH ₂ C ₆ H ₄
	104	2-pyridylmethyl	2-NH ₂ C ₆ H ₄
	105	2-pyridylmethyl	4-Me ₂ NC ₆ H ₄
	106	2-pyridylmethyl	3-Me ₂ NC ₆ H ₄
	107	2-pyridylmethyl	2-Me ₂ NC ₆ H ₄
20	108	2-pyridylmethyl	4-pyridyl
	109	2-pyridylmethyl	3-pyridyl
	110	2-pyridylmethyl	2-pyridyl
	111	2-pyridylmethyl	2-thiazolyl
	112	2-pyridylmethyl	2-pyrazolyl
25	113	2-pyridylmethyl	5-isoquinolyl
	114	2-pyridylmethyl	3,4-methylenedioxyC ₆ H ₃
	115	2-pyridylmethyl	3,4-ethylenedioxyC ₆ H ₃
30	116	2-pyridylmethyl	2-imidazolyl
	117	2-pyridylmethyl	2-oxazolyl
	118	2-pyridylmethyl	4-isoxazolyl
	119	2-pyridylmethyl	4-HOC ₆ H ₄
	120	2-pyridylmethyl	3-HOC ₆ H ₄
35	121	2-pyridylmethyl	3,4-diHOC ₆ H ₄

5	122	2-pyridylmethyl	4-NH ₂ CH ₂ C ₆ H ₄
	123	2-pyridylmethyl	3-NH ₂ CH ₂ C ₆ H ₄
	124	3-pyridylmethyl	4-MeOC ₆ H ₄
	125	3-pyridylmethyl	3-MeOC ₆ H ₄
	126	3-pyridylmethyl	4-NH ₂ C ₆ H ₄
10	127	3-pyridylmethyl	3-NH ₂ C ₆ H ₄
	128	3-pyridylmethyl	2-NH ₂ C ₆ H ₄
	129	3-pyridylmethyl	4-Me ₂ NC ₆ H ₄
	130	3-pyridylmethyl	3-Me ₂ NC ₆ H ₄
	131	3-pyridylmethyl	2-Me ₂ NC ₆ H ₄
15	132	3-pyridylmethyl	4-pyridyl
	133	3-pyridylmethyl	3-pyridyl
	134	3-pyridylmethyl	2-pyridyl
	135	3-pyridylmethyl	2-thiazolyl
	136	3-pyridylmethyl	2-pyrazolyl
20	137	3-pyridylmethyl	5-isoquinolyl
	138	3-pyridylmethyl	3,4-methylenedioxyC ₆ H ₃
	139	3-pyridylmethyl	3,4-ethylenedioxyC ₆ H ₃
25	140	3-pyridylmethyl	2-imidazolyl
	141	3-pyridylmethyl	2-oxazolyl
	142	3-pyridylmethyl	4-isoxazolyl
	143	3-pyridylmethyl	4-HOC ₆ H ₄
	144	3-pyridylmethyl	3-HOC ₆ H ₄
30	145	3-pyridylmethyl	3,4-diHOC ₆ H ₄
	146	3-pyridylmethyl	4-NH ₂ CH ₂ C ₆ H ₄
	147	3-pyridylmethyl	3-NH ₂ CH ₂ C ₆ H ₄
	148	4-pyridylmethyl	4-MeOC ₆ H ₄
	149	4-pyridylmethyl	3-MeOC ₆ H ₄
35	150	4-pyridylmethyl	4-NH ₂ C ₆ H ₄
	151	4-pyridylmethyl	3-NH ₂ C ₆ H ₄
	152	4-pyridylmethyl	2-NH ₂ C ₆ H ₄
	153	4-pyridylmethyl	4-Me ₂ NC ₆ H ₄
	154	4-pyridylmethyl	3-Me ₂ NC ₆ H ₄

5	155	4-pyridylmethyl	2-Me ₂ NC ₆ H ₄
	156	4-pyridylmethyl	4-pyridyl
	157	4-pyridylmethyl	3-pyridyl
	158	4-pyridylmethyl	2-pyridyl
	159	4-pyridylmethyl	2-thiazolyl
10	160	4-pyridylmethyl	2-pyrazolyl
	161	4-pyridylmethyl	5-isoquinolyl
	162	4-pyridylmethyl	3,4-methylenedioxyC ₆ H ₃
	163	4-pyridylmethyl	3,4-ethylenedioxyC ₆ H ₃
15	164	4-pyridylmethyl	2-imidazolyl
	165	4-pyridylmethyl	2-oxazolyl
	166	4-pyridylmethyl	4-isoxazolyl
	167	4-pyridylmethyl	4-HOC ₆ H ₄
20	168	4-pyridylmethyl	3-HOC ₆ H ₄
	169	4-pyridylmethyl	3,4-diHOC ₆ H ₄
	170	4-pyridylmethyl	4-NH ₂ CH ₂ C ₆ H ₄
	171	4-pyridylmethyl	3-NH ₂ CH ₂ C ₆ H ₄
	172	2-NH ₂ C ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
25	173	2-NH ₂ C ₆ H ₄ CH ₂	3-MeOC ₆ H ₄
	174	2-NH ₂ C ₆ H ₄ CH ₂	4-NH ₂ C ₆ H ₄
	175	2-NH ₂ C ₆ H ₄ CH ₂	3-NH ₂ C ₆ H ₄
	176	2-NH ₂ C ₆ H ₄ CH ₂	2-NH ₂ C ₆ H ₄
	177	2-NH ₂ C ₆ H ₄ CH ₂	4-Me ₂ NC ₆ H ₄
30	178	2-NH ₂ C ₆ H ₄ CH ₂	3-Me ₂ NC ₆ H ₄
	179	2-NH ₂ C ₆ H ₄ CH ₂	2-Me ₂ NC ₆ H ₄
	180	2-NH ₂ C ₆ H ₄ CH ₂	4-pyridyl
	181	2-NH ₂ C ₆ H ₄ CH ₂	3-pyridyl
	182	2-NH ₂ C ₆ H ₄ CH ₂	2-pyridyl
35	183	2-NH ₂ C ₆ H ₄ CH ₂	2-thiazolyl
	184	2-NH ₂ C ₆ H ₄ CH ₂	2-pyrazolyl
	185	2-NH ₂ C ₆ H ₄ CH ₂	5-isoquinolyl
	186	2-NH ₂ C ₆ H ₄ CH ₂	3,4-methylenedioxyC ₆ H ₃

5	187	2-NH ₂ C ₆ H ₄ CH ₂	3,4- ethylenedioxyC ₆ H ₃
	188	2-NH ₂ C ₆ H ₄ CH ₂	2-imidazolyl
	189	2-NH ₂ C ₆ H ₄ CH ₂	2-oxazolyl
	190	2-NH ₂ C ₆ H ₄ CH ₂	4-isoxazolyl
10	191	2-NH ₂ C ₆ H ₄ CH ₂	4-HOC ₆ H ₄
	192	2-NH ₂ C ₆ H ₄ CH ₂	3-HOC ₆ H ₄
	193	2-NH ₂ C ₆ H ₄ CH ₂	3,4-diHOC ₆ H ₄
	194	2-NH ₂ C ₆ H ₄ CH ₂	4-NH ₂ CH ₂ C ₆ H ₄
	195	2-NH ₂ C ₆ H ₄ CH ₂	3-NH ₂ CH ₂ C ₆ H ₄
15	196	3-NH ₂ C ₆ H ₄ CH ₂	3-MeOC ₆ H ₄
	197	3-NH ₂ C ₆ H ₄ CH ₂	4-NH ₂ C ₆ H ₄
	198	3-NH ₂ C ₆ H ₄ CH ₂	3-NH ₂ C ₆ H ₄
	199	3-NH ₂ C ₆ H ₄ CH ₂	2-NH ₂ C ₆ H ₄
	200	3-NH ₂ C ₆ H ₄ CH ₂	4-Me ₂ NC ₆ H ₄
20	201	3-NH ₂ C ₆ H ₄ CH ₂	3-Me ₂ NC ₆ H ₄
	202	3-NH ₂ C ₆ H ₄ CH ₂	2-Me ₂ NC ₆ H ₄
	203	3-NH ₂ C ₆ H ₄ CH ₂	4-pyridyl
	204	3-NH ₂ C ₆ H ₄ CH ₂	3-pyridyl
	205	3-NH ₂ C ₆ H ₄ CH ₂	2-pyridyl
25	206	3-NH ₂ C ₆ H ₄ CH ₂	2-thiazolyl
	207	3-NH ₂ C ₆ H ₄ CH ₂	2-pyrazolyl
	208	3-NH ₂ C ₆ H ₄ CH ₂	5-isoquinolyl
	209	3-NH ₂ C ₆ H ₄ CH ₂	3,4- methylenedioxyC ₆ H ₃
30	210	3-NH ₂ C ₆ H ₄ CH ₂	3,4- ethylenedioxyC ₆ H ₃
	211	3-NH ₂ C ₆ H ₄ CH ₂	2-imidazolyl
	212	3-NH ₂ C ₆ H ₄ CH ₂	2-oxazolyl
	213	3-NH ₂ C ₆ H ₄ CH ₂	4-isoxazolyl
35	214	3-NH ₂ C ₆ H ₄ CH ₂	4-HOC ₆ H ₄
	215	3-NH ₂ C ₆ H ₄ CH ₂	3-HOC ₆ H ₄
	216	3-NH ₂ C ₆ H ₄ CH ₂	3,4-diHOC ₆ H ₄
	217	3-NH ₂ C ₆ H ₄ CH ₂	4-NH ₂ CH ₂ C ₆ H ₄

5	218	3-NH ₂ C ₆ H ₄ CH ₂	3-NH ₂ CH ₂ C ₆ H ₄
	219	4-NH ₂ C ₆ H ₄ CH ₂	3-MeOC ₆ H ₄
	220	4-NH ₂ C ₆ H ₄ CH ₂	4-NH ₂ C ₆ H ₄
	221	4-NH ₂ C ₆ H ₄ CH ₂	3-NH ₂ C ₆ H ₄
	222	4-NH ₂ C ₆ H ₄ CH ₂	2-NH ₂ C ₆ H ₄
10	223	4-NH ₂ C ₆ H ₄ CH ₂	4-Me ₂ NC ₆ H ₄
	224	4-NH ₂ C ₆ H ₄ CH ₂	3-Me ₂ NC ₆ H ₄
	225	4-NH ₂ C ₆ H ₄ CH ₂	2-Me ₂ NC ₆ H ₄
	226	4-NH ₂ C ₆ H ₄ CH ₂	4-pyridyl
	227	4-NH ₂ C ₆ H ₄ CH ₂	3-pyridyl
15	228	4-NH ₂ C ₆ H ₄ CH ₂	2-pyridyl
	229	4-NH ₂ C ₆ H ₄ CH ₂	2-thiazolyl
	230	4-NH ₂ C ₆ H ₄ CH ₂	2-pyrazolyl
	231	4-NH ₂ C ₆ H ₄ CH ₂	5-isoquinolyl
	232	4-NH ₂ C ₆ H ₄ CH ₂	3,4-
20			methylenedioxyC ₆ H ₃
	233	4-NH ₂ C ₆ H ₄ CH ₂	3,4-
			ethylenedioxyC ₆ H ₃
	234	4-NH ₂ C ₆ H ₄ CH ₂	2-imidazolyl
	235	4-NH ₂ C ₆ H ₄ CH ₂	2-oxazolyl
25	236	4-NH ₂ C ₆ H ₄ CH ₂	4-isoxazolyl
	237	4-NH ₂ C ₆ H ₄ CH ₂	4-HOC ₆ H ₄
	238	4-NH ₂ C ₆ H ₄ CH ₂	3-HOC ₆ H ₄
	239	4-NH ₂ C ₆ H ₄ CH ₂	3,4-dihOC ₆ H ₄
	240	4-NH ₂ C ₆ H ₄ CH ₂	4-NH ₂ CH ₂ C ₆ H ₄
30	241	4-NH ₂ C ₆ H ₄ CH ₂	3-NH ₂ CH ₂ C ₆ H ₄
	242	2-MeOC ₆ H ₄ CH ₂	3-MeOC ₅ H ₄
	243	2-MeOC ₆ H ₄ CH ₂	4-NH ₂ C ₆ H ₄
	244	2-MeOC ₆ H ₄ CH ₂	3-NH ₂ C ₆ H ₄
	245	2-MeOC ₆ H ₄ CH ₂	2-NH ₂ C ₆ H ₄
35	246	2-MeOC ₆ H ₄ CH ₂	4-Me ₂ NC ₆ H ₄
	247	2-MeOC ₆ H ₄ CH ₂	3-Me ₂ NC ₆ H ₄
	248	2-MeOC ₆ H ₄ CH ₂	2-Me ₂ NC ₆ H ₄
	249	2-MeOC ₆ H ₄ CH ₂	4-pyridyl

5	250	2-MeOC ₆ H ₄ CH ₂	3-pyridyl
	251	2-MeOC ₆ H ₄ CH ₂	2-pyridyl
	252	2-MeOC ₆ H ₄ CH ₂	2-thiazolyl
	253	2-MeOC ₆ H ₄ CH ₂	2-pyrazolyl
	254	2-MeOC ₆ H ₄ CH ₂	5-isoquinolyl
10	255	2-MeOC ₆ H ₄ CH ₂	3,4- methylenedioxyC ₆ H ₃
	256	2-MeOC ₆ H ₄ CH ₂	3,4- ethylenedioxyC ₆ H ₃
	257	2-MeOC ₆ H ₄ CH ₂	2-imidazolyl
15	258	2-MeOC ₆ H ₄ CH ₂	2-oxazolyl
	259	2-MeOC ₆ H ₄ CH ₂	4-isoxazolyl
	260	2-MeOC ₆ H ₄ CH ₂	4-HOC ₆ H ₄
	261	2-MeOC ₆ H ₄ CH ₂	3-HOC ₆ H ₄
	262	2-MeOC ₆ H ₄ CH ₂	3,4-diHOC ₆ H ₄
20	263	2-MeOC ₆ H ₄ CH ₂	4-NH ₂ CH ₂ C ₆ H ₄
	264	2-MeOC ₆ H ₄ CH ₂	3-NH ₂ CH ₂ C ₆ H ₄
	265	3-MeOC ₆ H ₄ CH ₂	3-MeOC ₆ H ₄
	266	3-MeOC ₆ H ₄ CH ₂	4-NH ₂ C ₆ H ₄
	267	3-MeOC ₆ H ₄ CH ₂	3-NH ₂ C ₆ H ₄
25	268	3-MeOC ₆ H ₄ CH ₂	2-NH ₂ C ₆ H ₄
	269	3-MeOC ₆ H ₄ CH ₂	4-Me ₂ NC ₆ H ₄
	270	3-MeOC ₆ H ₄ CH ₂	3-Me ₂ NC ₆ H ₄
	271	3-MeOC ₆ H ₄ CH ₂	2-Me ₂ NC ₆ H ₄
	272	3-MeOC ₆ H ₄ CH ₂	4-pyridyl
30	273	3-MeOC ₆ H ₄ CH ₂	3-pyridyl
	274	3-MeOC ₆ H ₄ CH ₂	2-pyridyl
	275	3-MeOC ₆ H ₄ CH ₂	2-thiazolyl
	276	3-MeOC ₆ H ₄ CH ₂	2-pyrazolyl
	277	3-MeOC ₆ H ₄ CH ₂	5-isoquinolyl
35	278	3-MeOC ₆ H ₄ CH ₂	3,4- methylenedioxyC ₆ H ₃
	279	3-MeOC ₆ H ₄ CH ₂	3,4- ethylenedioxyC ₆ H ₃

5	280	3-MeOC ₆ H ₄ CH ₂	2-imidazolyl
	281	3-MeOC ₆ H ₄ CH ₂	2-oxazolyl
	282	3-MeOC ₆ H ₄ CH ₂	4-isoxazolyl
	283	3-MeOC ₆ H ₄ CH ₂	4-HOC ₆ H ₄
	284	3-MeOC ₆ H ₄ CH ₂	3-HOC ₆ H ₄
10	285	3-MeOC ₆ H ₄ CH ₂	3,4-diHOC ₆ H ₄
	286	3-MeOC ₆ H ₄ CH ₂	4-NH ₂ CH ₂ C ₆ H ₄
	287	3-MeOC ₆ H ₄ CH ₂	3-NH ₂ CH ₂ C ₆ H ₄
	288	4-MeOC ₆ H ₄ CH ₂	3-MeOC ₆ H ₄
	289	4-MeOC ₆ H ₄ CH ₂	4-NH ₂ C ₆ H ₄
15	290	4-MeOC ₆ H ₄ CH ₂	3-NH ₂ C ₆ H ₄
	291	4-MeOC ₆ H ₄ CH ₂	2-NH ₂ C ₆ H ₄
	292	4-MeOC ₆ H ₄ CH ₂	4-Me ₂ NC ₆ H ₄
	293	4-MeOC ₆ H ₄ CH ₂	3-Me ₂ NC ₆ H ₄
	294	4-MeOC ₆ H ₄ CH ₂	2-Me ₂ NC ₆ H ₄
20	295	4-MeOC ₆ H ₄ CH ₂	4-pyridyl
	296	4-MeOC ₆ H ₄ CH ₂	3-pyridyl
	297	4-MeOC ₆ H ₄ CH ₂	2-pyridyl
	298	4-MeOC ₆ H ₄ CH ₂	2-thiazolyl
	299	4-MeOC ₆ H ₄ CH ₂	2-pyrazolyl
25	300	4-MeOC ₆ H ₄ CH ₂	5-isoquinolyl
	301	4-MeOC ₆ H ₄ CH ₂	3,4-methylenedioxyC ₆ H ₃
	302	4-MeOC ₆ H ₄ CH ₂	3,4-ethylenedioxyC ₆ H ₃
30	303	4-MeOC ₆ H ₄ CH ₂	2-imidazolyl
	304	4-MeOC ₆ H ₄ CH ₂	2-oxazolyl
	305	4-MeOC ₆ H ₄ CH ₂	4-isoxazolyl
	306	4-MeOC ₆ H ₄ CH ₂	4-HOC ₆ H ₄
	307	4-MeOC ₆ H ₄ CH ₂	3-HOC ₆ H ₄
35	308	4-MeOC ₆ H ₄ CH ₂	3,4-diHOC ₆ H ₄
	309	4-MeOC ₆ H ₄ CH ₂	4-NH ₂ CH ₂ C ₆ H ₄
	310	4-MeOC ₆ H ₄ CH ₂	3-NH ₂ CH ₂ C ₆ H ₄
	311	2-HOC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄

5	312	2-HOC ₆ H ₄ CH ₂	3-MeOC ₆ H ₄
	313	2-HOC ₆ H ₄ CH ₂	4-NH ₂ C ₆ H ₄
	314	2-HOC ₆ H ₄ CH ₂	3-NH ₂ C ₆ H ₄
	315	2-HOC ₆ H ₄ CH ₂	2-NH ₂ C ₆ H ₄
	316	2-HOC ₆ H ₄ CH ₂	4-Me ₂ NC ₆ H ₄
10	317	2-HOC ₆ H ₄ CH ₂	3-Me ₂ NC ₆ H ₄
	318	2-HOC ₆ H ₄ CH ₂	2-Me ₂ NC ₆ H ₄
	319	2-HOC ₆ H ₄ CH ₂	4-pyridyl
	320	2-HOC ₆ H ₄ CH ₂	3-pyridyl
	321	2-HOC ₆ H ₄ CH ₂	2-pyridyl
15	322	2-HOC ₆ H ₄ CH ₂	2-thiazolyl
	323	2-HOC ₆ H ₄ CH ₂	2-pyrazolyl
	324	2-HOC ₆ H ₄ CH ₂	5-isoquinolyl
	325	2-HOC ₆ H ₄ CH ₂	3,4-methylenedioxyC ₆ H ₃
20	326	2-HOC ₆ H ₄ CH ₂	3,4-ethylenedioxyC ₆ H ₃
	327	2-HOC ₆ H ₄ CH ₂	2-imidazolyl
	328	2-HOC ₆ H ₄ CH ₂	2-oxazolyl
	329	2-HOC ₆ H ₄ CH ₂	4-isoxazolyl
25	330	2-HOC ₆ H ₄ CH ₂	4-HOC ₆ H ₄
	331	2-HOC ₆ H ₄ CH ₂	3-HOC ₆ H ₄
	332	2-HOC ₆ H ₄ CH ₂	3,4-dihOC ₆ H ₄
	333	2-HOC ₆ H ₄ CH ₂	4-NH ₂ CH ₂ C ₆ H ₄
	334	2-HOC ₆ H ₄ CH ₂	3-NH ₂ CH ₂ C ₆ H ₄
30	335	3-HOC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
	336	3-HOC ₆ H ₄ CH ₂	3-MeOC ₆ H ₄
	337	3-HOC ₆ H ₄ CH ₂	4-NH ₂ C ₆ H ₄
	338	3-HOC ₆ H ₄ CH ₂	3-NH ₂ C ₆ H ₄
	339	3-HOC ₆ H ₄ CH ₂	2-NH ₂ C ₆ H ₄
35	340	3-HOC ₆ H ₄ CH ₂	4-Me ₂ NC ₆ H ₄
	341	3-HOC ₆ H ₄ CH ₂	3-Me ₂ NC ₆ H ₄
	342	3-HOC ₆ H ₄ CH ₂	2-Me ₂ NC ₆ H ₄
	343	3-HOC ₆ H ₄ CH ₂	4-pyridyl

5	344	3-HOC ₆ H ₄ CH ₂	3-pyridyl
	345	3-HOC ₆ H ₄ CH ₂	2-pyridyl
	346	3-HOC ₆ H ₄ CH ₂	2-thiazolyl
	347	3-HOC ₆ H ₄ CH ₂	2-pyrazolyl
	348	3-HOC ₆ H ₄ CH ₂	5-isoquinolyl
10	349	3-HOC ₆ H ₄ CH ₂	3,4-
			methylenedioxyC ₆ H ₃
	350	3-HOC ₆ H ₄ CH ₂	3,4-
			ethylenedioxyC ₆ H ₃
	351	3-HOC ₆ H ₄ CH ₂	2-imidazolyl
15	352	3-HOC ₆ H ₄ CH ₂	2-oxazolyl
	353	3-HOC ₆ H ₄ CH ₂	4-isoxazolyl
	354	3-HOC ₆ H ₄ CH ₂	4-HOC ₆ H ₄
	355	3-HOC ₆ H ₄ CH ₂	3-HOC ₆ H ₄
	356	3-HOC ₆ H ₄ CH ₂	3,4-diHOC ₆ H ₄
20	357	3-HOC ₆ H ₄ CH ₂	4-NH ₂ CH ₂ C ₆ H ₄
	358	3-HOC ₆ H ₄ CH ₂	3-NH ₂ CH ₂ C ₆ H ₄
	359	4-HOC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
	360	4-HOC ₆ H ₄ CH ₂	3-MeOC ₆ H ₄
	361	4-HOC ₆ H ₄ CH ₂	4-NH ₂ C ₆ H ₄
25	362	4-HOC ₆ H ₄ CH ₂	3-NH ₂ C ₆ H ₄
	363	4-HOC ₆ H ₄ CH ₂	2-NH ₂ C ₆ H ₄
	364	4-HOC ₆ H ₄ CH ₂	4-Me ₂ NC ₆ H ₄
	365	4-HOC ₆ H ₄ CH ₂	3-Me ₂ NC ₆ H ₄
	366	4-HOC ₆ H ₄ CH ₂	2-Me ₂ NC ₆ H ₄
30	367	4-HOC ₆ H ₄ CH ₂	4-pyridyl
	368	4-HOC ₆ H ₄ CH ₂	3-pyridyl
	369	4-HOC ₆ H ₄ CH ₂	2-pyridyl
	370	4-HOC ₆ H ₄ CH ₂	2-thiazolyl
	371	4-HOC ₆ H ₄ CH ₂	2-pyrazolyl
35	372	4-HOC ₆ H ₄ CH ₂	5-isoquinolyl
	373	4-HOC ₆ H ₄ CH ₂	3,4-
			methylen dioxyC ₆ H ₃

5	374	4-HOC ₆ H ₄ CH ₂	3,4-ethylenedioxyC ₆ H ₃
	375	4-HOC ₆ H ₄ CH ₂	2-imidazolyl
	376	4-HOC ₆ H ₄ CH ₂	2-oxazolyl
	377	4-HOC ₆ H ₄ CH ₂	4-isoxazolyl
10	378	4-HOC ₆ H ₄ CH ₂	4-HOC ₆ H ₄
	379	4-HOC ₆ H ₄ CH ₂	3-HOC ₆ H ₄
	380	4-HOC ₆ H ₄ CH ₂	3,4-dihOC ₆ H ₄
	381	4-HOC ₆ H ₄ CH ₂	4-NH ₂ CH ₂ C ₆ H ₄
	382	4-HOC ₆ H ₄ CH ₂	3-NH ₂ CH ₂ C ₆ H ₄
15	383	4-ClC ₆ H ₄ CH ₂	3-MeOC ₆ H ₄
	384	4-ClC ₆ H ₄ CH ₂	4-NH ₂ C ₆ H ₄
	385	4-ClC ₆ H ₄ CH ₂	3-NH ₂ C ₆ H ₄
	386	4-ClC ₆ H ₄ CH ₂	2-NH ₂ C ₆ H ₄
	387	4-ClC ₆ H ₄ CH ₂	4-Me ₂ NC ₆ H ₄
20	388	4-ClC ₆ H ₄ CH ₂	3-Me ₂ NC ₆ H ₄
	389	4-ClC ₆ H ₄ CH ₂	2-Me ₂ NC ₆ H ₄
	390	4-ClC ₆ H ₄ CH ₂	4-pyridyl
	391	4-ClC ₆ H ₄ CH ₂	3-pyridyl
	392	4-ClC ₆ H ₄ CH ₂	2-pyridyl
25	393	4-ClC ₆ H ₄ CH ₂	2-thiazolyl
	394	4-ClC ₆ H ₄ CH ₂	2-pyrazolyl
	395	4-ClC ₆ H ₄ CH ₂	5-isoquinolyl
	396	4-ClC ₆ H ₄ CH ₂	3,4-methylenedioxyC ₆ H ₃
30	397	4-ClC ₆ H ₄ CH ₂	3,4-ethylenedioxyC ₆ H ₃
	398	4-ClC ₆ H ₄ CH ₂	2-imidazolyl
	399	4-ClC ₆ H ₄ CH ₂	2-oxazolyl
	400	4-ClC ₆ H ₄ CH ₂	4-isoxazolyl
35	401	4-ClC ₆ H ₄ CH ₂	4-HOC ₆ H ₄
	402	4-ClC ₆ H ₄ CH ₂	3-HOC ₆ H ₄
	403	4-ClC ₆ H ₄ CH ₂	3,4-dihOC ₆ H ₄
	404	4-ClC ₆ H ₄ CH ₂	4-NH ₂ CH ₂ C ₆ H ₄

5	405	4-ClC ₆ H ₄ CH ₂	3-NH ₂ CH ₂ C ₆ H ₄
	406	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
	407	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-MeOC ₆ H ₄
	408	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-NH ₂ C ₆ H ₄
	409	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-NH ₂ C ₆ H ₄
10	410	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-NH ₂ C ₆ H ₄
	411	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-Me ₂ NC ₆ H ₄
	412	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-Me ₂ NC ₆ H ₄
	413	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-Me ₂ NC ₆ H ₄
	414	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-pyridyl
15	415	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-pyridyl
	416	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-pyridyl
	417	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-thiazolyl
	418	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-pyrazolyl
	419	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	5-isoquinolyl
20	420	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3,4-
			methylenedioxyC ₆ H ₃
	421	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3,4-
			ethylenedioxyC ₆ H ₃
	422	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-imidazolyl
25	423	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-oxazolyl
	424	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-isoxazolyl
	425	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-HOC ₆ H ₄
	426	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-HOC ₆ H ₄
	427	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3,4-diHOC ₆ H ₄
30	428	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-NH ₂ CH ₂ C ₆ H ₄
	429	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-NH ₂ CH ₂ C ₆ H ₄
	430	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
	431	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-MeOC ₆ H ₄
	432	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-NH ₂ C ₆ H ₄
35	433	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-NH ₂ C ₆ H ₄
	434	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-NH ₂ C ₆ H ₄
	435	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-Me ₂ NC ₆ H ₄
	436	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-Me ₂ NC ₆ H ₄

5	437	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-Me ₂ NC ₆ H ₄
	438	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-pyridyl
	439	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-pyridyl
	440	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-pyridyl
	441	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-thiazolyl
10	442	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-pyrazolyl
	443	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	5-isoquinolyl
	444	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3,4-
			methylenedioxyC ₆ H ₃
	445	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3,4-
15			ethylenedioxyC ₆ H ₃
	446	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-imidazolyl
	447	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-oxazolyl
	448	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-isoxazolyl
	449	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-HOC ₆ H ₄
20	450	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-HOC ₆ H ₄
	451	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3,4-diHOC ₆ H ₄
	452	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-NH ₂ CH ₂ C ₆ H ₄
	453	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-NH ₂ CH ₂ C ₆ H ₄
	454	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
25	455	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-MeOC ₆ H ₄
	456	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-NH ₂ C ₆ H ₄
	457	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-NH ₂ C ₆ H ₄
	458	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-NH ₂ C ₆ H ₄
	459	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-Me ₂ NC ₆ H ₄
30	460	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-Me ₂ NC ₆ H ₄
	461	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-Me ₂ NC ₆ H ₄
	462	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-pyridyl
	463	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-pyridyl
	464	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-pyridyl
35	465	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-thiazolyl
	466	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-pyrazolyl
	467	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	5-isoquinolyl

5	468	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3,4-methylenedioxyC ₆ H ₃
	469	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3,4-ethylenedioxyC ₆ H ₃
	470	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-imidazolyl
10	471	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-oxazolyl
	472	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-isoxazolyl
	473	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-HOC ₆ H ₄
	474	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-HOC ₆ H ₄
	475	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3,4-diHOC ₆ H ₄
15	476	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-NH ₂ CH ₂ C ₆ H ₄
	477	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-NH ₂ CH ₂ C ₆ H ₄
	478	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
	479	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-MeOC ₆ H ₄
	480	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-NH ₂ C ₆ H ₄
20	481	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-NH ₂ C ₆ H ₄
	482	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-NH ₂ C ₆ H ₄
	483	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-Me ₂ NC ₆ H ₄
	484	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-Me ₂ NC ₆ H ₄
	485	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-Me ₂ NC ₆ H ₄
25	486	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-pyridyl
	487	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-pyridyl
	488	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-pyridyl
	489	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-thiazolyl
	490	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-pyrazolyl
30	491	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	5-isoquinolyl
	492	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3,4-methylenedioxyC ₆ H ₃
	493	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3,4-ethylenedioxyC ₆ H ₃
35	494	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-imidazolyl
	495	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-oxazolyl
	496	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-isoxazolyl
	497	2-M 2NCH ₂ C ₆ H ₄ CH ₂	4-HOC ₆ H ₄

5	498	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-HOC ₆ H ₄
	499	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3,4-diHOC ₆ H ₄
	500	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-NH ₂ CH ₂ C ₆ H ₄
	501	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-NH ₂ CH ₂ C ₆ H ₄
	502	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
10	503	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-MeOC ₆ H ₄
	504	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-NH ₂ C ₆ H ₄
	505	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-NH ₂ C ₆ H ₄
	506	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-NH ₂ C ₆ H ₄
	507	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-Me ₂ NC ₆ H ₄
15	508	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-Me ₂ NC ₆ H ₄
	509	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-Me ₂ NC ₆ H ₄
	510	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-pyridyl
	511	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-pyridyl
	512	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-pyridyl
20	513	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-thiazolyl
	514	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-pyrazolyl
	515	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	5-isoquinolyl
	516	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3,4-methylenedioxyC ₆ H ₃
25	517	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3,4-ethylenedioxyC ₆ H ₃
	518	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-imidazolyl
	519	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-oxazolyl
	520	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-isoxazolyl
30	521	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-HOC ₆ H ₄
	522	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-HOC ₆ H ₄
	523	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3,4-diHOC ₆ H ₄
	524	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-NH ₂ CH ₂ C ₆ H ₄
	525	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-NH ₂ CH ₂ C ₆ H ₄
35	526	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
	527	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-MeOC ₆ H ₄
	528	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-NH ₂ C ₆ H ₄
	529	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-NH ₂ C ₆ H ₄

5	530	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-NH ₂ C ₆ H ₄
	531	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-Me ₂ NC ₆ H ₄
	532	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-Me ₂ NC ₆ H ₄
	533	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-Me ₂ NC ₆ H ₄
	534	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-pyridyl
10	535	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-pyridyl
	536	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-pyridyl
	537	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-thiazolyl
	538	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-pyrazolyl
	539	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	5-isoquinolyl
15	540	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3,4-methylenedioxyC ₆ H ₃
	541	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3,4-ethylenedioxyC ₆ H ₃
	542	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-imidazolyl
20	543	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-oxazolyl
	545	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-isoxazolyl
	546	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-HOC ₆ H ₄
	547	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-HOC ₆ H ₄
	548	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3,4-diHOC ₆ H ₄
25	549	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-NH ₂ CH ₂ C ₆ H ₄
	550	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-NH ₂ CH ₂ C ₆ H ₄
	551	H	3-MeOC ₆ H ₄
	552	H	4-NH ₂ C ₆ H ₄
	553	H	3-NH ₂ C ₆ H ₄
30	554	H	2-NH ₂ C ₆ H ₄
	555	H	4-Me ₂ NC ₆ H ₄
	556	H	3-Me ₂ NC ₆ H ₄
	557	H	2-Me ₂ NC ₆ H ₄
	558	H	3-pyridyl
35	559	H	2-pyridyl
	560	H	2-thiazolyl
	561	H	2-pyrazolyl
	562	H	5-isoquinolyl

5	563	H	3,4-methylenedioxyC ₆ H ₃
	564	H	3,4-ethylenedioxyC ₆ H ₃
	565	H	2-imidazolyl
10	566	H	2-oxazolyl
	567	H	4-isoxazolyl
	568	H	4-HOC ₆ H ₄
	569	H	3-HOC ₆ H ₄
	570	H	3,4-diHOC ₆ H ₄
15	571	H	4-NH ₂ CH ₂ C ₆ H ₄
	572	H	3-NH ₂ CH ₂ C ₆ H ₄
	573	Me	3-MeOC ₆ H ₄
	574	Me	4-NH ₂ C ₆ H ₄
	575	Me	3-NH ₂ C ₆ H ₄
20	576	Me	2-NH ₂ C ₆ H ₄
	577	Me	4-Me ₂ NC ₆ H ₄
	578	Me	3-Me ₂ NC ₆ H ₄
	579	Me	2-Me ₂ NC ₆ H ₄
	580	Me	3-pyridyl
25	581	Me	2-pyridyl
	582	Me	2-thiazolyl
	583	Me	2-pyrazolyl
	584	Me	5-isoquinolyl
	585	Me	3,4-ethylenedioxyC ₆ H ₃
30	586	Me	2-imidazolyl
	587	Me	2-oxazolyl
	588	Me	4-isoxazolyl
	589	Me	3-HOC ₆ H ₄
35	590	Me	3,4-diHOC ₆ H ₄
	591	Me	4-NH ₂ CH ₂ C ₆ H ₄
	592	Me	3-NH ₂ CH ₂ C ₆ H ₄
	593	Et	3-MeOC ₆ H ₄
	594	Et	4-NH ₂ C ₆ H ₄

5	595	Et	3-NH ₂ C ₆ H ₄
	596	Et	2-NH ₂ C ₆ H ₄
	597	Et	4-Me ₂ NC ₆ H ₄
	598	Et	3-Me ₂ NC ₆ H ₄
	599	Et	2-Me ₂ NC ₆ H ₄
10	600	Et	4-pyridyl
	601	Et	3-pyridyl
	601	Et	2-pyridyl
	603	Et	2-thiazolyl
	604	Et	2-pyrazolyl
15	605	Et	5-isoquinolyl
	606	Et	3,4-methylenedioxyC ₆ H ₃
	607	Et	3,4-ethylenedioxyC ₆ H ₃
20	608	Et	2-imidazolyl
	609	Et	2-oxazolyl
	610	Et	4-isoxazolyl
	611	Et	4-HOC ₆ H ₄
	612	Et	3-HOC ₆ H ₄
25	613	Et	3,4-diHOC ₆ H ₄
	614	Et	4-NH ₂ CH ₂ C ₆ H ₄
	615	Et	3-NH ₂ CH ₂ C ₆ H ₄
	616	Me ₂ NCH ₂	3-MeOC ₆ H ₄
	617	Me ₂ NCH ₂	4-NH ₂ C ₆ H ₄
30	618	Me ₂ NCH ₂	3-NH ₂ C ₆ H ₄
	619	Me ₂ NCH ₂	2-NH ₂ C ₆ H ₄
	620	Me ₂ NCH ₂	4-Me ₂ NC ₆ H ₄
	621	Me ₂ NCH ₂	3-Me ₂ NC ₆ H ₄
	622	Me ₂ NCH ₂	2-Me ₂ NC ₆ H ₄
35	623	Me ₂ NCH ₂	4-pyridyl
	624	Me ₂ NCH ₂	3-pyridyl
	625	Me ₂ NCH ₂	2-pyridyl
	626	Me ₂ NCH ₂	2-thiazolyl
	627	Me ₂ NCH ₂	2-pyrazolyl

5	628	Me ₂ NCH ₂	5-isoquinolyl
	629	M ₂ NCH ₂	3,4- methylenedioxyC ₆ H ₃
	630	Me ₂ NCH ₂	3,4- ethylenedioxyC ₆ H ₃
10	631	Me ₂ NCH ₂	2-imidazolyl
	632	Me ₂ NCH ₂	2-oxazolyl
	633	Me ₂ NCH ₂	4-isoxazolyl
	634	Me ₂ NCH ₂	4-HOC ₆ H ₄
	635	Me ₂ NCH ₂	3-HOC ₆ H ₄
15	636	Me ₂ NCH ₂	3,4-diHOC ₆ H ₄
	637	Me ₂ NCH ₂	4-NH ₂ CH ₂ C ₆ H ₄
	638	Me ₂ NCH ₂	3-NH ₂ CH ₂ C ₆ H ₄
	639	EtNHCH ₂	3-MeOC ₆ H ₄
	640	EtNHCH ₂	4-NH ₂ C ₆ H ₄
20	641	EtNHCH ₂	3-NH ₂ C ₆ H ₄
	642	EtNHCH ₂	2-NH ₂ C ₆ H ₄
	643	EtNHCH ₂	4-Me ₂ NC ₆ H ₄
	644	EtNHCH ₂	3-Me ₂ NC ₆ H ₄
	645	EtNHCH ₂	2-Me ₂ NC ₆ H ₄
25	646	EtNHCH ₂	4-pyridyl
	647	EtNHCH ₂	3-pyridyl
	648	EtNHCH ₂	2-pyridyl
	649	EtNHCH ₂	2-thiazolyl
	650	EtNHCH ₂	2-pyrazolyl
30	651	EtNHCH ₂	5-isoquinolyl
	652	EtNHCH ₂	3,4- methylenedioxyC ₆ H ₃
	653	EtNHCH ₂	3,4- ethylenedioxyC ₆ H ₃
35	654	EtNHCH ₂	2-imidazolyl
	655	EtNHCH ₂	2-oxazolyl
	656	EtNHCH ₂	4-isoxazolyl
	657	EtNHCH ₂	4-HOC ₆ H ₄

5	658	EtNHCH ₂	3-HOC ₆ H ₄
	659	EtNHCH ₂	3,4-diHOC ₆ H ₄
	660	EtNHCH ₂	4-NH ₂ CH ₂ C ₆ H ₄
	661	EtNHCH ₂	3-NH ₂ CH ₂ C ₆ H ₄
	662	HOCH ₂ CH ₂ NHCH ₂	3-MeOC ₆ H ₄
10	663	HOCH ₂ CH ₂ NHCH ₂	4-NH ₂ C ₆ H ₄
	664	HOCH ₂ CH ₂ NHCH ₂	3-NH ₂ C ₆ H ₄
	665	HOCH ₂ CH ₂ NHCH ₂	2-NH ₂ C ₆ H ₄
	666	HOCH ₂ CH ₂ NHCH ₂	4-Me ₂ NC ₆ H ₄
	667	HOCH ₂ CH ₂ NHCH ₂	3-Me ₂ NC ₆ H ₄
15	668	HOCH ₂ CH ₂ NHCH ₂	2-Me ₂ NC ₆ H ₄
	669	HOCH ₂ CH ₂ NHCH ₂	4-pyridyl
	670	HOCH ₂ CH ₂ NHCH ₂	3-pyridyl
	671	HOCH ₂ CH ₂ NHCH ₂	2-pyridyl
	672	HOCH ₂ CH ₂ NHCH ₂	2-thiazolyl
20	673	HOCH ₂ CH ₂ NHCH ₂	2-pyrazolyl
	674	HOCH ₂ CH ₂ NHCH ₂	5-isoquinolyl
	675	HOCH ₂ CH ₂ NHCH ₂	3,4-methylenedioxyC ₆ H ₃
	676	HOCH ₂ CH ₂ NHCH ₂	3,4-ethylenedioxyC ₆ H ₃
25	677	HOCH ₂ CH ₂ NHCH ₂	2-imidazolyl
	678	HOCH ₂ CH ₂ NHCH ₂	2-oxazolyl
	679	HOCH ₂ CH ₂ NHCH ₂	4-isoxazolyl
	680	HOCH ₂ CH ₂ NHCH ₂	4-HOC ₆ H ₄
30	681	HOCH ₂ CH ₂ NHCH ₂	3-HOC ₆ H ₄
	682	HOCH ₂ CH ₂ NHCH ₂	3,4-diHOC ₆ H ₄
	683	HOCH ₂ CH ₂ NHCH ₂	4-NH ₂ CH ₂ C ₆ H ₄
	684	HOCH ₂ CH ₂ NHCH ₂	3-NH ₂ CH ₂ C ₆ H ₄
	685	H ₂ NCH ₂ CH ₂ NHCH ₂	4-MeOC ₆ H ₄
35	686	H ₂ NCH ₂ CH ₂ NHCH ₂	3-MeOC ₆ H ₄
	687	H ₂ NCH ₂ CH ₂ NHCH ₂	4-NH ₂ C ₆ H ₄
	688	H ₂ NCH ₂ CH ₂ NHCH ₂	3-NH ₂ C ₆ H ₄
	689	H ₂ NCH ₂ CH ₂ NHCH ₂	2-NH ₂ C ₆ H ₄

5	690	H ₂ NCH ₂ CH ₂ NHCH ₂	4-Me ₂ NC ₆ H ₄
	691	H ₂ NCH ₂ CH ₂ NHCH ₂	3-Me ₂ NC ₆ H ₄
	692	H ₂ NCH ₂ CH ₂ NHCH ₂	2-Me ₂ NC ₆ H ₄
	693	H ₂ NCH ₂ CH ₂ NHCH ₂	4-pyridyl
	694	H ₂ NCH ₂ CH ₂ NHCH ₂	3-pyridyl
10	695	H ₂ NCH ₂ CH ₂ NHCH ₂	2-pyridyl
	696	H ₂ NCH ₂ CH ₂ NHCH ₂	2-thiazolyl
	697	H ₂ NCH ₂ CH ₂ NHCH ₂	2-pyrazolyl
	698	H ₂ NCH ₂ CH ₂ NHCH ₂	5-isoquinolyl
	699	H ₂ NCH ₂ CH ₂ NHCH ₂	3,4-
15			methylenedioxyC ₆ H ₃
	700	H ₂ NCH ₂ CH ₂ NHCH ₂	3,4-
			ethylenedioxyC ₆ H ₃
	701	H ₂ NCH ₂ CH ₂ NHCH ₂	2-imidazolyl
	702	H ₂ NCH ₂ CH ₂ NHCH ₂	2-oxazolyl
20	703	H ₂ NCH ₂ CH ₂ NHCH ₂	4-isoxazolyl
	704	H ₂ NCH ₂ CH ₂ NHCH ₂	4-HOC ₆ H ₄
	705	H ₂ NCH ₂ CH ₂ NHCH ₂	3-HOC ₆ H ₄
	706	H ₂ NCH ₂ CH ₂ NHCH ₂	3,4-diHOC ₆ H ₄
	707	H ₂ NCH ₂ CH ₂ NHCH ₂	4-NH ₂ CH ₂ C ₆ H ₄
25	708	H ₂ NCH ₂ CH ₂ NHCH ₂	3-NH ₂ CH ₂ C ₆ H ₄
	709	Me ₂ NCH ₂ CH ₂ NHCH ₂	4-MeOC ₆ H ₄
	710	Me ₂ NCH ₂ CH ₂ NHCH ₂	3-MeOC ₆ H ₄
	711	Me ₂ NCH ₂ CH ₂ NHCH ₂	4-NH ₂ C ₆ H ₄
	712	Me ₂ NCH ₂ CH ₂ NHCH ₂	3-NH ₂ C ₆ H ₄
30	713	Me ₂ NCH ₂ CH ₂ NHCH ₂	2-NH ₂ C ₆ H ₄
	714	Me ₂ NCH ₂ CH ₂ NHCH ₂	4-Me ₂ NC ₆ H ₄
	715	Me ₂ NCH ₂ CH ₂ NHCH ₂	3-Me ₂ NC ₆ H ₄
	716	Me ₂ NCH ₂ CH ₂ NHCH ₂	2-Me ₂ NC ₆ H ₄
	717	Me ₂ NCH ₂ CH ₂ NHCH ₂	4-pyridyl
35	718	Me ₂ NCH ₂ CH ₂ NHCH ₂	3-pyridyl
	719	Me ₂ NCH ₂ CH ₂ NHCH ₂	2-pyridyl
	720	Me ₂ NCH ₂ CH ₂ NHCH ₂	2-thiazolyl
	721	Me ₂ NCH ₂ CH ₂ NHCH ₂	2-pyrazolyl

5	722	Me ₂ NCH ₂ CH ₂ NHCH ₂	5-isoquinolyl
	723	Me ₂ NCH ₂ CH ₂ NHCH ₂	3,4-methylenedioxyC ₆ H ₃
	724	Me ₂ NCH ₂ CH ₂ NHCH ₂	3,4-ethylenedioxyC ₆ H ₃
10	725	Me ₂ NCH ₂ CH ₂ NHCH ₂	2-imidazolyl
	726	Me ₂ NCH ₂ CH ₂ NHCH ₂	2-oxazolyl
	727	Me ₂ NCH ₂ CH ₂ NHCH ₂	4-isoxazolyl
	728	Me ₂ NCH ₂ CH ₂ NHCH ₂	4-HOC ₆ H ₄
	729	Me ₂ NCH ₂ CH ₂ NHCH ₂	3-HOC ₆ H ₄
15	730	Me ₂ NCH ₂ CH ₂ NHCH ₂	3,4-diHOC ₆ H ₄
	731	Me ₂ NCH ₂ CH ₂ NHCH ₂	4-NH ₂ CH ₂ C ₆ H ₄
	732	Me ₂ NCH ₂ CH ₂ NHCH ₂	3-NH ₂ CH ₂ C ₆ H ₄
	733	1-morpholinylmethyl	3-MeOC ₆ H ₄
	734	1-morpholinylmethyl	4-NH ₂ C ₆ H ₄
	735	1-morpholinylmethyl	3-NH ₂ C ₆ H ₄
	736	1-morpholinylmethyl	2-NH ₂ C ₆ H ₄
20	737	1-morpholinylmethyl	4-Me ₂ NC ₆ H ₄
	738	1-morpholinylmethyl	3-Me ₂ NC ₆ H ₄
	739	1-morpholinylmethyl	2-Me ₂ NC ₆ H ₄
	740	1-morpholinylmethyl	4-pyridyl
	741	1-morpholinylmethyl	3-pyridyl
	742	1-morpholinylmethyl	2-pyridyl
	743	1-morpholinylmethyl	2-thiazolyl
25	744	1-morpholinylmethyl	2-pyrazolyl
	745	1-morpholinylmethyl	5-isoquinolyl
	746	1-morpholinylmethyl	3,4-methylenedioxyC ₆ H ₃
	747	1-morpholinylmethyl	3,4-ethylenedioxyC ₆ H ₃
30	748	1-morpholinylmethyl	2-imidazolyl
	749	1-morpholinylmethyl	2-oxazolyl
	750	1-morpholinylmethyl	4-isoxazolyl
	751	1-morpholinylmethyl	4-HOC ₆ H ₄
	752	1-morpholinylmethyl	3-HOC ₆ H ₄

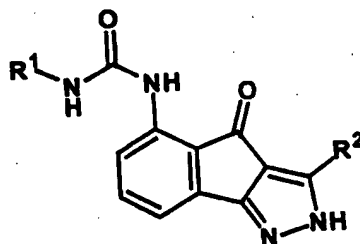
5	753	1-morpholinylmethyl	3,4-diHOC ₆ H ₄
	754	1-morpholinylmethyl	4-NH ₂ CH ₂ C ₆ H ₄
	755	1-morpholinylmethyl	3-NH ₂ CH ₂ C ₆ H ₄
	756	1-thiomorpholinylmethyl	3-MeOC ₆ H ₄
	757	1-thiomorpholinylmethyl	4-NH ₂ C ₆ H ₄
10	758	1-thiomorpholinylmethyl	3-NH ₂ C ₆ H ₄
	759	1-thiomorpholinylmethyl	2-NH ₂ C ₆ H ₄
	760	1-thiomorpholinylmethyl	4-Me ₂ NC ₆ H ₄
	761	1-thiomorpholinylmethyl	3-Me ₂ NC ₆ H ₄
	762	1-thiomorpholinylmethyl	2-Me ₂ NC ₆ H ₄
15	763	1-thiomorpholinylmethyl	4-pyridyl
	764	1-thiomorpholinylmethyl	3-pyridyl
	765	1-thiomorpholinylmethyl	2-pyridyl
	766	1-thiomorpholinylmethyl	2-thiazolyl
	767	1-thiomorpholinylmethyl	2-pyrazolyl
20	768	1-thiomorpholinylmethyl	5-isoquinolyl
	769	1-thiomorpholinylmethyl	3,4-methylenedioxyC ₆ H ₃
	770	1-thiomorpholinylmethyl	3,4-ethylenedioxyC ₆ H ₃
25	771	1-thiomorpholinylmethyl	2-imidazolyl
	772	1-thiomorpholinylmethyl	2-oxazolyl
	773	1-thiomorpholinylmethyl	4-isoxazolyl
	774	1-thiomorpholinylmethyl	4-HOC ₆ H ₄
	775	1-thiomorpholinylmethyl	3-HOC ₆ H ₄
30	776	1-thiomorpholinylmethyl	3,4-diHOC ₆ H ₄
	777	1-thiomorpholinylmethyl	4-NH ₂ CH ₂ C ₆ H ₄
	778	1-thiomorpholinylmethyl	3-NH ₂ CH ₂ C ₆ H ₄
	779	1-piperazinylmethyl	3-MeOC ₆ H ₄
	780	1-piperazinylmethyl	4-NH ₂ C ₆ H ₄
35	781	1-piperazinylmethyl	3-NH ₂ C ₆ H ₄
	782	1-piperazinylmethyl	2-NH ₂ C ₆ H ₄
	783	1-piperazinylmethyl	4-Me ₂ NC ₆ H ₄
	784	1-piperazinylmethyl	3-Me ₂ NC ₆ H ₄
	785	1-piperazinylmethyl	2-Me ₂ NC ₆ H ₄

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5	786	1-piperazinylmethyl	4-pyridyl
	787	1-piperazinylmethyl	3-pyridyl
	788	1-pip razinylmethyl	2-pyridyl
	789	1-piperazinylmethyl	2-thiazolyl
	790	1-piperazinylmethyl	2-pyrazolyl
10	791	1-piperazinylmethyl	5-isoquinolyl
	792	1-piperazinylmethyl	3,4-methylenedioxyC ₆ H ₃
	793	1-piperazinylmethyl	3,4-ethylenedioxyC ₆ H ₃
15	794	1-piperazinylmethyl	2-imidazolyl
	795	1-piperazinylmethyl	2-oxazolyl
	796	1-piperazinylmethyl	4-isoxazolyl
	797	1-piperazinylmethyl	4-HOC ₆ H ₄
	798	1-piperazinylmethyl	3-HOC ₆ H ₄
20	799	1-piperazinylmethyl	3,4-diHOC ₆ H ₄
	800	1-piperazinylmethyl	4-NH ₂ CH ₂ C ₆ H ₄
	801	1-piperazinylmethyl	3-NH ₂ CH ₂ C ₆ H ₄

Table 3

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Example Number	R¹		R²	
30	802	2-pyridylmethyl	4-MeOC ₆ H ₄	
	803	2-pyridylmethyl	3-MeOC ₆ H ₄	
	804	2-pyridylmethyl	4-NH ₂ C ₆ H ₄	
	805	2-pyridylmethyl	3-NH ₂ C ₆ H ₄	
35	806	2-pyridylmethyl	2-NH ₂ C ₆ H ₄	

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5	807	2-pyridylmethyl	4-Me ₂ NC ₆ H ₄
	808	2-pyridylmethyl	3-Me ₂ NC ₆ H ₄
	809	2-pyridylmethyl	2-Me ₂ NC ₆ H ₄
	810	2-pyridylmethyl	4-pyridyl
	811	2-pyridylmethyl	3-pyridyl
10	812	2-pyridylmethyl	2-pyridyl
	813	2-pyridylmethyl	2-thiazolyl
	814	2-pyridylmethyl	2-pyrazolyl
	815	2-pyridylmethyl	5-isoquinolyl
	816	2-pyridylmethyl	3,4-
15			methylenedioxyC ₆ H ₃
	817	2-pyridylmethyl	3,4-
			ethylenedioxyC ₆ H ₃
	818	2-pyridylmethyl	2-imidazolyl
	819	2-pyridylmethyl	2-oxazolyl
20	820	2-pyridylmethyl	4-isoxazolyl
	821	2-pyridylmethyl	4-HOC ₆ H ₄
	822	2-pyridylmethyl	3-HOC ₆ H ₄
	823	2-pyridylmethyl	3,4-diHOC ₆ H ₄
	824	2-pyridylmethyl	4-NH ₂ CH ₂ C ₆ H ₄
25	825	2-pyridylmethyl	3-NH ₂ CH ₂ C ₆ H ₄
	826	3-pyridylmethyl	4-MeOC ₆ H ₄
	827	3-pyridylmethyl	3-MeOC ₆ H ₄
	828	3-pyridylmethyl	4-NH ₂ C ₆ H ₄
	829	3-pyridylmethyl	3-NH ₂ C ₆ H ₄
30	830	3-pyridylmethyl	2-NH ₂ C ₆ H ₄
	831	3-pyridylmethyl	4-Me ₂ NC ₆ H ₄
	832	3-pyridylmethyl	3-Me ₂ NC ₆ H ₄
	833	3-pyridylmethyl	2-Me ₂ NC ₆ H ₄
	834	3-pyridylmethyl	4-pyridyl
35	835	3-pyridylmethyl	3-pyridyl
	836	3-pyridylmethyl	2-pyridyl
	837	3-pyridylmethyl	2-thiazolyl
	838	3-pyridylmethyl	2-pyrazolyl
	839	3-pyridylmethyl	5-isoquinolyl

5	840	3-pyridylm thyl	3,4-methylenedioxyC ₆ H ₃
	841	3-pyridylm thyl	3,4-ethylenedioxyC ₆ H ₃
	842	3-pyridylmethyl	2-imidazolyl
10	843	3-pyridylmethyl	2-oxazolyl
	844	3-pyridylmethyl	4-isoxazolyl
	845	3-pyridylmethyl	4-HOC ₆ H ₄
	846	3-pyridylmethyl	3-HOC ₆ H ₄
	847	3-pyridylmethyl	3,4-diHOC ₆ H ₄
15	848	3-pyridylmethyl	4-NH ₂ CH ₂ C ₆ H ₄
	849	3-pyridylmethyl	3-NH ₂ CH ₂ C ₆ H ₄
	850	4-pyridylmethyl	4-MeOC ₆ H ₄
	851	4-pyridylmethyl	3-MeOC ₆ H ₄
	852	4-pyridylmethyl	4-NH ₂ C ₆ H ₄
20	853	4-pyridylmethyl	3-NH ₂ C ₆ H ₄
	854	4-pyridylmethyl	2-NH ₂ C ₆ H ₄
	855	4-pyridylmethyl	4-Me ₂ NC ₆ H ₄
	856	4-pyridylmethyl	3-Me ₂ NC ₆ H ₄
	857	4-pyridylmethyl	2-Me ₂ NC ₆ H ₄
25	858	4-pyridylmethyl	4-pyridyl
	859	4-pyridylmethyl	3-pyridyl
	860	4-pyridylmethyl	2-pyridyl
	861	4-pyridylmethyl	2-thiazolyl
	862	4-pyridylmethyl	2-pyrazolyl
30	863	4-pyridylmethyl	5-isoquinolyl
	864	4-pyridylmethyl	3,4-methylenedioxyC ₆ H ₃
	865	4-pyridylmethyl	3,4-ethylenedioxyC ₆ H ₃
35	866	4-pyridylmethyl	2-imidazolyl
	867	4-pyridylmethyl	2-oxazolyl
	868	4-pyridylmethyl	4-isoxazolyl
	869	4-pyridylmethyl	4-HOC ₆ H ₄
	870	4-pyridylmethyl	3-HOC ₆ H ₄
40	871	4-pyridylmethyl	3,4-diHOC ₆ H ₄

5	872	4-pyridylmethyl	4-NH ₂ CH ₂ C ₆ H ₄
	873	4-pyridylmethyl	3-NH ₂ CH ₂ C ₆ H ₄
	874	2-NH ₂ C ₆ H ₄	4-MeOC ₆ H ₄
	875	2-NH ₂ C ₆ H ₄	3-MeOC ₆ H ₄
	876	2-NH ₂ C ₆ H ₄	4-NH ₂ C ₆ H ₄
10	877	2-NH ₂ C ₆ H ₄	3-NH ₂ C ₆ H ₄
	878	2-NH ₂ C ₆ H ₄	2-NH ₂ C ₆ H ₄
	879	2-NH ₂ C ₆ H ₄	4-Me ₂ NC ₆ H ₄
	880	2-NH ₂ C ₆ H ₄	3-Me ₂ NC ₆ H ₄
	881	2-NH ₂ C ₆ H ₄	2-Me ₂ NC ₆ H ₄
15	882	2-NH ₂ C ₆ H ₄	4-pyridyl
	883	2-NH ₂ C ₆ H ₄	3-pyridyl
	884	2-NH ₂ C ₆ H ₄	2-pyridyl
	885	2-NH ₂ C ₆ H ₄	2-thiazolyl
	886	2-NH ₂ C ₆ H ₄	2-pyrazolyl
20	887	2-NH ₂ C ₆ H ₄	5-isoquinolyl
	888	2-NH ₂ C ₆ H ₄	3,4-
			methylenedioxyC ₆ H ₃
	889	2-NH ₂ C ₆ H ₄	3,4-
			ethylenedioxyC ₆ H ₃
25	890	2-NH ₂ C ₆ H ₄	2-imidazolyl
	891	2-NH ₂ C ₆ H ₄	2-oxazolyl
	892	2-NH ₂ C ₆ H ₄	4-isoxazolyl
	893	2-NH ₂ C ₆ H ₄	4-HOC ₆ H ₄
	894	2-NH ₂ C ₆ H ₄	3-HOC ₆ H ₄
			3,4-diHOC ₆ H ₄
30	895	2-NH ₂ C ₆ H ₄	4-NH ₂ CH ₂ C ₆ H ₄
	896	2-NH ₂ C ₆ H ₄	3-NH ₂ CH ₂ C ₆ H ₄
	897	2-NH ₂ C ₆ H ₄	4-MeOC ₆ H ₄
	898	3-NH ₂ C ₆ H ₄	3-MeOC ₆ H ₄
	899	3-NH ₂ C ₆ H ₄	4-NH ₂ C ₆ H ₄
35	900	3-NH ₂ C ₆ H ₄	3-NH ₂ C ₆ H ₄
	901	3-NH ₂ C ₆ H ₄	2-NH ₂ C ₆ H ₄
	902	3-NH ₂ C ₆ H ₄	4-Me ₂ NC ₆ H ₄
	903	3-NH ₂ C ₆ H ₄	

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5	904	3-NH ₂ C ₆ H ₄	3-Me ₂ NC ₆ H ₄
	905	3-NH ₂ C ₆ H ₄	2-Me ₂ NC ₆ H ₄
	906	3-NH ₂ C ₆ H ₄	4-pyridyl
	907	3-NH ₂ C ₆ H ₄	3-pyridyl
	908	3-NH ₂ C ₆ H ₄	2-pyridyl
10	909	3-NH ₂ C ₆ H ₄	2-thiazolyl
	910	3-NH ₂ C ₆ H ₄	2-pyrazolyl
	911	3-NH ₂ C ₆ H ₄	5-isoquinolyl
	912	3-NH ₂ C ₆ H ₄	3,4-methylenedioxyC ₆ H ₃
			3,4-ethylenedioxyC ₆ H ₃
15	913	3-NH ₂ C ₆ H ₄	2-imidazolyl
	914	3-NH ₂ C ₆ H ₄	2-oxazolyl
	915	3-NH ₂ C ₆ H ₄	4-isoxazolyl
	916	3-NH ₂ C ₆ H ₄	4-HOC ₆ H ₄
	917	3-NH ₂ C ₆ H ₄	3-HOC ₆ H ₄
20	918	3-NH ₂ C ₆ H ₄	3,4-dihOC ₆ H ₄
	919	3-NH ₂ C ₆ H ₄	4-NH ₂ CH ₂ C ₆ H ₄
	920	3-NH ₂ C ₆ H ₄	3-NH ₂ CH ₂ C ₆ H ₄
	921	3-NH ₂ C ₆ H ₄	4-MeOC ₆ H ₄
	922	4-NH ₂ C ₆ H ₄	3-MeOC ₆ H ₄
25	923	4-NH ₂ C ₆ H ₄	4-NH ₂ C ₆ H ₄
	924	4-NH ₂ C ₆ H ₄	3-NH ₂ C ₆ H ₄
	925	4-NH ₂ C ₆ H ₄	2-NH ₂ C ₆ H ₄
	926	4-NH ₂ C ₆ H ₄	4-Me ₂ NC ₆ H ₄
	927	4-NH ₂ C ₆ H ₄	3-Me ₂ NC ₆ H ₄
30	928	4-NH ₂ C ₆ H ₄	2-Me ₂ NC ₆ H ₄
	930	4-NH ₂ C ₆ H ₄	4-pyridyl
	931	4-NH ₂ C ₆ H ₄	3-pyridyl
	932	4-NH ₂ C ₆ H ₄	2-pyridyl
	933	4-NH ₂ C ₆ H ₄	2-thiazolyl
35	934	4-NH ₂ C ₆ H ₄	2-pyrazolyl
	935	4-NH ₂ C ₆ H ₄	5-isoquinolyl
	936	4-NH ₂ C ₆ H ₄	

5	937	4-NH ₂ C ₆ H ₄	3,4-methylenedioxyC ₆ H ₃
	938	4-NH ₂ C ₆ H ₄	3,4-ethylenedioxyC ₆ H ₃
	939	4-NH ₂ C ₆ H ₄	2-imidazolyl
10	940	4-NH ₂ C ₆ H ₄	2-oxazolyl
	941	4-NH ₂ C ₆ H ₄	4-isoxazolyl
	942	4-NH ₂ C ₆ H ₄	4-HOC ₆ H ₄
	943	4-NH ₂ C ₆ H ₄	3-HOC ₆ H ₄
	944	4-NH ₂ C ₆ H ₄	3,4-diHOC ₆ H ₄
15	945	4-NH ₂ C ₆ H ₄	4-NH ₂ CH ₂ C ₆ H ₄
	946	4-NH ₂ C ₆ H ₄	3-NH ₂ CH ₂ C ₆ H ₄
	947	2-MeOC ₆ H ₄	4-MeOC ₆ H ₄
	948	2-MeOC ₆ H ₄	3-MeOC ₆ H ₄
	949	2-MeOC ₆ H ₄	4-NH ₂ C ₆ H ₄
20	950	2-MeOC ₆ H ₄	3-NH ₂ C ₆ H ₄
	951	2-MeOC ₆ H ₄	2-NH ₂ C ₆ H ₄
	952	2-MeOC ₆ H ₄	4-Me ₂ NC ₆ H ₄
	953	2-MeOC ₆ H ₄	3-Me ₂ NC ₆ H ₄
	954	2-MeOC ₆ H ₄	2-Me ₂ NC ₆ H ₄
25	955	2-MeOC ₆ H ₄	4-pyridyl
	956	2-MeOC ₆ H ₄	3-pyridyl
	957	2-MeOC ₆ H ₄	2-pyridyl
	958	2-MeOC ₆ H ₄	2-thiazolyl
	959	2-MeOC ₆ H ₄	2-pyrazolyl
30	960	2-MeOC ₆ H ₄	5-isoquinolyl
	961	2-MeOC ₆ H ₄	3,4-methylenedioxyC ₆ H ₃
	962	2-MeOC ₆ H ₄	3,4-ethylenedioxyC ₆ H ₃
35	963	2-MeOC ₆ H ₄	2-imidazolyl
	964	2-MeOC ₆ H ₄	2-oxazolyl
	965	2-M OC ₆ H ₄	4-isoxazolyl
	966	2-MeOC ₆ H ₄	4-HOC ₆ H ₄

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5	967	2-MeOC ₆ H ₄	3-HOC ₆ H ₄
	968	2-M OC ₆ H ₄	3,4-diHOC ₆ H ₄
	969	2-M OC ₆ H ₄	4-NH ₂ CH ₂ C ₆ H ₄
	970	2-MeOC ₆ H ₄	3-NH ₂ CH ₂ C ₆ H ₄
	971	3-MeOC ₆ H ₄	4-MeOC ₆ H ₄
10	972	3-MeOC ₆ H ₄	3-MeOC ₆ H ₄
	973	3-MeOC ₆ H ₄	4-NH ₂ C ₆ H ₄
	974	3-MeOC ₆ H ₄	3-NH ₂ C ₆ H ₄
	975	3-MeOC ₆ H ₄	2-NH ₂ C ₆ H ₄
	976	3-MeOC ₆ H ₄	4-Me ₂ NC ₆ H ₄
15	977	3-MeOC ₆ H ₄	3-Me ₂ NC ₆ H ₄
	978	3-MeOC ₆ H ₄	2-Me ₂ NC ₆ H ₄
	979	3-MeOC ₆ H ₄	4-pyridyl
	980	3-MeOC ₆ H ₄	3-pyridyl
	981	3-MeOC ₆ H ₄	2-pyridyl
20	982	3-MeOC ₆ H ₄	2-thiazolyl
	983	3-MeOC ₆ H ₄	2-pyrazolyl
	984	3-MeOC ₆ H ₄	5-isoquinolyl
	985	3-MeOC ₆ H ₄	3,4-methylenedioxyC ₆ H ₃
25	986	3-MeOC ₆ H ₄	3,4-ethylenedioxyC ₆ H ₃
	987	3-MeOC ₆ H ₄	2-imidazolyl
	988	3-MeOC ₆ H ₄	2-oxazolyl
	989	3-MeOC ₆ H ₄	4-isoxazolyl
30	990	3-MeOC ₆ H ₄	4-HOC ₆ H ₄
	991	3-MeOC ₆ H ₄	3-HOC ₆ H ₄
	992	3-MeOC ₆ H ₄	3,4-diHOC ₆ H ₄
	993	3-MeOC ₆ H ₄	4-NH ₂ CH ₂ C ₆ H ₄
	994	3-MeOC ₆ H ₄	3-NH ₂ CH ₂ C ₆ H ₄
35	995	4-MeOC ₆ H ₄	4-MeOC ₆ H ₄
	996	4-MeOC ₆ H ₄	3-MeOC ₆ H ₄
	997	4-MeOC ₆ H ₄	4-NH ₂ C ₆ H ₄
	998	4-MeOC ₆ H ₄	3-NH ₂ C ₆ H ₄

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5	999	4-MeOC ₆ H ₄	2-NH ₂ C ₆ H ₄
	1000	4-MeOC ₆ H ₄	4-Me ₂ NC ₆ H ₄
	1001	4-MeOC ₆ H ₄	3-Me ₂ NC ₆ H ₄
	1002	4-MeOC ₆ H ₄	2-Me ₂ NC ₆ H ₄
	1003	4-MeOC ₆ H ₄	4-pyridyl
10	1004	4-MeOC ₆ H ₄	3-pyridyl
	1005	4-MeOC ₆ H ₄	2-pyridyl
	1006	4-MeOC ₆ H ₄	2-thiazolyl
	1007	4-MeOC ₆ H ₄	2-pyrazolyl
	1008	4-MeOC ₆ H ₄	5-isoquinolyl
15	1009	4-MeOC ₆ H ₄	3,4-
			methylenedioxyC ₆ H ₃
	1010	4-MeOC ₆ H ₄	3,4-
			ethylenedioxyC ₆ H ₃
	1011	4-MeOC ₆ H ₄	2-imidazolyl
20	1012	4-MeOC ₆ H ₄	2-oxazolyl
	1013	4-MeOC ₆ H ₄	4-isoxazolyl
	1014	4-MeOC ₆ H ₄	4-HOC ₆ H ₄
	1015	4-MeOC ₆ H ₄	3-HOC ₆ H ₄
	1016	4-MeOC ₆ H ₄	3,4-diHOC ₆ H ₄
	1017	4-MeOC ₆ H ₄	4-NH ₂ CH ₂ C ₆ H ₄
25	1018	4-MeOC ₆ H ₄	3-NH ₂ CH ₂ C ₆ H ₄
	1019	2-HOC ₆ H ₄	4-MeOC ₆ H ₄
	1020	2-HOC ₆ H ₄	3-MeOC ₆ H ₄
	1021	2-HOC ₆ H ₄	4-NH ₂ C ₆ H ₄
30	1022	2-HOC ₆ H ₄	3-NH ₂ C ₆ H ₄
	1023	2-HOC ₆ H ₄	2-NH ₂ C ₆ H ₄
	1024	2-HOC ₆ H ₄	4-Me ₂ NC ₆ H ₄
	1025	2-HOC ₆ H ₄	3-Me ₂ NC ₆ H ₄
	1026	2-HOC ₆ H ₄	2-Me ₂ NC ₆ H ₄
35	1027	2-HOC ₆ H ₄	4-pyridyl
	1028	2-HOC ₆ H ₄	3-pyridyl
	1029	2-HOC ₆ H ₄	2-pyridyl
	1030	2-HOC ₆ H ₄	2-thiazolyl

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5	1031	2-HOC ₆ H ₄	2-pyrazolyl
	1032	2-HOC ₆ H ₄	5-isoquinolyl
	1033	2-HOC ₆ H ₄	3,4-methylenedioxyC ₆ H ₃
10	1034	2-HOC ₆ H ₄	3,4-ethylenedioxyC ₆ H ₃
	1035	2-HOC ₆ H ₄	2-imidazolyl
	1036	2-HOC ₆ H ₄	2-oxazolyl
	1037	2-HOC ₆ H ₄	4-isoxazolyl
	1038	2-HOC ₆ H ₄	4-HOC ₆ H ₄
15	1039	2-HOC ₆ H ₄	3-HOC ₆ H ₄
	1040	2-HOC ₆ H ₄	3,4-diHOC ₆ H ₄
	1041	2-HOC ₆ H ₄	4-NH ₂ CH ₂ C ₆ H ₄
	1042	2-HOC ₆ H ₄	3-NH ₂ CH ₂ C ₆ H ₄
	1043	3-HOC ₆ H ₄	4-MeOC ₆ H ₄
	1044	3-HOC ₆ H ₄	3-MeOC ₆ H ₄
	1045	3-HOC ₆ H ₄	4-NH ₂ C ₆ H ₄
20	1046	3-HOC ₆ H ₄	3-NH ₂ C ₆ H ₄
	1047	3-HOC ₆ H ₄	2-NH ₂ C ₆ H ₄
	1048	3-HOC ₆ H ₄	4-Me ₂ NC ₆ H ₄
	1049	3-HOC ₆ H ₄	3-Me ₂ NC ₆ H ₄
	1050	3-HOC ₆ H ₄	2-Me ₂ NC ₆ H ₄
	1051	3-HOC ₆ H ₄	4-pyridyl
	1052	3-HOC ₆ H ₄	3-pyridyl
	1053	3-HOC ₆ H ₄	2-pyridyl
30	1054	3-HOC ₆ H ₄	2-thiazolyl
	1055	3-HOC ₆ H ₄	2-pyrazolyl
	1056	3-HOC ₆ H ₄	5-isoquinolyl
	1057	3-HOC ₆ H ₄	3,4-methylenedioxyC ₆ H ₃
35	1058	3-HOC ₆ H ₄	3,4-ethylenedioxyC ₆ H ₃
	1059	3-HOC ₆ H ₄	2-imidazolyl
	1060	3-HOC ₆ H ₄	2-oxazolyl

5	1061	3-HOC ₆ H ₄	4-isoxazolyl
	1062	3-HOC ₆ H ₄	4-HOC ₆ H ₄
	1063	3-HOC ₆ H ₄	3-HOC ₆ H ₄
	1064	3-HOC ₆ H ₄	3,4-diHOC ₆ H ₄
	1065	3-HOC ₆ H ₄	4-NH ₂ CH ₂ C ₆ H ₄
10	1066	3-HOC ₆ H ₄	3-NH ₂ CH ₂ C ₆ H ₄
	1067	4-HOC ₆ H ₄	4-MeOC ₆ H ₄
	1068	4-HOC ₆ H ₄	3-MeOC ₆ H ₄
	1069	4-HOC ₆ H ₄	4-NH ₂ C ₆ H ₄
	1070	4-HOC ₆ H ₄	3-NH ₂ C ₆ H ₄
15	1071	4-HOC ₆ H ₄	2-NH ₂ C ₆ H ₄
	1072	4-HOC ₆ H ₄	4-Me ₂ NC ₆ H ₄
	1073	4-HOC ₆ H ₄	3-Me ₂ NC ₆ H ₄
	1074	4-HOC ₆ H ₄	2-Me ₂ NC ₆ H ₄
	1075	4-HOC ₆ H ₄	4-pyridyl
20	1076	4-HOC ₆ H ₄	3-pyridyl
	1077	4-HOC ₆ H ₄	2-pyridyl
	1078	4-HOC ₆ H ₄	2-thiazolyl
	1079	4-HOC ₆ H ₄	2-pyrazolyl
	1080	4-HOC ₆ H ₄	5-isoquinolyl
25	1081	4-HOC ₆ H ₄	3,4-methylenedioxyC ₆ H ₃
	1082	4-HOC ₆ H ₄	3,4-ethylenedioxyC ₆ H ₃
	1083	4-HOC ₆ H ₄	2-imidazolyl
30	1084	4-HOC ₆ H ₄	2-oxazolyl
	1085	4-HOC ₆ H ₄	4-isoxazolyl
	1086	4-HOC ₆ H ₄	4-HOC ₆ H ₄
	1087	4-HOC ₆ H ₄	3-HOC ₆ H ₄
	1088	4-HOC ₆ H ₄	3,4-diHOC ₆ H ₄
	1089	4-HOC ₆ H ₄	4-NH ₂ CH ₂ C ₆ H ₄
35	1090	4-HOC ₆ H ₄	3-NH ₂ CH ₂ C ₆ H ₄
	1091	4-ClC ₆ H ₄	4-MeOC ₆ H ₄
	1092	4-ClC ₆ H ₄	3-MeOC ₆ H ₄

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5	1093	4-ClC ₆ H ₄	4-NH ₂ C ₆ H ₄
	1094	4-ClC ₆ H ₄	3-NH ₂ C ₆ H ₄
	1095	4-ClC ₆ H ₄	2-NH ₂ C ₆ H ₄
	1096	4-ClC ₆ H ₄	4-Me ₂ NC ₆ H ₄
	1097	4-ClC ₆ H ₄	3-Me ₂ NC ₆ H ₄
10	1098	4-ClC ₆ H ₄	2-Me ₂ NC ₆ H ₄
	1099	4-ClC ₆ H ₄	4-pyridyl
	1100	4-ClC ₆ H ₄	3-pyridyl
	1101	4-ClC ₆ H ₄	2-pyridyl
	1102	4-ClC ₆ H ₄	2-thiazolyl
15	1103	4-ClC ₆ H ₄	2-pyrazolyl
	1104	4-ClC ₆ H ₄	5-isoquinolyl
	1105	4-ClC ₆ H ₄	3,4-
			methylenedioxyC ₆ H ₃
	1106	4-ClC ₆ H ₄	3,4-
20			ethylenedioxyC ₆ H ₃
	1107	4-ClC ₆ H ₄	2-imidazolyl
	1108	4-ClC ₆ H ₄	2-oxazolyl
	1109	4-ClC ₆ H ₄	4-isoxazolyl
	1110	4-ClC ₆ H ₄	4-HOC ₆ H ₄
25	1111	4-ClC ₆ H ₄	3-HOC ₆ H ₄
	1112	4-ClC ₆ H ₄	3,4-diHOC ₆ H ₄
	1113	4-ClC ₆ H ₄	4-NH ₂ CH ₂ C ₆ H ₄
	1114	4-ClC ₆ H ₄	3-NH ₂ CH ₂ C ₆ H ₄
	1115	2-NH ₂ CH ₂ C ₆ H ₄	4-MeOC ₆ H ₄
30	1116	2-NH ₂ CH ₂ C ₆ H ₄	3-MeOC ₆ H ₄
	1117	2-NH ₂ CH ₂ C ₆ H ₄	4-NH ₂ C ₆ H ₄
	1118	2-NH ₂ CH ₂ C ₆ H ₄	3-NH ₂ C ₆ H ₄
	1119	2-NH ₂ CH ₂ C ₆ H ₄	2-NH ₂ C ₆ H ₄
	1120	2-NH ₂ CH ₂ C ₆ H ₄	4-Me ₂ NC ₆ H ₄
35	1121	2-NH ₂ CH ₂ C ₆ H ₄	3-Me ₂ NC ₆ H ₄
	1122	2-NH ₂ CH ₂ C ₆ H ₄	2-Me ₂ NC ₆ H ₄
	1123	2-NH ₂ CH ₂ C ₆ H ₄	4-pyridyl
	1124	2-NH ₂ CH ₂ C ₆ H ₄	3-pyridyl

5	1125	2-NH ₂ CH ₂ C ₆ H ₄	2-pyridyl
	1126	2-NH ₂ CH ₂ C ₆ H ₄	2-thiazolyl
	1127	2-NH ₂ CH ₂ C ₆ H ₄	2-pyrazolyl
	1128	2-NH ₂ CH ₂ C ₆ H ₄	5-isoquinolyl
	1129	2-NH ₂ CH ₂ C ₆ H ₄	3,4-
			methylenedioxyC ₆ H ₃
10			3,4-
	1130	2-NH ₂ CH ₂ C ₆ H ₄	ethylenedioxyC ₆ H ₃
	1131	2-NH ₂ CH ₂ C ₆ H ₄	2-imidazolyl
	1132	2-NH ₂ CH ₂ C ₆ H ₄	2-oxazolyl
15	1133	2-NH ₂ CH ₂ C ₆ H ₄	4-isoxazolyl
	1134	2-NH ₂ CH ₂ C ₆ H ₄	4-HOC ₆ H ₄
	1135	2-NH ₂ CH ₂ C ₆ H ₄	3-HOC ₆ H ₄
	1136	2-NH ₂ CH ₂ C ₆ H ₄	3,4-diHOC ₆ H ₄
	1137	2-NH ₂ CH ₂ C ₆ H ₄	4-NH ₂ CH ₂ C ₆ H ₄
20	1138	2-NH ₂ CH ₂ C ₆ H ₄	3-NH ₂ CH ₂ C ₆ H ₄
	1139	3-NH ₂ CH ₂ C ₆ H ₄	4-MeOC ₆ H ₄
	1140	3-NH ₂ CH ₂ C ₆ H ₄	3-MeOC ₆ H ₄
	1141	3-NH ₂ CH ₂ C ₆ H ₄	4-NH ₂ C ₆ H ₄
	1142	3-NH ₂ CH ₂ C ₆ H ₄	3-NH ₂ C ₆ H ₄
25	1143	3-NH ₂ CH ₂ C ₆ H ₄	2-NH ₂ C ₆ H ₄
	1144	3-NH ₂ CH ₂ C ₆ H ₄	4-Me ₂ NC ₆ H ₄
	1145	3-NH ₂ CH ₂ C ₆ H ₄	3-Me ₂ NC ₆ H ₄
	1146	3-NH ₂ CH ₂ C ₆ H ₄	2-Me ₂ NC ₆ H ₄
	1147	3-NH ₂ CH ₂ C ₆ H ₄	4-pyridyl
30	1148	3-NH ₂ CH ₂ C ₆ H ₄	3-pyridyl
	1149	3-NH ₂ CH ₂ C ₆ H ₄	2-pyridyl
	1150	3-NH ₂ CH ₂ C ₆ H ₄	2-thiazolyl
	1151	3-NH ₂ CH ₂ C ₆ H ₄	2-pyrazolyl
	1152	3-NH ₂ CH ₂ C ₆ H ₄	5-isoquinolyl
35	1153	3-NH ₂ CH ₂ C ₆ H ₄	3,4-
			methylenedioxyC ₆ H ₃
	1154	3-NH ₂ CH ₂ C ₆ H ₄	3,4-
			ethylenedioxyC ₆ H ₃

5	1155	3-NH ₂ CH ₂ C ₆ H ₄	2-imidazolyl
	1156	3-NH ₂ CH ₂ C ₆ H ₄	2-oxazolyl
	1157	3-NH ₂ CH ₂ C ₆ H ₄	4-isoxazolyl
	1158	3-NH ₂ CH ₂ C ₆ H ₄	4-HOC ₆ H ₄
	1159	3-NH ₂ CH ₂ C ₆ H ₄	3-HOC ₆ H ₄
10	1160	3-NH ₂ CH ₂ C ₆ H ₄	3,4-diHOC ₆ H ₄
	1161	3-NH ₂ CH ₂ C ₆ H ₄	4-NH ₂ CH ₂ C ₆ H ₄
	1162	3-NH ₂ CH ₂ C ₆ H ₄	3-NH ₂ CH ₂ C ₆ H ₄
	1163	4-NH ₂ CH ₂ C ₆ H ₄	4-MeOC ₆ H ₄
	1164	4-NH ₂ CH ₂ C ₆ H ₄	3-MeOC ₆ H ₄
15	1165	4-NH ₂ CH ₂ C ₆ H ₄	4-NH ₂ C ₆ H ₄
	1166	4-NH ₂ CH ₂ C ₆ H ₄	3-NH ₂ C ₆ H ₄
	1167	4-NH ₂ CH ₂ C ₆ H ₄	2-NH ₂ C ₆ H ₄
	1168	4-NH ₂ CH ₂ C ₆ H ₄	4-Me ₂ NC ₆ H ₄
	1169	4-NH ₂ CH ₂ C ₆ H ₄	3-Me ₂ NC ₆ H ₄
20	1170	4-NH ₂ CH ₂ C ₆ H ₄	2-Me ₂ NC ₆ H ₄
	1171	4-NH ₂ CH ₂ C ₆ H ₄	4-pyridyl
	1172	4-NH ₂ CH ₂ C ₆ H ₄	3-pyridyl
	1173	4-NH ₂ CH ₂ C ₆ H ₄	2-pyridyl
	1174	4-NH ₂ CH ₂ C ₆ H ₄	2-thiazolyl
25	1175	4-NH ₂ CH ₂ C ₆ H ₄	2-pyrazolyl
	1176	4-NH ₂ CH ₂ C ₆ H ₄	5-isoquinolyl
	1177	4-NH ₂ CH ₂ C ₆ H ₄	3,4-methylenedioxyC ₆ H ₃
	1178	4-NH ₂ CH ₂ C ₆ H ₄	3,4-ethylenedioxyC ₆ H ₃
30	1179	4-NH ₂ CH ₂ C ₆ H ₄	2-imidazolyl
	1180	4-NH ₂ CH ₂ C ₆ H ₄	2-oxazolyl
	1181	4-NH ₂ CH ₂ C ₆ H ₄	4-isoxazolyl
	1182	4-NH ₂ CH ₂ C ₆ H ₄	4-HOC ₆ H ₄
35	1183	4-NH ₂ CH ₂ C ₆ H ₄	3-HOC ₆ H ₄
	1184	4-NH ₂ CH ₂ C ₆ H ₄	3,4-diHOC ₆ H ₄
	1185	4-NH ₂ CH ₂ C ₆ H ₄	4-NH ₂ CH ₂ C ₆ H ₄
	1186	4-NH ₂ CH ₂ C ₆ H ₄	3-NH ₂ CH ₂ C ₆ H ₄

5	1187	2-Me ₂ NCH ₂ C ₆ H ₄	4-MeOC ₆ H ₄
	1188	2-Me ₂ NCH ₂ C ₆ H ₄	3-MeOC ₆ H ₄
	1189	2-Me ₂ NCH ₂ C ₆ H ₄	4-NH ₂ C ₆ H ₄
	1190	2-Me ₂ NCH ₂ C ₆ H ₄	3-NH ₂ C ₆ H ₄
	1191	2-Me ₂ NCH ₂ C ₆ H ₄	2-NH ₂ C ₆ H ₄
10	1192	2-Me ₂ NCH ₂ C ₆ H ₄	4-Me ₂ NC ₆ H ₄
	1193	2-Me ₂ NCH ₂ C ₆ H ₄	3-Me ₂ NC ₆ H ₄
	1194	2-Me ₂ NCH ₂ C ₆ H ₄	2-Me ₂ NC ₆ H ₄
	1195	2-Me ₂ NCH ₂ C ₆ H ₄	4-pyridyl
	1196	2-Me ₂ NCH ₂ C ₆ H ₄	3-pyridyl
15	1197	2-Me ₂ NCH ₂ C ₆ H ₄	2-pyridyl
	1198	2-Me ₂ NCH ₂ C ₆ H ₄	2-thiazolyl
	1199	2-Me ₂ NCH ₂ C ₆ H ₄	2-pyrazolyl
	1200	2-Me ₂ NCH ₂ C ₆ H ₄	5-isoquinolyl
	1201	2-Me ₂ NCH ₂ C ₆ H ₄	3,4-methylenedioxyC ₆ H ₃
20	1202	2-Me ₂ NCH ₂ C ₆ H ₄	3,4-ethylenedioxyC ₆ H ₃
	1203	2-Me ₂ NCH ₂ C ₆ H ₄	2-imidazolyl
	1204	2-Me ₂ NCH ₂ C ₆ H ₄	2-oxazolyl
25	1205	2-Me ₂ NCH ₂ C ₆ H ₄	4-isoxazolyl
	1206	2-Me ₂ NCH ₂ C ₆ H ₄	4-HOC ₆ H ₄
	1207	2-Me ₂ NCH ₂ C ₆ H ₄	3-HOC ₆ H ₄
	1208	2-Me ₂ NCH ₂ C ₆ H ₄	3,4-diHOC ₆ H ₄
	1209	2-Me ₂ NCH ₂ C ₆ H ₄	4-NH ₂ CH ₂ C ₆ H ₄
30	1210	2-Me ₂ NCH ₂ C ₆ H ₄	3-NH ₂ CH ₂ C ₆ H ₄
	1211	3-Me ₂ NCH ₂ C ₆ H ₄	4-MeOC ₆ H ₄
	1212	3-Me ₂ NCH ₂ C ₆ H ₄	3-MeOC ₆ H ₄
	1213	3-Me ₂ NCH ₂ C ₆ H ₄	4-NH ₂ C ₆ H ₄
	1214	3-Me ₂ NCH ₂ C ₆ H ₄	3-NH ₂ C ₆ H ₄
35	1215	3-Me ₂ NCH ₂ C ₆ H ₄	2-NH ₂ C ₆ H ₄
	1216	3-Me ₂ NCH ₂ C ₆ H ₄	4-Me ₂ NC ₆ H ₄
	1217	3-Me ₂ NCH ₂ C ₆ H ₄	3-Me ₂ NC ₆ H ₄
	1218	3-Me ₂ NCH ₂ C ₆ H ₄	2-Me ₂ NC ₆ H ₄

5	1219	3-Me ₂ NCH ₂ C ₆ H ₄	4-pyridyl
	1220	3-Me ₂ NCH ₂ C ₆ H ₄	3-pyridyl
	1221	3-Me ₂ NCH ₂ C ₆ H ₄	2-pyridyl
	1222	3-Me ₂ NCH ₂ C ₆ H ₄	2-thiazolyl
	1223	3-Me ₂ NCH ₂ C ₆ H ₄	2-pyrazolyl
10	1224	3-Me ₂ NCH ₂ C ₆ H ₄	5-isoquinolyl
	1225	3-Me ₂ NCH ₂ C ₆ H ₄	3,4-methylenedioxyC ₆ H ₃
	1226	3-Me ₂ NCH ₂ C ₆ H ₄	3,4-ethylenedioxyC ₆ H ₃
15	1227	3-Me ₂ NCH ₂ C ₆ H ₄	2-imidazolyl
	1228	3-Me ₂ NCH ₂ C ₆ H ₄	2-oxazolyl
	1229	3-Me ₂ NCH ₂ C ₆ H ₄	4-isoxazolyl
	1230	3-Me ₂ NCH ₂ C ₆ H ₄	4-HOC ₆ H ₄
	1231	3-Me ₂ NCH ₂ C ₆ H ₄	3-HOC ₆ H ₄
20	1232	3-Me ₂ NCH ₂ C ₆ H ₄	3,4-diHOC ₆ H ₄
	1233	3-Me ₂ NCH ₂ C ₆ H ₄	4-NH ₂ CH ₂ C ₆ H ₄
	1234	3-Me ₂ NCH ₂ C ₆ H ₄	3-NH ₂ CH ₂ C ₆ H ₄
	1235	4-Me ₂ NCH ₂ C ₆ H ₄	4-MeOC ₆ H ₄
	1236	4-Me ₂ NCH ₂ C ₆ H ₄	3-MeOC ₆ H ₄
25	1237	4-Me ₂ NCH ₂ C ₆ H ₄	4-NH ₂ C ₆ H ₄
	1238	4-Me ₂ NCH ₂ C ₆ H ₄	3-NH ₂ C ₆ H ₄
	1239	4-Me ₂ NCH ₂ C ₆ H ₄	2-NH ₂ C ₆ H ₄
	1240	4-Me ₂ NCH ₂ C ₆ H ₄	4-Me ₂ NC ₆ H ₄
	1241	4-Me ₂ NCH ₂ C ₆ H ₄	3-Me ₂ NC ₆ H ₄
30	1242	4-Me ₂ NCH ₂ C ₆ H ₄	2-Me ₂ NC ₆ H ₄
	1243	4-Me ₂ NCH ₂ C ₆ H ₄	4-pyridyl
	1244	4-Me ₂ NCH ₂ C ₆ H ₄	3-pyridyl
	1245	4-Me ₂ NCH ₂ C ₆ H ₄	2-pyridyl
	1246	4-Me ₂ NCH ₂ C ₆ H ₄	2-thiazolyl
35	1247	4-Me ₂ NCH ₂ C ₆ H ₄	2-pyrazolyl
	1248	4-Me ₂ NCH ₂ C ₆ H ₄	5-isoquinolyl
	1249	4-Me ₂ NCH ₂ C ₆ H ₄	3,4-methylenedioxyC ₆ H ₃

5	1250	4-Me ₂ NCH ₂ C ₆ H ₄	3,4- ethylenedioxyC ₆ H ₃
	1251	4-Me ₂ NCH ₂ C ₆ H ₄	2-imidazolyl
	1252	4-Me ₂ NCH ₂ C ₆ H ₄	2-oxazolyl
	1253	4-Me ₂ NCH ₂ C ₆ H ₄	4-isoxazolyl
10	1254	4-Me ₂ NCH ₂ C ₆ H ₄	4-HOC ₆ H ₄
	1255	4-Me ₂ NCH ₂ C ₆ H ₄	3-HOC ₆ H ₄
	1256	4-Me ₂ NCH ₂ C ₆ H ₄	3,4-diHOC ₆ H ₄
	1257	4-Me ₂ NCH ₂ C ₆ H ₄	4-NH ₂ CH ₂ C ₆ H ₄
	1258	4-Me ₂ NCH ₂ C ₆ H ₄	3-NH ₂ CH ₂ C ₆ H ₄
15	1259	H	4-MeOC ₆ H ₄
	1260	H	3-MeOC ₆ H ₄
	1261	H	4-NH ₂ C ₆ H ₄
	1262	H	3-NH ₂ C ₆ H ₄
	1263	H	2-NH ₂ C ₆ H ₄
20	1264	H	4-Me ₂ NC ₆ H ₄
	1265	H	3-Me ₂ NC ₆ H ₄
	1266	H	2-Me ₂ NC ₆ H ₄
	1267	H	4-pyridyl
	1268	H	3-pyridyl
25	1269	H	2-pyridyl
	1270	H	2-thiazolyl
	1271	H	2-pyrazolyl
	1272	H	5-isoquinolyl
	1273	H	3,4- methylenedioxyC ₆ H ₃
30	1274	H	3,4- ethylenedioxyC ₆ H ₃
	1275	H	2-imidazolyl
	1276	H	2-oxazolyl
35	1277	H	4-isoxazolyl
	1278	H	4-HOC ₆ H ₄
	1279	H	3-HOC ₆ H ₄
	1280	H	3,4-diHOC ₆ H ₄
	1281	H	4-NH ₂ CH ₂ C ₆ H ₄

5	1282	H	3-NH ₂ CH ₂ C ₆ H ₄
	1283	Me	4-MeOC ₆ H ₄
	1284	Me	3-MeOC ₆ H ₄
	1285	Me	4-NH ₂ C ₆ H ₄
	1286	Me	3-NH ₂ C ₆ H ₄
10	1287	Me	2-NH ₂ C ₆ H ₄
	1288	Me	4-Me ₂ NC ₆ H ₄
	1289	Me	3-Me ₂ NC ₆ H ₄
	1290	Me	2-Me ₂ NC ₆ H ₄
	1291	Me	4-pyridyl
15	1292	Me	3-pyridyl
	1293	Me	2-pyridyl
	1294	Me	2-thiazolyl
	1295	Me	2-pyrazolyl
	1296	Me	5-isoquinolyl
20	1297	Me	3,4-methylenedioxyC ₆ H ₃
	1298	Me	3,4-ethylenedioxyC ₆ H ₃
	1299	Me	2-imidazolyl
25	1300	Me	2-oxazolyl
	1301	Me	4-isoxazolyl
	1302	Me	4-HOC ₆ H ₄
	1303	Me	3-HOC ₆ H ₄
	1304	Me	3,4-diHOC ₆ H ₄
30	1305	Me	4-NH ₂ CH ₂ C ₆ H ₄
	1306	Me	3-NH ₂ CH ₂ C ₆ H ₄
	1307	Et	4-MeOC ₆ H ₄
	1308	Et	3-MeOC ₆ H ₄
	1309	Et	4-NH ₂ C ₆ H ₄
35	1310	Et	3-NH ₂ C ₆ H ₄
	1311	Et	2-NH ₂ C ₆ H ₄
	1312	Et	4-Me ₂ NC ₆ H ₄
	1313	Et	3-Me ₂ NC ₆ H ₄
	1314	Et	2-Me ₂ NC ₆ H ₄

5	1315	Et	4-pyridyl
	1316	Et	3-pyridyl
	1317	Et	2-pyridyl
	1318	Et	2-thiazolyl
	1319	Et	2-pyrazolyl
10	1320	Et	5-isoquinolyl
	1321	Et	3,4-
			methylenedioxyC ₆ H ₃
	1322	Et	3,4-
			ethylenedioxyC ₆ H ₃
15	1323	Et	2-imidazolyl
	1324	Et	2-oxazolyl
	1325	Et	4-isoxazolyl
	1326	Et	4-HOC ₆ H ₄
	1327	Et	3-HOC ₆ H ₄
20	1328	Et	3,4-diHOC ₆ H ₄
	1329	Et	4-NH ₂ CH ₂ C ₆ H ₄
	1330	Et	3-NH ₂ CH ₂ C ₆ H ₄
	1331	2-NH ₂ C ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
	1332	2-NH ₂ C ₆ H ₄ CH ₂	3-MeOC ₆ H ₄
25	1333	2-NH ₂ C ₆ H ₄ CH ₂	4-NH ₂ C ₆ H ₄
	1334	2-NH ₂ C ₆ H ₄ CH ₂	3-NH ₂ C ₆ H ₄
	1335	2-NH ₂ C ₆ H ₄ CH ₂	2-NH ₂ C ₆ H ₄
	1336	2-NH ₂ C ₆ H ₄ CH ₂	4-Me ₂ NC ₆ H ₄
	1337	2-NH ₂ C ₆ H ₄ CH ₂	3-Me ₂ NC ₆ H ₄
30	1338	2-NH ₂ C ₆ H ₄ CH ₂	2-Me ₂ NC ₆ H ₄
	1339	2-NH ₂ C ₆ H ₄ CH ₂	4-pyridyl
	1340	2-NH ₂ C ₆ H ₄ CH ₂	3-pyridyl
	1341	2-NH ₂ C ₆ H ₄ CH ₂	2-pyridyl
	1342	2-NH ₂ C ₆ H ₄ CH ₂	2-thiazolyl
35	1343	2-NH ₂ C ₆ H ₄ CH ₂	2-pyrazolyl
	1344	2-NH ₂ C ₆ H ₄ CH ₂	5-isoquinolyl
	1345	2-NH ₂ C ₆ H ₄ CH ₂	3,4-
			methylenedioxyC ₆ H ₃

5	1346	2-NH ₂ C ₆ H ₄ CH ₂	3,4- ethylenedioxyC ₆ H ₃
	1347	2-NH ₂ C ₆ H ₄ CH ₂	2-imidazolyl
	1348	2-NH ₂ C ₆ H ₄ CH ₂	2-oxazolyl
	1349	2-NH ₂ C ₆ H ₄ CH ₂	4-isoxazolyl
10	1350	2-NH ₂ C ₆ H ₄ CH ₂	4-HOC ₆ H ₄
	1351	2-NH ₂ C ₆ H ₄ CH ₂	3-HOC ₆ H ₄
	1352	2-NH ₂ C ₆ H ₄ CH ₂	3,4-diHOC ₆ H ₄
	1353	2-NH ₂ C ₆ H ₄ CH ₂	4-NH ₂ CH ₂ C ₆ H ₄
	1354	2-NH ₂ C ₆ H ₄ CH ₂	3-NH ₂ CH ₂ C ₆ H ₄
15	1355	3-NH ₂ C ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
	1356	3-NH ₂ C ₆ H ₄ CH ₂	3-MeOC ₆ H ₄
	1357	3-NH ₂ C ₆ H ₄ CH ₂	4-NH ₂ C ₆ H ₄
	1358	3-NH ₂ C ₆ H ₄ CH ₂	3-NH ₂ C ₆ H ₄
	1359	3-NH ₂ C ₆ H ₄ CH ₂	2-NH ₂ C ₆ H ₄
20	1360	3-NH ₂ C ₆ H ₄ CH ₂	4-Me ₂ NC ₆ H ₄
	1361	3-NH ₂ C ₆ H ₄ CH ₂	3-Me ₂ NC ₆ H ₄
	1362	3-NH ₂ C ₆ H ₄ CH ₂	2-Me ₂ NC ₆ H ₄
	1363	3-NH ₂ C ₆ H ₄ CH ₂	4-pyridyl
	1364	3-NH ₂ C ₆ H ₄ CH ₂	3-pyridyl
25	1365	3-NH ₂ C ₆ H ₄ CH ₂	2-pyridyl
	1366	3-NH ₂ C ₆ H ₄ CH ₂	2-thiazolyl
	1367	3-NH ₂ C ₆ H ₄ CH ₂	2-pyrazolyl
	1367	3-NH ₂ C ₆ H ₄ CH ₂	5-isoquinolyl
	1369	3-NH ₂ C ₆ H ₄ CH ₂	3,4- methylenedioxyC ₆ H ₃
30			3,4- ethylenedioxyC ₆ H ₃
	1370	3-NH ₂ C ₆ H ₄ CH ₂	2-imidazolyl
	1371	3-NH ₂ C ₆ H ₄ CH ₂	2-oxazolyl
	1372	3-NH ₂ C ₆ H ₄ CH ₂	4-isoxazolyl
35	1373	3-NH ₂ C ₆ H ₄ CH ₂	4-HOC ₆ H ₄
	1374	3-NH ₂ C ₆ H ₄ CH ₂	3-HOC ₆ H ₄
	1375	3-NH ₂ C ₆ H ₄ CH ₂	3,4-diHOC ₆ H ₄
	1376	3-NH ₂ C ₆ H ₄ CH ₂	

5	1377	3-NH ₂ C ₆ H ₄ CH ₂	4-NH ₂ CH ₂ C ₆ H ₄
	1378	3-NH ₂ C ₆ H ₄ CH ₂	3-NH ₂ CH ₂ C ₆ H ₄
	1379	4-NH ₂ C ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
	1380	4-NH ₂ C ₆ H ₄ CH ₂	3-MeOC ₆ H ₄
	1381	4-NH ₂ C ₆ H ₄ CH ₂	4-NH ₂ C ₆ H ₄
10	1382	4-NH ₂ C ₆ H ₄ CH ₂	3-NH ₂ C ₆ H ₄
	1383	4-NH ₂ C ₆ H ₄ CH ₂	2-NH ₂ C ₆ H ₄
	1384	4-NH ₂ C ₆ H ₄ CH ₂	4-Me ₂ NC ₆ H ₄
	1385	4-NH ₂ C ₆ H ₄ CH ₂	3-Me ₂ NC ₆ H ₄
	1386	4-NH ₂ C ₆ H ₄ CH ₂	2-Me ₂ NC ₆ H ₄
15	1387	4-NH ₂ C ₆ H ₄ CH ₂	4-pyridyl
	1388	4-NH ₂ C ₆ H ₄ CH ₂	3-pyridyl
	1389	4-NH ₂ C ₆ H ₄ CH ₂	2-pyridyl
	1390	4-NH ₂ C ₆ H ₄ CH ₂	2-thiazolyl
	1391	4-NH ₂ C ₆ H ₄ CH ₂	2-pyrazolyl
20	1392	4-NH ₂ C ₆ H ₄ CH ₂	5-isoquinolyl
	1393	4-NH ₂ C ₆ H ₄ CH ₂	3,4-methylenedioxyC ₆ H ₃
	1394	4-NH ₂ C ₆ H ₄ CH ₂	3,4-ethylenedioxyC ₆ H ₃
25	1395	4-NH ₂ C ₆ H ₄ CH ₂	2-imidazolyl
	1396	4-NH ₂ C ₆ H ₄ CH ₂	2-oxazolyl
	1397	4-NH ₂ C ₆ H ₄ CH ₂	4-isoxazolyl
	1398	4-NH ₂ C ₆ H ₄ CH ₂	4-HOC ₆ H ₄
	1399	4-NH ₂ C ₆ H ₄ CH ₂	3-HOC ₆ H ₄
30	1400	4-NH ₂ C ₆ H ₄ CH ₂	3,4-diHOC ₆ H ₄
	1401	4-NH ₂ C ₆ H ₄ CH ₂	4-NH ₂ CH ₂ C ₆ H ₄
	1402	4-NH ₂ C ₆ H ₄ CH ₂	3-NH ₂ CH ₂ C ₆ H ₄
	1403	2-MeOC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
	1404	2-MeOC ₆ H ₄ CH ₂	3-MeOC ₆ H ₄
35	1405	2-MeOC ₆ H ₄ CH ₂	4-NH ₂ C ₆ H ₄
	1406	2-MeOC ₆ H ₄ CH ₂	3-NH ₂ C ₆ H ₄
	1407	2-MeOC ₆ H ₄ CH ₂	2-NH ₂ C ₆ H ₄
	1408	2-MeOC ₆ H ₄ CH ₂	4-M ₂ NC ₆ H ₄

5	1409	2-MeOC ₆ H ₄ CH ₂	3-Me ₂ NC ₆ H ₄
	1410	2-MeOC ₆ H ₄ CH ₂	2-Me ₂ NC ₆ H ₄
	1411	2-MeOC ₆ H ₄ CH ₂	4-pyridyl
	1412	2-MeOC ₆ H ₄ CH ₂	3-pyridyl
	1413	2-MeOC ₆ H ₄ CH ₂	2-pyridyl
10	1414	2-MeOC ₆ H ₄ CH ₂	2-thiazolyl
	1415	2-MeOC ₆ H ₄ CH ₂	2-pyrazolyl
	1416	2-MeOC ₆ H ₄ CH ₂	5-isoquinolyl
	1417	2-MeOC ₆ H ₄ CH ₂	3,4-methylenedioxyC ₆ H ₃
15	1418	2-MeOC ₆ H ₄ CH ₂	3,4-ethylenedioxyC ₆ H ₃
	1419	2-MeOC ₆ H ₄ CH ₂	2-imidazolyl
	1420	2-MeOC ₆ H ₄ CH ₂	2-oxazolyl
	1421	2-MeOC ₆ H ₄ CH ₂	4-isoxazolyl
	1422	2-MeOC ₆ H ₄ CH ₂	4-HOC ₆ H ₄
20	1423	2-MeOC ₆ H ₄ CH ₂	3-HOC ₆ H ₄
	1424	2-MeOC ₆ H ₄ CH ₂	3,4-diHOC ₆ H ₄
	1425	2-MeOC ₆ H ₄ CH ₂	4-NH ₂ CH ₂ C ₆ H ₄
	1426	2-MeOC ₆ H ₄ CH ₂	3-NH ₂ CH ₂ C ₆ H ₄
	1427	3-MeOC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
25	1428	3-MeOC ₆ H ₄ CH ₂	3-MeOC ₆ H ₄
	1429	3-MeOC ₆ H ₄ CH ₂	4-NH ₂ C ₆ H ₄
	1430	3-MeOC ₆ H ₄ CH ₂	3-NH ₂ C ₆ H ₄
	1431	3-MeOC ₆ H ₄ CH ₂	2-NH ₂ C ₆ H ₄
	1432	3-MeOC ₆ H ₄ CH ₂	4-Me ₂ NC ₆ H ₄
30	1433	3-MeOC ₆ H ₄ CH ₂	3-Me ₂ NC ₆ H ₄
	1434	3-MeOC ₆ H ₄ CH ₂	2-Me ₂ NC ₆ H ₄
	1435	3-MeOC ₆ H ₄ CH ₂	4-pyridyl
	1436	3-MeOC ₆ H ₄ CH ₂	3-pyridyl
	1437	3-MeOC ₆ H ₄ CH ₂	2-pyridyl
35	1438	3-MeOC ₆ H ₄ CH ₂	2-thiazolyl
	1439	3-MeOC ₆ H ₄ CH ₂	2-pyrazolyl
	1440	3-MeOC ₆ H ₄ CH ₂	5-isoquinolyl

5	1441	3-MeOC ₆ H ₄ CH ₂	3,4-methylenedioxyC ₆ H ₃
	1442	3-MeOC ₆ H ₄ CH ₂	3,4-ethylenedioxyC ₆ H ₃
	1443	3-MeOC ₆ H ₄ CH ₂	2-imidazolyl
10	1444	3-MeOC ₆ H ₄ CH ₂	2-oxazolyl
	1445	3-MeOC ₆ H ₄ CH ₂	4-isoxazolyl
	1446	3-MeOC ₆ H ₄ CH ₂	4-HOC ₆ H ₄
	1447	3-MeOC ₆ H ₄ CH ₂	3-HOC ₆ H ₄
	1448	3-MeOC ₆ H ₄ CH ₂	3,4-diHOC ₆ H ₄
15	1449	3-MeOC ₆ H ₄ CH ₂	4-NH ₂ CH ₂ C ₆ H ₄
	1450	3-MeOC ₆ H ₄ CH ₂	3-NH ₂ CH ₂ C ₆ H ₄
	1451	4-MeOC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
	1452	4-MeOC ₆ H ₄ CH ₂	3-MeOC ₆ H ₄
	1453	4-MeOC ₆ H ₄ CH ₂	4-NH ₂ C ₆ H ₄
20	1454	4-MeOC ₆ H ₄ CH ₂	3-NH ₂ C ₆ H ₄
	1455	4-MeOC ₆ H ₄ CH ₂	2-NH ₂ C ₆ H ₄
	1456	4-MeOC ₆ H ₄ CH ₂	4-Me ₂ NC ₆ H ₄
	1457	4-MeOC ₆ H ₄ CH ₂	3-Me ₂ NC ₆ H ₄
	1458	4-MeOC ₆ H ₄ CH ₂	2-Me ₂ NC ₆ H ₄
25	1459	4-MeOC ₆ H ₄ CH ₂	4-pyridyl
	1460	4-MeOC ₆ H ₄ CH ₂	3-pyridyl
	1461	4-MeOC ₆ H ₄ CH ₂	2-pyridyl
	1462	4-MeOC ₆ H ₄ CH ₂	2-thiazolyl
	1463	4-MeOC ₆ H ₄ CH ₂	2-pyrazolyl
30	1464	4-MeOC ₆ H ₄ CH ₂	5-isoquinolyl
	1465	4-MeOC ₆ H ₄ CH ₂	3,4-methylenedioxyC ₆ H ₃
	1466	4-MeOC ₆ H ₄ CH ₂	3,4-ethylenedioxyC ₆ H ₃
35	1467	4-MeOC ₆ H ₄ CH ₂	2-imidazolyl
	1468	4-MeOC ₆ H ₄ CH ₂	2-oxazolyl
	1469	4-MeOC ₆ H ₄ CH ₂	4-isoxazolyl
	1470	4-MeOC ₆ H ₄ CH ₂	4-HOC ₆ H ₄

5	1471	4-MeOC ₆ H ₄ CH ₂	3-HOC ₆ H ₄
	1472	4-MeOC ₆ H ₄ CH ₂	3,4-diHOC ₆ H ₄
	1473	4-MeOC ₆ H ₄ CH ₂	4-NH ₂ CH ₂ C ₆ H ₄
	1474	4-MeOC ₆ H ₄ CH ₂	3-NH ₂ CH ₂ C ₆ H ₄
	1475	2-HOC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
10	1476	2-HOC ₆ H ₄ CH ₂	3-MeOC ₆ H ₄
	1477	2-HOC ₆ H ₄ CH ₂	4-NH ₂ C ₆ H ₄
	1478	2-HOC ₆ H ₄ CH ₂	3-NH ₂ C ₆ H ₄
	1479	2-HOC ₆ H ₄ CH ₂	2-NH ₂ C ₆ H ₄
	1480	2-HOC ₆ H ₄ CH ₂	4-Me ₂ NC ₆ H ₄
15	1481	2-HOC ₆ H ₄ CH ₂	3-Me ₂ NC ₆ H ₄
	1482	2-HOC ₆ H ₄ CH ₂	2-Me ₂ NC ₆ H ₄
	1483	2-HOC ₆ H ₄ CH ₂	4-pyridyl
	1484	2-HOC ₆ H ₄ CH ₂	3-pyridyl
	1485	2-HOC ₆ H ₄ CH ₂	2-pyridyl
20	1486	2-HOC ₆ H ₄ CH ₂	2-thiazolyl
	1487	2-HOC ₆ H ₄ CH ₂	2-pyrazolyl
	1488	2-HOC ₆ H ₄ CH ₂	5-isoquinolyl
	1489	2-HOC ₆ H ₄ CH ₂	3,4-methylenedioxyC ₆ H ₃
25	1490	2-HOC ₆ H ₄ CH ₂	3,4-ethylenedioxyC ₆ H ₃
	1491	2-HOC ₆ H ₄ CH ₂	2-imidazolyl
	1492	2-HOC ₆ H ₄ CH ₂	2-oxazolyl
	1493	2-HOC ₆ H ₄ CH ₂	4-isoxazolyl
30	1494	2-HOC ₆ H ₄ CH ₂	4-HOC ₆ H ₄
	1495	2-HOC ₆ H ₄ CH ₂	3-HOC ₆ H ₄
	1496	2-HOC ₆ H ₄ CH ₂	3,4-diHOC ₆ H ₄
	1497	2-HOC ₆ H ₄ CH ₂	4-NH ₂ CH ₂ C ₆ H ₄
	1498	2-HOC ₆ H ₄ CH ₂	3-NH ₂ CH ₂ C ₆ H ₄
35	1499	3-HOC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
	1500	3-HOC ₆ H ₄ CH ₂	3-MeOC ₆ H ₄
	1501	3-HOC ₆ H ₄ CH ₂	4-NH ₂ C ₆ H ₄
	1502	3-HOC ₆ H ₄ CH ₂	3-NH ₂ C ₆ H ₄

5	1503	3-HOC ₆ H ₄ CH ₂	2-NH ₂ C ₆ H ₄
	1504	3-HOC ₆ H ₄ CH ₂	4-Me ₂ NC ₆ H ₄
	1505	3-HOC ₆ H ₄ CH ₂	3-Me ₂ NC ₆ H ₄
	1506	3-HOC ₆ H ₄ CH ₂	2-Me ₂ NC ₆ H ₄
	1507	3-HOC ₆ H ₄ CH ₂	4-pyridyl
10	1508	3-HOC ₆ H ₄ CH ₂	3-pyridyl
	1509	3-HOC ₆ H ₄ CH ₂	2-pyridyl
	1510	3-HOC ₆ H ₄ CH ₂	2-thiazolyl
	1511	3-HOC ₆ H ₄ CH ₂	2-pyrazolyl
	1512	3-HOC ₆ H ₄ CH ₂	5-isoquinolyl
15	1513	3-HOC ₆ H ₄ CH ₂	3,4-methylenedioxyC ₆ H ₃
	1514	3-HOC ₆ H ₄ CH ₂	3,4-ethylenedioxyC ₆ H ₃
	1514	3-HOC ₆ H ₄ CH ₂	2-imidazolyl
20	1516	3-HOC ₆ H ₄ CH ₂	2-oxazolyl
	1517	3-HOC ₆ H ₄ CH ₂	4-isoxazolyl
	1518	3-HOC ₆ H ₄ CH ₂	4-HOC ₆ H ₄
	1519	3-HOC ₆ H ₄ CH ₂	3-HOC ₆ H ₄
	1520	3-HOC ₆ H ₄ CH ₂	3,4-diHOC ₆ H ₄
25	1521	3-HOC ₆ H ₄ CH ₂	4-NH ₂ CH ₂ C ₆ H ₄
	1522	3-HOC ₆ H ₄ CH ₂	3-NH ₂ CH ₂ C ₆ H ₄
	1523	4-HOC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
	1524	4-HOC ₆ H ₄ CH ₂	3-MeOC ₆ H ₄
	1525	4-HOC ₆ H ₄ CH ₂	4-NH ₂ C ₆ H ₄
30	1526	4-HOC ₆ H ₄ CH ₂	3-NH ₂ C ₆ H ₄
	1527	4-HOC ₆ H ₄ CH ₂	2-NH ₂ C ₆ H ₄
	1528	4-HOC ₆ H ₄ CH ₂	4-Me ₂ NC ₆ H ₄
	1529	4-HOC ₆ H ₄ CH ₂	3-Me ₂ NC ₆ H ₄
	1530	4-HOC ₆ H ₄ CH ₂	2-Me ₂ NC ₆ H ₄
35	1531	4-HOC ₆ H ₄ CH ₂	4-pyridyl
	1532	4-HOC ₆ H ₄ CH ₂	3-pyridyl
	1533	4-HOC ₆ H ₄ CH ₂	2-pyridyl
	1534	4-HOC ₆ H ₄ CH ₂	2-thiazolyl

5	1535	4-HOC ₆ H ₄ CH ₂	2-pyrazolyl
	1536	4-HOC ₆ H ₄ CH ₂	5-isoquinolyl
	1537	4-HOC ₆ H ₄ CH ₂	3,4-
			methylenedioxyC ₆ H ₃
	1538	4-HOC ₆ H ₄ CH ₂	3,4-
10			ethylenedioxyC ₆ H ₃
	1539	4-HOC ₆ H ₄ CH ₂	2-imidazolyl
	1540	4-HOC ₆ H ₄ CH ₂	2-oxazolyl
	1541	4-HOC ₆ H ₄ CH ₂	4-isoxazolyl
	1542	4-HOC ₆ H ₄ CH ₂	4-HOC ₆ H ₄
15	1543	4-HOC ₆ H ₄ CH ₂	3-HOC ₆ H ₄
	1544	4-HOC ₆ H ₄ CH ₂	3,4-diHOC ₆ H ₄
	1545	4-HOC ₆ H ₄ CH ₂	4-NH ₂ CH ₂ C ₆ H ₄
	1546	4-HOC ₆ H ₄ CH ₂	3-NH ₂ CH ₂ C ₆ H ₄
	1547	4-ClC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
20	1548	4-ClC ₆ H ₄ CH ₂	3-MeOC ₆ H ₄
	1549	4-ClC ₆ H ₄ CH ₂	4-NH ₂ C ₆ H ₄
	1550	4-ClC ₆ H ₄ CH ₂	3-NH ₂ C ₆ H ₄
	1551	4-ClC ₆ H ₄ CH ₂	2-NH ₂ C ₆ H ₄
	1552	4-ClC ₆ H ₄ CH ₂	4-Me ₂ NC ₆ H ₄
25	1553	4-ClC ₆ H ₄ CH ₂	3-Me ₂ NC ₆ H ₄
	1554	4-ClC ₆ H ₄ CH ₂	2-Me ₂ NC ₆ H ₄
	1555	4-ClC ₆ H ₄ CH ₂	4-pyridyl
	1556	4-ClC ₆ H ₄ CH ₂	3-pyridyl
	1557	4-ClC ₆ H ₄ CH ₂	2-pyridyl
30	1558	4-ClC ₆ H ₄ CH ₂	2-thiazolyl
	1559	4-ClC ₆ H ₄ CH ₂	2-pyrazolyl
	1560	4-ClC ₆ H ₄ CH ₂	5-isoquinolyl
	1561	4-ClC ₆ H ₄ CH ₂	3,4-
			methylenedioxyC ₆ H ₃
35	1562	4-ClC ₆ H ₄ CH ₂	3,4-
			ethylenedioxyC ₆ H ₃
	1563	4-ClC ₆ H ₄ CH ₂	2-imidazolyl
	1564	4-ClC ₆ H ₄ CH ₂	2-oxazolyl

5	1565	4-ClC ₆ H ₄ CH ₂	4-isoxazolyl
	1566	4-ClC ₆ H ₄ CH ₂	4-HOC ₆ H ₄
	1567	4-ClC ₆ H ₄ CH ₂	3-HOC ₆ H ₄
	1568	4-ClC ₆ H ₄ CH ₂	3,4-diHOC ₆ H ₄
	1569	4-ClC ₆ H ₄ CH ₂	4-NH ₂ CH ₂ C ₆ H ₄
10	1570	4-ClC ₆ H ₄ CH ₂	3-NH ₂ CH ₂ C ₆ H ₄
	1571	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
	1572	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-MeOC ₆ H ₄
	1573	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-NH ₂ C ₆ H ₄
	1574	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-NH ₂ C ₆ H ₄
15	1575	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-NH ₂ C ₆ H ₄
	1576	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-Me ₂ NC ₆ H ₄
	1577	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-Me ₂ NC ₆ H ₄
	1578	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-Me ₂ NC ₆ H ₄
	1579	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-pyridyl
20	1580	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-pyridyl
	1581	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-pyridyl
	1582	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-thiazolyl
	1583	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-pyrazolyl
	1584	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	5-isoquinolyl
25	1585	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3,4-
			methylenedioxyC ₆ H ₃
	1586	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3,4-
			ethylenedioxyC ₆ H ₃
	1587	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-imidazolyl
30	1588	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-oxazolyl
	1589	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-isoxazolyl
	1590	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-HOC ₆ H ₄
	1591	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-HOC ₆ H ₄
	1592	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3,4-diHOC ₆ H ₄
35	1593	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-NH ₂ CH ₂ C ₆ H ₄
	1594	2-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-NH ₂ CH ₂ C ₆ H ₄
	1595	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
	1596	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-MeOC ₆ H ₄

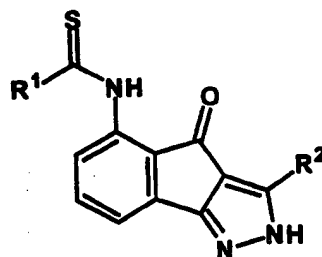
5	1597	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-NH ₂ C ₆ H ₄
	1598	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-NH ₂ C ₆ H ₄
	1599	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-NH ₂ C ₆ H ₄
	1600	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-Me ₂ NC ₆ H ₄
	1601	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-Me ₂ NC ₆ H ₄
10	1602	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-Me ₂ NC ₆ H ₄
	1603	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-pyridyl
	1604	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-pyridyl
	1605	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-pyridyl
	1606	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-thiazolyl
15	1607	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-pyrazolyl
	1608	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	5-isoquinolyl
	1609	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3,4-methylenedioxyC ₆ H ₃
	1610	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3,4-ethylenedioxyC ₆ H ₃
20	1611	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-imidazolyl
	1612	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-oxazolyl
	1613	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-isoxazolyl
	1614	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-HOC ₆ H ₄
25	1615	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-HOC ₆ H ₄
	1616	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3,4-diHOC ₆ H ₄
	1617	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-NH ₂ CH ₂ C ₆ H ₄
	1618	3-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-NH ₂ CH ₂ C ₆ H ₄
	1619	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
30	1620	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-MeOC ₆ H ₄
	1621	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-NH ₂ C ₆ H ₄
	1622	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-NH ₂ C ₆ H ₄
	1623	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-NH ₂ C ₆ H ₄
	1624	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-Me ₂ NC ₆ H ₄
35	1625	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-Me ₂ NC ₆ H ₄
	1626	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-Me ₂ NC ₆ H ₄
	1627	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-pyridyl
	1628	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-pyridyl

5	1629	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-pyridyl
	1630	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-thiazolyl
	1631	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-pyrazolyl
	1632	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	5-isoquinolyl
	1633	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3,4-
10			methylenedioxyC ₆ H ₃
	1634	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3,4-
			ethylenedioxyC ₆ H ₃
	1635	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-imidazolyl
	1636	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	2-oxazolyl
15	1637	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-isoxazolyl
	1638	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-HOC ₆ H ₄
	1639	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-HOC ₆ H ₄
	1640	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3,4-diHOC ₆ H ₄
	1641	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	4-NH ₂ CH ₂ C ₆ H ₄
20	1642	4-NH ₂ CH ₂ C ₆ H ₄ CH ₂	3-NH ₂ CH ₂ C ₆ H ₄
	1643	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
	1644	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-MeOC ₆ H ₄
	1645	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-NH ₂ C ₆ H ₄
	1646	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-NH ₂ C ₆ H ₄
25	1647	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-NH ₂ C ₆ H ₄
	1648	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-Me ₂ NC ₆ H ₄
	1649	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-Me ₂ NC ₆ H ₄
	1650	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-Me ₂ NC ₆ H ₄
	1651	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-pyridyl
30	1652	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-pyridyl
	1653	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-pyridyl
	1654	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-thiazolyl
	1655	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-pyrazolyl
	1656	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	5-isoquinolyl
35	1657	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3,4-
			methylenedioxyC ₆ H ₃
	1658	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3,4-
			thylen dioxyC ₆ H ₃

5	1659	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-imidazolyl
	1660	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-oxazolyl
	1661	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-isoxazolyl
	1662	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-HOC ₆ H ₄
	1663	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-HOC ₆ H ₄
10	1664	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3,4-diHOC ₆ H ₄
	1665	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-NH ₂ CH ₂ C ₆ H ₄
	1666	2-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-NH ₂ CH ₂ C ₆ H ₄
	1667	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
	1668	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-MeOC ₆ H ₄
15	1669	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-NH ₂ C ₆ H ₄
	1670	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-NH ₂ C ₆ H ₄
	1671	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-NH ₂ C ₆ H ₄
	1672	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-Me ₂ NC ₆ H ₄
	1673	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-Me ₂ NC ₆ H ₄
20	1674	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-Me ₂ NC ₆ H ₄
	1675	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-pyridyl
	1676	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-pyridyl
	1677	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-pyridyl
	1678	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-thiazolyl
25	1679	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-pyrazolyl
	1680	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	5-isoquinolyl
	1681	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3,4-methylenedioxyC ₆ H ₃
	1682	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3,4-ethylenedioxyC ₆ H ₃
30	1683	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-imidazolyl
	1684	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-oxazolyl
	1685	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-isoxazolyl
	1686	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-HOC ₆ H ₄
	1687	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-HOC ₆ H ₄
35	1688	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3,4-diHOC ₆ H ₄
	1689	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-NH ₂ CH ₂ C ₆ H ₄
	1690	3-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-NH ₂ CH ₂ C ₆ H ₄

5	1691	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
	1692	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-MeOC ₆ H ₄
	1693	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-NH ₂ C ₆ H ₄
	1694	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-NH ₂ C ₆ H ₄
	1695	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-NH ₂ C ₆ H ₄
10	1696	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-Me ₂ NC ₆ H ₄
	1697	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-Me ₂ NC ₆ H ₄
	1698	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-Me ₂ NC ₆ H ₄
	1699	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-pyridyl
	1700	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-pyridyl
15	1701	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-pyridyl
	1702	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-thiazolyl
	1703	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-pyrazolyl
	1704	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	5-isoquinolyl
	1705	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3,4-
20			methylenedioxyC ₆ H ₃
	1706	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3,4-
			ethylenedioxyC ₆ H ₃
	1707	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-imidazolyl
	1708	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	2-oxazolyl
25	1709	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-isoxazolyl
	1710	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-HOC ₆ H ₄
	1711	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-HOC ₆ H ₄
	1712	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3,4-diHOC ₆ H ₄
	1713	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	4-NH ₂ CH ₂ C ₆ H ₄
30	1714	4-Me ₂ NCH ₂ C ₆ H ₄ CH ₂	3-NH ₂ CH ₂ C ₆ H ₄

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Table 4

Example Number	R ¹	R ²
1715	Methyl	4-MeOC ₆ H ₄
1716	ClCH ₂	4-MeOC ₆ H ₄
1717	cyclopropyl	4-MeOC ₆ H ₄
1718	isopropyl	4-MeOC ₆ H ₄
1719	ethyl	4-MeOC ₆ H ₄
1720	cyclopentyl	4-MeOC ₆ H ₄
1721	cyclobutyl	4-MeOC ₆ H ₄
1722	benzyl	4-MeOC ₆ H ₄
1723	n-propyl	4-MeOC ₆ H ₄
1724	4-ClC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
1725	3-MeOC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
1726	4-MeOC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
1727	3,4-diMeOC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
1728	2,5-diMeOC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
1729	Methyl	2-MeOC ₆ H ₄
1730	Methyl	3,4-diMeOC ₆ H ₄
1731	3,4-(OCH ₂ O)C ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
1732	3-thiophenylCH ₂	4-MeOC ₆ H ₄
1733	2-MeOC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
1734	3,4-dichloroC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
1735	2,4-dichloroC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
1736	2-ClC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄

1737	H ₂ NCH ₂	4-MeOC ₆ H ₄
1738	HOCH ₂ NHCH ₂ CH ₂	4-MeOC ₆ H ₄
1739	M 2NCH ₂	4-MeOC ₆ H ₄
1740	piperazinylCH ₂	4-MeOC ₆ H ₄
1741	4-Me-piperazinylCH ₂	4-MeOC ₆ H ₄
1742	4-HOCH ₂ CH ₂ -	4-MeOC ₆ H ₄
	piperazinylCH ₂	
1743	piperidinylCH ₂	4-MeOC ₆ H ₄
1744	4-NH ₂ CH ₂ -	4-MeOC ₆ H ₄
	piperidinylCH ₂	
1745	CH ₃ CH ₂ NHCH ₂	4-MeOC ₆ H ₄
1746	thiomorpholinylCH ₂	4-MeOC ₆ H ₄
1747	morpholinylCH ₂	4-MeOC ₆ H ₄
1748	pyrrolidinylCH ₂	4-MeOC ₆ H ₄
1749	4-pyridylCH ₂ NHCH ₂	4-MeOC ₆ H ₄
1750	4-CH ₃ CONHC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
1751	4-CH ₃ OCONHC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
1752	4-NH ₂ CH ₂ CONHC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
1753	4-Me ₂ NCH ₂ CONHC ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
1754	4-N ₃ C ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
1755	4-NH ₂ C ₆ H ₄ CH ₂	4-MeOC ₆ H ₄
1756	C ₆ H ₅ NH	4-MeOC ₆ H ₄
1757	CH ₃ CH ₂ CH ₂ NH	4-MeOC ₆ H ₄
1758	4-NH ₂ C ₆ H ₄ CH ₂ NH	4-MeOC ₆ H ₄
1759	4-pyridylCH ₂ NH	4-MeOC ₆ H ₄
1760	Methyl	4-HOC ₆ H ₄
1761	H	4-MeOC ₆ H ₄
1762	Methyl	3-pyridyl
1763	Methyl	4-pyridyl
1764	H	4-pyridyl
1765	Methyl	C ₆ H ₅

1766	Methyl	4-MeSC ₆ H ₄
1767	Methyl	4-MeSO ₂ C ₆ H ₄
1768	Methyl	4-Me ₂ NC ₆ H ₄
1769	morpholinylCH ₂	4-Me ₂ NC ₆ H ₄
1770	Me ₂ NCH ₂	4-Me ₂ NC ₆ H ₄
1771	Me ₂ NCH ₂	4-(piperdiny)C ₆ H ₄
1772	Me ₂ NCH ₂	4- (morpholinyl)C ₆ H ₄
1773	Me ₂ NCH ₂	4-CH ₃ CH ₂ OC ₆ H ₄
1774	Me ₂ NCH ₂	4-CH ₃ CH ₂ CH ₂ CH ₂ C ₆ H ₄
1775	Me ₂ NCH ₂	4-CH ₃ CH ₂ C ₆ H ₄
1776	Me ₂ NCH ₂	4-CH ₃ CH ₂ CH ₂ C ₆ H ₄

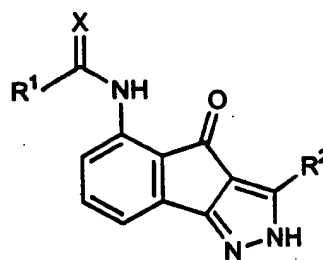
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CLAIMS

What is claimed is:

10

1. A compound according to formula (I):



(I)

or a stereoisomer or pharmaceutically acceptable salt form thereof, wherein:

15

X is selected from the group: O, S, and NR;

20

R is selected from the group: H, C₁₋₄ alkyl, and NR⁵R^{5a};

R¹ is selected from the group: H, C₁₋₁₀ alkyl substituted with 0-3 R^C, C₂₋₁₀ alkenyl substituted with 0-3 R^C, C₂₋₁₀ alkynyl substituted with 0-3 R^C, -NHR⁴, C₃₋₁₀ carbocycle substituted with 0-5 R^a, and 3-10 membered heterocycle containing from 1-4 heteroatoms selected from O, N, and S and substituted with 0-5 R^b;

25

R^a is independently at each occurrence selected from the group: halo, -CN, N₃, NO₂, C₁₋₄ alkyl, C₁₋₄

30

haloalkyl, NR³R^{3a}, =O, OR³, COR³, CO₂R³, CONR³R^{3a}, NHC(O)NR³R^{3a}, NHC(S)NR³R^{3a}, NR³C(O)OR³, NR³C(O)R³, SO₂NR³R^{3a}, SO₂R^{3b}, and 5-10 membered heterocycle

5 containing from 1-4 heteroatoms selected from O, N, and S;

alternatively, when two R^a 's are present on adjacent carbon atoms they combine to form $-OCH_2O-$ or $-OCH_2CH_2O-$;

10

R^b is independently at each occurrence selected from the group: halo, $-CN$, NO_2 , C_{1-4} alkyl, C_{1-4} haloalkyl, NR^3R^{3a} , $NR^3C(O)OR^3$, $NR^3C(O)R^3$, OR^3 , COR^3 , CO_2R^3 , $CONR^3R^{3a}$, $NHC(O)NR^3R^{3a}$, $NHC(S)NR^3R^{3a}$, $SO_2NR^3R^{3a}$, and SO_2R^{3b} ;

15

R^c is independently at each occurrence selected from the group: halo, $-CN$, NO_2 , C_{1-4} alkyl, C_{1-4} haloalkyl, NR^3R^{3a} , $NR^5NR^5R^{5a}$, $NR^3C(O)OR^3$, $NR^3C(O)R^3$, $=O$, OR^3 , COR^3 , CO_2R^3 , $CONR^3R^{3a}$, $NHC(O)NR^3R^{3a}$, $NHC(S)NR^3R^{3a}$, $SO_2N^3R^{3a}$, SO_2R^{3b} , C_{3-10} carbocycle substituted with 0-5 R^a , and 5-10 membered heterocycle containing from 1-4 heteroatoms selected from O, N, and S, substituted with 0-3 R^3 ;

25

R^2 is selected from the group: H, C_{1-10} alkyl substituted with 0-3 R^c , C_{2-10} alkenyl substituted with 0-3 R^c , C_{2-10} alkynyl substituted with 0-3 R^c , $-(CF_2)_mCF_3$, C_{3-10} carbocycle substituted with 0-5 R^a , and 3-10 membered heterocycle containing from 1-4 heteroatoms selected from O, N, and S and substituted with 0-5 R^b ;

30

R^3 is selected from the group: H, halo, $-CN$, NO_2 , C_{1-4} haloalkyl, NR^5R^{5a} , $NR^5NR^5R^{5a}$, $NR^5C(O)OR^5$, $NR^5C(O)R^5$, $=O$,

5 OR^5 , COR^5 , CO_2R^5 , $\text{CONR}^5\text{R}^{5a}$, $\text{NHC}(\text{O})\text{NR}^5\text{R}^{5a}$, $\text{NHC}(\text{S})\text{NR}^5\text{R}^{5a}$,
 $\text{SO}_2\text{NR}^5\text{R}^{5a}$, SO_2R^{5b} , C_{1-4} alkyl, phenyl, and benzyl;

10 R^{3a} is selected from the group: H, C_{1-4} alkyl, phenyl, and
benzyl;

alternatively, R^3 and R^{3a} , together with the nitrogen atom
to which they are attached, form a heterocycle having
4-8 atoms in the ring and containing an additional 0-1
N, S, or O atom and substituted with 0-3 R^{3c} ;

15 R^{3b} is selected from the group: H, C_{1-4} alkyl, phenyl, and
benzyl;

20 R^{3c} is independently at each occurrence selected from the
group: halo, $-\text{CN}$, N_3 , NO_2 , C_{1-4} alkyl, C_{1-4}
haloalkyl, NR^3R^{3b} , $=\text{O}$, OR^3 , COR^3 , CO_2R^3 , $\text{CONR}^3\text{R}^{3b}$,
 $\text{NHC}(\text{O})\text{NR}^3\text{R}^{3b}$, $\text{NHC}(\text{S})\text{NR}^3\text{R}^{3b}$, $\text{NR}^3\text{C}(\text{O})\text{OR}^3$, $\text{NR}^3\text{C}(\text{O})\text{R}^3$,
 $\text{SO}_2\text{NR}^3\text{R}^{3b}$, SO_2R^{3b} , and 5-10 membered heterocycle
containing from 1-4 heteroatoms selected from O, N, and
25 S;

30 R^4 is independently at each occurrence selected from the
group: H, $-\text{CN}$, C_{1-4} alkyl, C_{1-4} haloalkyl, NR^3R^{3a} ,
 $\text{NR}^3\text{C}(\text{O})\text{OR}^3$, $\text{NR}^3\text{C}(\text{O})\text{R}^3$, OR^3 , COR^3 , CO_2R^3 , $\text{CONR}^3\text{R}^{3a}$,
 $\text{NHC}(\text{O})\text{NR}^3\text{R}^{3a}$, $\text{NHC}(\text{S})\text{NR}^3\text{R}^{3a}$, $\text{SO}_2\text{NR}^3\text{R}^{3a}$, SO_2R^{3b} , C_{3-10}
carbocycle substituted with 0-5 R^a , and 5-10 membered
heterocycle containing from 1-4 heteroatoms selected
from O, N, and S, substituted with 0-3 R^3 ;

5 R^5 is independently selected from the group: H, C₁₋₄ alkyl, phenyl and benzyl;

R^{5a} is independently selected from the group: H, C₁₋₄ alkyl, phenyl and benzyl;

10

R^{5b} is independently selected from the group: H, C₁₋₄ alkyl, phenyl and benzyl; and

m is selected from 0, 1, 2, and 3.

15

2. A compound according to claim 1, wherein:

X is selected from the group: O, S, and NR;

20

R is selected from the group: H, C₁₋₄ alkyl, and NR^{5a} ;

R^1 is selected from the group: H, C₁₋₅ alkyl substituted with 0-3 R^C , C₂₋₅ alkenyl substituted with 0-3 R^C , C₂₋₅ alkynyl substituted with 0-3 R^C , -NHR⁴, C₃₋₆ carbocycle substituted with 0-5 R^a , and 3-6 membered heterocycle containing from 1-4 heteroatoms selected from O, N, and S and substituted with 0-5 R^b ;

25

30 R^a is independently at each occurrence selected from the group: halo, -CN, N₃, NO₂, C₁₋₄ alkyl, C₁₋₄ haloalkyl, NR^3R^{3a} , $NR^3C(O)OR^3$, $NR^3C(O)R^3$, =O, OR³, COR³, CO₂R³, CONR³R^{3a}, NHC(O)NR³R^{3a}, NHC(S)NR³R^{3a}, SO₂NR³R^{3a}, SO₂R^{3b}, and 5-10 membered heterocycle containing from 1-4 heteroatoms selected from O, N, and S;

35

5 alternatively, when two R^a 's are present on adjacent carbon atoms they combine to form $-OCH_2O-$ or $-OCH_2CH_2O-$;

R^b is independently at each occurrence selected from the group: halo, $-CN$, NO_2 , C_{1-4} alkyl, C_{1-4} haloalkyl,
 10 NR^3R^{3a} , $NR^3C(O)OR^3$, $NR^3C(O)R^3$, OR^3 , COR^3 , CO_2R^3 ,
 $CONR^3R^{3a}$, $NHC(O)NR^3R^{3a}$, $NHC(S)NR^3R^{3a}$, $SO_2NR^3R^{3a}$, and
 SO_2R^{3b} ;

R^c is independently at each occurrence selected from the
 15 group: halo, $-CN$, NO_2 , C_{1-4} alkyl, C_{1-4} haloalkyl,
 NR^3R^{3a} , $NR^3C(O)OR^3$, $NR^3C(O)R^3$, $NR^5NR^5R^{5a}$, $=O$, OR^3 ,
 COR^3 , CO_2R^3 , $CONR^3R^{3a}$, $NHC(O)NR^3R^{3a}$, $NHC(S)NR^3R^{3a}$,
 $SO_2NR^3R^{3a}$, SO_2R^{3b} , C_{3-10} carbocycle substituted with
 20 $0-5 R^a$, and $5-10$ membered heterocycle containing from
 $1-4$ heteroatoms selected from O , N , and S , substituted
 with $0-3 R^3$;

R^2 is selected from the group: H , C_{1-5} alkyl substituted
 with $0-3 R^c$, C_{2-5} alkenyl substituted with $0-3 R^c$, C_{2-5}
 25 alkynyl substituted with $0-3 R^c$, $-(CF_2)_mCF_3$, C_{3-6}
 carbocycle substituted with $0-5 R^a$, and $3-10$ membered
 heterocycle containing from $1-4$ heteroatoms selected
 from O , N , and S and substituted with $0-5 R^b$;

30 R^3 is selected from the group: H , halo, $-CN$, NO_2 , C_{1-4}
 haloalkyl, NR^5R^{5a} , $NR^5NR^5R^{5a}$, $NR^5C(O)OR^5$, $NR^5C(O)R^5$, $=O$,
 OR^5 , COR^5 , CO_2R^5 , $CONR^5R^{5a}$, $NHC(O)NR^5R^{5a}$, $NHC(S)NR^5R^{5a}$,
 $SO_2NR^5R^{5a}$, SO_2R^{5b} , C_{1-4} alkyl, phenyl, and benzyl;

5

R^{3a} is selected from the group: H, C₁₋₄ alkyl, phenyl, and benzyl;

alternatively, R^3 and R^{3a} , together with the nitrogen atom
10 to which they are attached, form a heterocycle having
4-8 atoms in the ring and containing an additional 0-1
N, S, or O atom and substituted with 0-3 R^{3c} ;

R^{3b} is selected from the group: H, C₁₋₄ alkyl, phenyl, and
15 benzyl;

R^{3c} is independently at each occurrence selected from the
group: halo, -CN, N₃, NO₂, C₁₋₄ alkyl, C₁₋₄
haloalkyl, NR^3R^{3b} , =O, OR³, COR³, CO₂R³, CONR³R^{3b},
20 NHC(O)NR³R^{3b}, NHC(S)NR³R^{3b}, NR³C(O)OR³, NR³C(O)R³,
SO₂NR³R^{3b}, SO₂R^{3b}, and 5-10 membered heterocycle
containing from 1-4 heteroatoms selected from O, N, and
S;

25 R^4 is independently at each occurrence selected from the
group: H, -CN, C₁₋₄ alkyl, C₁₋₄ haloalkyl, NR^3R^{3a} ,
NR³C(O)OR³, NR³C(O)R³, OR³, COR³, CO₂R³, CONR³R^{3a},
NHC(O)NR³R^{3a}, NHC(S)NR³R^{3a}, SO₂NR³R^{3a}, SO₂R^{3b}, C₃₋₁₀
carbocycle substituted with 0-5 R^a , and 5-10 membered
30 heterocycle containing from 1-4 heteroatoms selected
from O, N, and S, substituted with 0-3 R^3 ;

R^5 is independently selected from the group: H, C₁₋₄ alkyl,
phenyl, and benzyl;

35

5 R^{5a} is independently selected from the group: H, C₁₋₄ alkyl, phenyl and benzyl;

R^{5b} is independently selected from the group: H, C₁₋₄ alkyl, phenyl, and benzyl; and

10

m is selected from 0, 1, 2, and 3.

3. A compound according to claim 2, wherein:

15

X is selected from the group: O and S;

R¹ is selected from the group: H, C₁₋₅ alkyl substituted

with 0-3 R^C, C₂₋₅ alkenyl substituted with 0-3 R^C,

20

-NHR⁴, C₃₋₆ carbocycle substituted with 0-5 R^a, and 3-6

membered heterocycle containing from 1-4 heteroatoms

selected from O, N, and S and substituted with 0-5 R^b;

R^a is independently at each occurrence selected from the

25

group: halo, -CN, N₃, C₁₋₄ alkyl, C₁₋₄ haloalkyl,

NR³R^{3a}, NR³C(O)OR³, NR³C(O)R³, OR³, COR³, CO₂R³,

CONR³R^{3a}, NHC(O)NR³R^{3a}, SO₂NR³R^{3a}, SO₂R^{3b}, and 5-10

membered heterocycle containing from 1-4 heteroatoms

selected from O, N, and S;

30

alternatively, when two R^a's are present on adjacent carbon atoms they combine to form -OCH₂O- or -OCH₂CH₂O-;

R^b is independently at each occurrence selected from the

35

group: halo, -CN, C₁₋₄ alkyl, C₁₋₄ haloalkyl, NR³R^{3a},

5 $\text{NR}^3\text{C}(\text{O})\text{OR}^3$, $\text{NR}^3\text{C}(\text{O})\text{R}^3$, OR^3 , COR^3 , CO_2R^3 , $\text{CONR}^3\text{R}^{3a}$,
 $\text{NHC}(\text{O})\text{NR}^3\text{R}^{3a}$, $\text{SO}_2\text{NR}^3\text{R}^{3a}$, and SO_2R^{3b} ;

R^C is independently at each occurrence selected from the
 group: halo, $-\text{CN}$, C_{1-4} alkyl, C_{1-4} haloalkyl, NR^3R^{3a} ,
 10 $\text{NR}^5\text{NR}^5\text{R}^{5a}$, $\text{NR}^3\text{C}(\text{O})\text{OR}^3$, $\text{NR}^3\text{C}(\text{O})\text{R}^3$, $=\text{O}$, OR^3 , COR^3 , CO_2R^3 ,
 $\text{CONR}^3\text{R}^{3a}$, $\text{NHC}(\text{O})\text{NR}^3\text{R}^{3a}$, $\text{SO}_2\text{NR}^3\text{R}^{3a}$, SO_2R^{3b} , C_{3-10}
 carbocycle substituted with 0-5 R^a , and 5-10 membered
 heterocycle containing from 1-4 heteroatoms selected
 from O, N, and S, substituted with 0-3 R^3 ;

15

R^2 is selected from the group: H, C_{1-5} alkyl substituted
 with 0-3 R^C , C_{2-5} alkenyl substituted with 0-3 R^C ,
 $-(\text{CF}_2)_m\text{CF}_3$, C_{3-6} carbocycle substituted with 0-5 R^a ,
 and 3-6 membered heterocycle containing from 1-4
 20 heteroatoms selected from O, N, and S and substituted
 with 0-5 R^b ;

R^3 is selected from the group: H, halo, $-\text{CN}$, NO_2 , C_{1-4}
 haloalkyl, NR^5R^{5a} , $\text{NR}^5\text{NR}^5\text{R}^{5a}$, $\text{NR}^5\text{C}(\text{O})\text{OR}^5$, $\text{NR}^5\text{C}(\text{O})\text{R}^5$, $=\text{O}$,
 25 OR^5 , COR^5 , CO_2R^5 , $\text{CONR}^5\text{R}^{5a}$, $\text{NHC}(\text{O})\text{NR}^5\text{R}^{5a}$, $\text{NHC}(\text{S})\text{NR}^5\text{R}^{5a}$,
 $\text{SO}_2\text{NR}^5\text{R}^{5a}$, SO_2R^{5b} , C_{1-4} alkyl, phenyl, and benzyl;

25

R^{3a} is selected from the group: H, C_{1-4} alkyl, phenyl, and
 benzyl;

30

alternatively, R^3 and R^{3a} , together with the nitrogen atom
 to which they are attached, form a heterocycle having

5 5-6 atoms in the ring and containing an additional 0-1
N, S, or O atom and substituted with 0-3 R^{3c} ;

R^{3b} is selected from the group: H, C₁₋₄ alkyl, phenyl, and
benzyl;

10

R^{3c} is independently at each occurrence selected from the
group: halo, -CN, N_3 , NO_2 , C₁₋₄ alkyl, C₁₋₄

haloalkyl, NR^3R^{3b} , =O, OR^3 , COR^3 , CO_2R^3 , $CONR^3R^{3b}$,

$NHC(O)NR^3R^{3b}$, $NHC(S)NR^3R^{3b}$, $NR^3C(O)OR^3$, $NR^3C(O)R^3$,

15 $SO_2NR^3R^{3b}$, SO_2R^{3b} , and 5-10 membered heterocycle
containing from 1-4 heteroatoms selected from O, N, and
S;

R^4 is independently at each occurrence selected from the
20 group: H, -CN, C₁₋₄ alkyl, C₁₋₄ haloalkyl, NR^3R^{3a} ,
 $NR^3C(O)OR^3$, $NR^3C(O)R^3$, OR^3 , COR^3 , CO_2R^3 , $CONR^3R^{3a}$,
 $NHC(O)NR^3R^{3a}$, $NHC(S)NR^3R^{3a}$, $SO_2NR^3R^{3a}$, SO_2R^{3b} , C₃₋₁₀
carbocycle substituted with 0-5 R^a , and 5-10 membered
heterocycle containing from 1-4 heteroatoms selected
25 from O, N, and S, substituted with 0-3 R^3 ;

R^5 is independently selected from the group: H, C₁₋₄ alkyl,
phenyl, and benzyl;

30 R^{5a} is independently selected from the group: H, C₁₋₄
alkyl, phenyl and benzyl;

R^{5b} is independently selected from the group: H, C₁₋₄
alkyl, phenyl, and benzyl; and

35

m is selected from 0, 1, 2, and 3.

5

4. A compound according to claim 3, wherein:

X is selected from the group: O and S;

10

R^1 is selected from the group: H, C₁₋₅ alkyl substituted with 0-2 R^C , -NHR⁴, C₃₋₆ carbocycle substituted with 0-5 R^a , and 5-6 membered heterocycle containing from 1-4 heteroatoms selected from O, N, and S and substituted with 0-5 R^b ;

15

R^a is independently at each occurrence selected from the group: halo, -CN, N₃, C₁₋₄ alkyl, C₁₋₄ haloalkyl, NR^3R^{3a} , $NR^3C(O)OR^3$, $NR^3C(O)R^3$, OR^3 , COR^3 , CO_2R^3 , $CONR^3R^{3a}$, $NHC(O)NR^3R^{3a}$, $SO_2NR^3R^{3a}$, SO_2R^{3b} , and 5-6 membered heterocycle containing from 1-4 heteroatoms selected from O, N, and S;

20

alternatively, when two R^a 's are present on adjacent carbon atoms they combine to form -OCH₂O- or -OCH₂CH₂O-;

25

R^b is independently at each occurrence selected from the group: halo, C₁₋₄ alkyl, C₁₋₄ haloalkyl, NR^3R^{3a} , $NR^3C(O)OR^3$, $NR^3C(O)R^3$, OR^3 , COR^3 , CO_2R^3 , $CONR^3R^{3a}$, $NHC(O)NR^3R^{3a}$, $SO_2NR^3R^{3a}$, and SO_2R^{3b} ;

30

R^C is independently at each occurrence selected from the group: halo, C₁₋₄ alkyl, C₁₋₄ haloalkyl, NR^3R^{3a} , $NR^5NR^5R^{5a}$, $NR^3C(O)OR^3$, $NR^3C(O)R^3$, OR^3 , COR^3 , CO_2R^3 , $CONR^3R^{3a}$, $NHC(O)NR^3R^{3a}$, $SO_2NR^3R^{3a}$, SO_2R^{3b} , C₃₋₁₀

35

- 5 carbocycle substituted with 0-5 R^a , and 5-6 membered heterocycle containing from 1-4 heteroatoms selected from O, N, and S, substituted with 0-3 R^3 ;

- 10 R^2 is selected from the group: C₁₋₅ alkyl substituted with 0-3 R^C , $-(CF_2)_mCF_3$, C₃₋₆ carbocycle substituted with 0-5 R^a , and 5-6 membered heterocycle containing from 1-4 heteroatoms selected from O, N, and S and substituted with 0-3 R^b ;

- 15 R^3 is selected from the group: H, halo, $-CN$, NO_2 , C₁₋₄ haloalkyl, NR^5R^{5a} , $NR^5NR^5R^{5a}$, $NR^5C(O)OR^5$, $NR^5C(O)R^5$, $=O$, OR^5 , COR^5 , CO_2R^5 , $CONR^5R^{5a}$, $NHC(O)NR^5R^{5a}$, $NHC(S)NR^5R^{5a}$, $SO_2NR^5R^{5a}$, SO_2R^{5b} , C₁₋₄ alkyl, phenyl, and benzyl;

- 20 R^{3a} is selected from the group: H, C₁₋₄ alkyl, phenyl, and benzyl;

- 25 alternatively, R^3 and R^{3a} , together with the nitrogen atom to which they are attached, form a heterocycle having 5-6 atoms in the ring and containing an additional 0-1 N, S, or O atom and substituted with 0-3 R^{3c} ;

- 30 R^{3b} is selected from the group: H, C₁₋₄ alkyl, phenyl, and benzyl;

- R^{3c} is independently at each occurrence selected from the group: halo, $-CN$, N_3 , NO_2 , C₁₋₄ alkyl, C₁₋₄ haloalkyl, NR^3R^{3b} , $=O$, OR^3 , COR^3 , CO_2R^3 , $CONR^3R^{3b}$, $NHC(O)NR^3R^{3b}$, $NHC(S)NR^3R^{3b}$, $NR^3C(O)OR^3$, $NR^3C(O)R^3$,

5 $\text{SO}_2\text{NR}^3\text{R}^{3b}$, SO_2R^{3b} , and 5-10 membered heterocycle
containing from 1-4 heteroatoms selected from O, N, and
S;

10 R^4 is independently at each occurrence selected from the
group: H, -CN, C_1 -4 alkyl, C_1 -4 haloalkyl, NR^3R^{3a} ,
 $\text{NR}^3\text{C}(\text{O})\text{OR}^3$, $\text{NR}^3\text{C}(\text{O})\text{R}^3$, OR^3 , COR^3 , CO_2R^3 , $\text{CONR}^3\text{R}^{3a}$,
15 $\text{NHC}(\text{O})\text{NR}^3\text{R}^{3a}$, $\text{NHC}(\text{S})\text{NR}^3\text{R}^{3a}$, $\text{SO}_2\text{NR}^3\text{R}^{3a}$, SO_2R^{3b} , C_3 -10
carbocycle substituted with 0-5 R^a , and 5-10 membered
heterocycle containing from 1-4 heteroatoms selected
from O, N, and S, substituted with 0-3 R^3 ;

R^5 is independently selected from the group: H and C_1 -4
alkyl;

20 R^{5a} is independently selected from the group: H, C_1 -4
alkyl, phenyl and benzyl;

R^{5b} is independently selected from the group: H and C_1 -4
alkyl; and

25 m is selected from 0, 1, 2, and 3.

5. A compound according to claim 1, wherein the compound
30 is selected from:

(a) 3-(4-methoxyphenyl)-5-(acetamido)indeno[1,2-c]pyrazol-
4-one;

35 (b) 3-(phenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one;

(c) 3-(4-methylthiophenyl)-5-(acetamido)indeno[1,2-
c]pyrazol-4-one;

5

(d) 3-(4-methylsulfonylphenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one;

10

(e) 3-(4-N,N-dimethylphenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one;

(f) 3-(3-pyridyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one;

15

(g) 3-(4-methoxyphenyl)-5-(formamido)indeno[1,2-c]pyrazol-4-one;

(h) 3-(4-hydroxyphenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one;

20

(i) 3-(4-(1-piperidinyl)phenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one;

(j) 3-(4-morpholinyl)phenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one;

25

(k) 3-(4-ethoxyphenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one;

30

(l) 3-(4-butylphenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one;

(m) 3-(4-ethylphenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one;

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(n) 3-(4-n-propylphenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one;

(o) 3-(4-methoxyphenyl)-5-((4-aminophenyl)acetamido)indeno[1,2-c]pyrazol-4-one;

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(p) 3-(4-pyridyl)-5-(formamido)indeno[1,2-c]pyrazol-4-one;

- 5 (q) 3-(4-pyridyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one;
- (r) 3-(4-methoxyphenyl)-5-((4-aminophenyl)acetamido)indeno[1,2-c]pyrazol-4-one;
- 10 (s) 3-(4-methoxyphenyl)-5-((4-azidophenyl)acetamido)indeno[1,2-c]pyrazol-4-one;
- (t) 3-(4-methoxyphenyl)-5-((4-methoxycarbonylaminophenyl)acetamido)indeno[1,2-
- 15 c]pyrazol-4-one;
- (u) 3-(4-methoxyphenyl)-5-((4-aminomethylcarbonylaminophenyl)acetamido)indeno[1,2-c]pyrazol-4-one;
- 20 (v) 3-(4-methoxyphenyl)-5-((4-dimethylaminomethylcarbonylaminophenyl)acetamido)indeno[1,2-c]pyrazol-4-one;
- (w) 3-(4-methoxyphenyl)-5-((4-acetamidophenyl)acetamido)indeno[1,2-c]pyrazol-4-one;
- 25 (x) 3-(4-methoxyphenyl)-5-(pyrrolidinylacetamido)indeno[1,2-c]pyrazol-4-one;
- 30 (y) 3-(4-methoxyphenyl)-5-(morpholinylacetamido)indeno[1,2-c]pyrazol-4-one;
- (z) 3-(4-methoxyphenyl)-5-(thiomorpholinylacetamido)indeno[1,2-c]pyrazol-4-one;
- 35 (aa) 3-(4-methoxyphenyl)-5-(ethylaminoacetamido)indeno[1,2-c]pyrazol-4-one;
- (bb) 3-(4-methoxyphenyl)-5-(piperidinylacetamido)indeno[1,2-
- 40 c]pyrazol-4-one;
- (cc) 3-(4-methoxyphenyl)-5-(4-aminomethylpiperidinyl

- 5 acetamido) indeno [1,2-c] pyrazol-4-one;
- (dd) 3-(4-methoxyphenyl)-5-(piperazinylacetamido) indeno [1,2-c] pyrazol-4-one;
- 10 (ee) 3-(4-methoxyphenyl)-5-(4-methylpiperazinylacetamido) indeno [1,2-c] pyrazol-4-one;
- (ff) 3-(4-methoxyphenyl)-5-(4-(2-hydroxyethyl) piperazinyl acetamido) indeno [1,2-c] pyrazol-4-one;
- 15 (gg) 3-(4-methoxyphenyl)-5-(N,N-dimethylaminoacetamido) indeno [1,2-c] pyrazol-4-one;
- (hh) 3-(4-methoxyphenyl)-5-((2-hydroxyethyl) aminoacetamido) indeno [1,2-c] pyrazol-4-one;
- 20 (ii) 3-(4-methoxyphenyl)-5-(aminoacetamido) indeno [1,2-c] pyrazol-4-one;
- (jj) 3-(4-methoxyphenyl)-5-((2-chlorophenyl) acetamido) indeno [1,2-c] pyrazol-4-one;
- (kk) 3-(4-methoxyphenyl)-5-((2,4-dichlorophenyl) acetamido) indeno [1,2-c] pyrazol-4-one;
- 30 (ll) 3-(4-methoxyphenyl)-5-((3,4-dichlorophenyl) acetamido) indeno [1,2-c] pyrazol-4-one;
- (mm) 3-(4-methoxyphenyl)-5-((2-methoxyphenyl) acetamido) indeno [1,2-c] pyrazol-4-one;
- 35 (nn) 3-(4-dimethoxyphenyl)-5-((3-thiophene) acetamido) indeno [1,2-c] pyrazol-4-one;
- (oo) 3-(4-methoxyphenyl)-5-((3,4-ethylenedioxyphenyl) acetamido) indeno [1,2-c] pyrazol-4-one;
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(pp) 3-(3,4-dimethoxyphenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one;

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(qq) 3-(2-methoxyphenyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one;

15

(rr) 3-(4-methoxyphenyl)-5-((2,5-dimethoxyphenyl)acetamido)indeno[1,2-c]pyrazol-4-one;

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(ss) 3-(4-methoxyphenyl)-5-((3,4-dimethoxyphenyl)acetamido)indeno[1,2-c]pyrazol-4-one;

(tt) 3-(4-methoxyphenyl)-5-((4-methoxyphenyl)acetamido)indeno[1,2-c]pyrazol-4-one;

25

(uu) 3-(4-methoxyphenyl)-5-((3-methoxyphenyl)acetamido)indeno[1,2-c]pyrazol-4-one;

(vv) 3-(4-methoxyphenyl)-5-((4-chlorophenyl)acetamido)indeno[1,2-c]pyrazol-4-one;

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(ww) 3-(4-methoxyphenyl)-5-(butylcarbamoyl)aminoindeno[1,2-c]pyrazol-4-one;

(xx) 3-(4-methoxyphenyl)-5-(4-aminobenzylcarbamoyl)aminoindeno[1,2-c]pyrazol-4-one;

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(yy) 3-(4-methoxyphenyl)-5-(4-pyridylcarbamoyl)aminoindeno[1,2-c]pyrazol-4-one;

(zz) 3-(4-methoxyphenyl)-5-(phenylcarbamoyl)aminoindeno[1,2-c]pyrazol-4-one;

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(aaa) 3-(4-methoxyphenyl)-5-(cyclobutylamido)indeno[1,2-c]pyrazol-4-one;

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(bbb) 3-(4-methoxyphenyl)-5-
(cyclopentylamido) indeno[1,2-c]pyrazol-4-one;

10

(ccc) 3-(4-methoxyphenyl)-5-(propylamido) indeno[1,2-
c]pyrazol-4-one;

(ddd) 3-(4-methoxyphenyl)-5-(ethylamido) indeno[1,2-
c]pyrazol-4-one;

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(eee) 3-(4-methoxyphenyl)-5-(benzylamido) indeno[1,2-
c]pyrazol-4-one;

(fff) 3-(4-methoxyphenyl)-5-(isopropylamido) indeno[1,2-
c]pyrazol-4-one;

20

(ggg) 3-(4-methoxyphenyl)-5-
(cyclopropylamido) indeno[1,2-c]pyrazol-4-one;

25

(hhh) 3-(4-methoxyphenyl)-5-(chloroacetamido) indeno[1,2-
c]pyrazol-4-one;

(iii) 3-(4-methoxyphenyl)-5-(4-pyridinylaminomethyl
acetamido) indeno[1,2-c]pyrazol-4-one;

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(jjjj) 3-(4-N,N-dimethylaminophenyl)-5-
(morpholinylacetamido) indeno[1,2-c]pyrazol-4-one;

(kkk) 3-(4-N,N-dimethylaminophenyl)-5-
dimethylaminoacetamido) indeno[1,2-c]pyrazol-4-one;

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(lll) 3-(4-(trifluoromethyl)phenyl)-5-
(acetamido) indeno[1,2-c]pyrazol-4-one;

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(mmm) 3-(4-(dimethylamino)phenyl)-5-((4-methyl-1-
piperazinyl)acetamido) indeno[1,2-c]pyrazol-4-one;

- 5 (nnn) 3-(4-(dimethylamino)phenyl)-5-((4-aminomethyl-1-piperidinyl)acetamido)indeno[1,2-c]pyrazol-4-one;
- (ooo) 3-(4-(dimethylamino)phenyl)-5-((4-hydroxy-1-piperidinyl)acetamido)indeno[1,2-c]pyrazol-4-one;
- 10 (ppp) 3-(4-(4-morpholinyl)phenyl)-5-((4-morpholinyl)acetamido)indeno[1,2-c]pyrazol-4-one;
- (qqq) 3-(4-(4-morpholinyl)phenyl)-5-((4-methyl-1-piperazinyl)acetamido)indeno[1,2-c]pyrazol-4-one;
- 15 (rrr) 3-(4-(4-morpholinyl)phenyl)-5-((4-hydroxy-1-piperidinyl)acetamido)indeno[1,2-c]pyrazol-4-one;
- (sss) 3-(4-(4-morpholinyl)phenyl)-5-((4-aminomethyl-1-piperidinyl)acetamido)indeno[1,2-c]pyrazol-4-one;
- 20 (ttt) 3-(4-(1-piperazinyl)phenyl)-5-((4-morpholinyl)acetamido)indeno[1,2-c]pyrazol-4-one;
- 25 (uuu) 3-(4-(1-piperazinyl)phenyl)-5-((dimethylamino)acetamido)indeno[1,2-c]pyrazol-4-one;
- (vvv) 3-(4-(1-piperazinyl)phenyl)-5-((4-methyl-1-piperazinyl)acetamido)indeno[1,2-c]pyrazol-4-one;
- 30 (www) 3-(4-(1-piperazinyl)phenyl)-5-((4-aminomethyl-1-piperidinyl)acetamido)indeno[1,2-c]pyrazol-4-one;
- (xxx) 3-(4-(1-piperazinyl)phenyl)-5-((aminocarbonyl)amino)indeno[1,2-c]pyrazol-4-one;
- 35 (yyy) 3-(4-(1-piperazinyl)phenyl)-5-((hydrazinocarbonyl)amino)indeno[1,2-c]pyrazol-4-one;
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- 5 (zzz) 3-(4-(1-piperazinyl)phenyl)-5-(((4-morpholinylamino)carbonyl)amino)indeno[1,2-c]pyrazol-4-one;
- 10 (A) 3-(4-(4-methyl-1-piperazinyl)phenyl)-5-(((4-morpholinylamino)carbonyl)amino)indeno[1,2-c]pyrazol-4-one;
- 15 (B) 3-(4-(4-ethyl-1-piperazinyl)phenyl)-5-(((4-morpholinylamino)carbonyl)amino)indeno[1,2-c]pyrazol-4-one;
- 20 (C) 3-(4-(4-isopropyl-1-piperazinyl)phenyl)-5-(((4-morpholinylamino)carbonyl)amino)indeno[1,2-c]pyrazol-4-one;
- (D) 3-(4-(4-t-butoxycarbonyl-1-piperazinyl)phenyl)-5-(((4-morpholinylamino)carbonyl)amino)indeno[1,2-c]pyrazol-4-one;
- 25 (E) 3-(4-(dimethylamino)phenyl)-5-(((4-methyl-1-piperazinyl)amino)carbonyl)amino)indeno[1,2-c]pyrazol-4-one;
- 30 (F) 3-(i-propyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one;
- (G) 3-(c-propyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one;
- (H) 3-(t-butyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one;
- 35 (I) 3-(2-thienyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one;
- (J) 3-(3-methyl-2-thienyl)-5-(acetamido)indeno[1,2-c]pyrazol-4-one;
- 40 (K) 3-(thyl)-5-(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one;

- 5 (L) 3-(n-propyl)-5-(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- (M) 3-(i-propyl)-5-(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- 10 (N) 3-(c-propyl)-5-(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- (O) 3-(c-hexyl)-5-(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- 15 (P) 3-(2-thienyl)-5-(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- 20 (Q) 3-(3-methyl-2-thienyl)-5-(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- (R) 3-(5-methyl-2-thienyl)-5-(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- 25 (S) 3-(5-ethylcarboxyl-2-thienyl)-5-(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- (T) 3-(3-thienyl)-5-(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- 30 (U) 3-(1-methyl-3-pyrrolyl)-5-(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- 35 (V) 3-(2,5-dimethyl-3-thienyl)-5-(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- (W) 3-(2-furanyl)-5-(carbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- 40 (X) 3-(i-propyl)-5-(N,N-dimethylaminocarbamoyl)aminoindeno[1,2-c]pyrazol-4-one;

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(Y) 3-(c-propyl)-5-(N,N-dimethylaminocarbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
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(Z) 3-(c-hexyl)-5-(N,N-dimethylaminocarbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- (AA) 3-(2-thienyl)-5-(N,N-dimethylaminocarbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- 15
(BB) 3-(5-methoxy-2-thienyl)-5-(N,N-dimethylaminocarbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- (CC) 3-(5-methyl-2-thienyl)-5-(N,N-dimethylaminocarbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- 20
(DD) 3-(5-ethylcarboxyl-2-thienyl)-5-(N,N-dimethylaminocarbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- (EE) 3-(3-thienyl)-5-(N,N-dimethylaminocarbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- 25
(FF) 3-(5-chloro-3-thienyl)-5-(N,N-dimethylaminocarbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- 30
(GG) 3-(2,5-dimethyl-3-thienyl)-5-(N,N-dimethylaminocarbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- (HH) 3-(2-furanyl)-5-(N,N-dimethylaminocarbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
- 35
(II) 3-(i-propyl)-5-(4-carbamoylpiperidinylacetamido)indeno[1,2-c]pyrazol-4-one;
- (JJ) 3-(c-hexyl)-5-(4-carbamoylpiperidinylacetamido)indeno
- 40 [1,2-c]pyrazol-4-on ;

- 5 (KK) 3-(ethyl)-5-(4-aminomethylpiperidinylacetamido) indeno
[1,2-c]pyrazol-4-one;
- (LL) 3-(i-propyl)-5-(4-aminomethylpiperidinylacetamido)
indeno[1,2-c]pyrazol-4-one;
- 10 (MM) 3-(c-propyl)-5-(4-aminomethylpiperidinylacetamido)
indeno[1,2-c]pyrazol-4-one;
- (NN) 3-(c-hexyl)-5-(4-aminomethylpiperidinylacetamido) indeno
15 [1,2-c]pyrazol-4-one;
- (OO) 3-(i-propyl)-5-(4-methylpiperazinylcarbamoyl) amino
indeno[1,2-c]pyrazol-4-one;
- 20 (PP) 3-(5-ethylcarboxyl-2-thienyl)-5-(4-
methylnpiperazinylcarbamoyl) aminoindeno[1,2-c]pyrazol-4-
one;
- (QQ) 3-(5-carboxyl-2-thienyl)-5-(4-
25 methylnpiperazinylcarbamoyl) aminoindeno[1,2-c]pyrazol-4-
one;
- (RR) 3-(2,5-dimethyl-3-thienyl)-5-(4-
methylnpiperazinylcarbamoyl) aminoindeno[1,2-c]pyrazol-4-
30 one;
- (SS) 3-(i-propyl)-5-(morpholinylcarbamoyl) aminoindeno[1,2-
c]pyrazol-4-one;
- 35 (TT) 3-(N-methylcarbamoyl-4-piperidinyl)-5-
(morpholinylcarbamoyl) aminoindeno[1,2-c]pyrazol-4-one;
- (UU) 3-(5-methyl-2-thienyl)-5-
(morpholinylcarbamoyl) aminoindeno[1,2-c]pyrazol-4-one;
- 40 (VV) 3-(5-chloro-3-thienyl)-5-
(morpholinylcarbamoyl) aminoindeno[1,2-c]pyrazol-4-one;

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(WW) 3-(2,5-dimethyl-3-thienyl)-5-
(morpholinylcarbamoyl) aminoindeno [1,2-c] pyrazol-4-one;

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(XX) 3-(5-ethylcarboxyl-2-thienyl)-5-
(morpholinylcarbamoyl) aminoindeno [1,2-c] pyrazol-4-one;

(YY) 3-(5-carboxyl-2-thienyl)-5-
(morpholinylcarbamoyl) aminoindeno [1,2-c] pyrazol-4-one;

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(ZZ) 3-(5-benzylcarboxamido-2-thienyl)-5-
(morpholinylcarbamoyl) aminoindeno [1,2-c] pyrazol-4-one;

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(AAA) 3-(5-(4-methylpiperazinyl) carboxamido-2-thienyl)-
5-(morpholinylcarbamoyl) aminoindeno [1,2-c] pyrazol-4-
one;

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(BBB) 3-(5-(2-(1-methylpyrrolidinyl) ethyl) carboxamido-2-
thienyl)-5-(morpholinylcarbamoyl) aminoindeno [1,2-
c] pyrazol-4-one;

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(CCC) 3-(5-(N,N-dimethylamino) carboxamido-2-thienyl)-5-
(morpholinylcarbamoyl) aminoindeno [1,2-c] pyrazol-4-one;

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(DDD) 3-(5-(2-(N,N-dimethylamino) ethyl) carboxamido-2-
thienyl)-5-(morpholinylcarbamoyl) aminoindeno [1,2-
c] pyrazol-4-one;

(EEE) 3-(5-(2-(pyrrolidinyl) ethyl) carboxamido-2-
thienyl)-5-(morpholinylcarbamoyl) aminoindeno [1,2-
c] pyrazol-4-one;

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(FFF) 3-(5-(2-(morpholinyl) ethyl) carboxamido-2-thienyl)-
5-(morpholinylcarbamoyl) aminoindeno [1,2-c] pyrazol-4-
one;

(GGG) 3-(5-morpholinylcarboxamido-2-thienyl)-5-
(morpholinylcarbamoyl) aminoindeno [1,2-c] pyrazol-4-one;

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(HHH) 3-(5-(3-(pyrrolidonyl)propyl)carboxamido-2-thienyl)-5-(morpholinylcarbamoyl)aminoindeno[1,2-c]pyrazol-4-one;

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(III) 3-(5-(2-(3-pyridyl)ethyl)carboxamido-2-thienyl)-5-(morpholinylcarbamoyl)aminoindeno[1,2-c]pyrazol-4-one;

(JJJ) 3-(5-(3-(imidazolyl)propyl)carboxamido-2-thienyl)-5-(morpholinylcarbamoyl)aminoindeno[1,2-c]pyrazol-4-one;

15

(KKK) 3-(5-(2-(2-pyridyl)ethyl)carboxamido-2-thienyl)-5-(morpholinylcarbamoyl)aminoindeno[1,2-c]pyrazol-4-one;

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(LLL) 3-(5-((2-pyridyl)methyl)carboxamido-2-thienyl)-5-(morpholinylcarbamoyl)aminoindeno[1,2-c]pyrazol-4-one;
and

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(MMM) 3-(5-(2-(piperidinyl)ethyl)carboxamido-2-thienyl)-5-(morpholinylcarbamoyl)aminoindeno[1,2-c]pyrazol-4-one;

or pharmaceutically acceptable salt thereof.

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6. A pharmaceutical composition, comprising: a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of claim 1.

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7. A method of treating cancer and proliferative diseases comprising: administering to a host in need of such treatment a therapeutically effective amount of a compound of claim 1, or a pharmaceutically acceptable salt or prodrug form thereof.

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INTERNATIONAL SEARCH REPORT

Int lional Application No
PCI/US 99/08616

A. CLASSIFICATION OF SUBJECT MATTER
IPC 6 C07D231/54 A61K31/41 C07D409/12 C07D403/12 C07D401/12
C07D417/12 C07D413/12 C07D413/14

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 6 C07D A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	US 2 989 538 A (M. C. FLORES, B. LOEV) 20 June 1961 (1961-06-20) column 1, line 24 - line 39; example 11	1-6
Y	PATENT ABSTRACTS OF JAPAN vol. 009, no. 287, 4 November 1985 (1985-11-04) & JP 60 130521 A (MORISHITA SEIYAKU K. K.), 12 July 1985 (1985-07-12) cited in the application abstract --- -/-	1-7

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents:

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"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

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"&" document member of the same patent family

Date of the actual completion of the international search

3 August 1999

Date of mailing of the international search report

24/08/1999

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INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 99/08616

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	PATENT ABSTRACTS OF JAPAN vol. 011, no. 315, 14 October 1987 (1987-10-14) & JP 62 099361 A (MORISHITA SEIYAKU K. K.), 8 May 1987 (1987-05-08) cited in the application abstract	1-7
A	EP 0 203 679 A (E.I. DU PONT DE NEMOURS AND CO.) 3 December 1986 (1986-12-03) cited in the application claims 1-16	1-7
X	W. A. MOSHER, W. E. MEIER: J. ORG. CHEM., vol. 35, no. 11, 1970, pages 3685-3688, XP002111180 * Compound of formula 4	1-5

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCI/US 99/08616

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
US 2989538 A	20-06-1961	NONE	
JP 60130521 A	12-07-1985	NONE	
JP 62099361 A	08-05-1987	NONE	
EP 203679 A	03-12-1986	US 4678499 A CA 1230334 A US 4741765 A	07-07-1987 15-12-1987 03-05-1988